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EUROPEAN PATENT APPLICATION

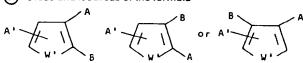
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- (54) Herbicidal ureas and isoureas, preparation, compositions and use thereof, intermediates therefor and preparation of said intermediates.
- (57) Ureas and isoureas of the formula



1 11 111

wherein W' is O or S

A' is H, Cl, Br, alkyl, OCH3, NO2 or CF3;

A is a wide variety of ester or thioester groups or derivatives thereof;

B is -502N-C-NR or -502N= WR IV

where R4 is H or CH3

R, is H, CH, or OCH,

W is 0 or S $\,$

RIV is alkyl or alkenyl; and

 R₁ is a pyrimidyl or triazinyl moiety which is optionally substituted;

exhibit potent herbicidal activity and may be of interest for regulating plant growth.

The compounds can be formulated for use in conventional manner. They may be prepared by a variety of processes, e.g. by reacting a heterocyclic sulfonyl isocyanate or isothiocyanate of formula

with an appropriate amine HNR₅R₁.

Some of the compounds V form a further aspect of the invention. They can be made from the corresponding suffonamide by reaction with CS₂ and phosgene.

Further intermediates of formula

where $\mathbf{R}^{\mathbf{I}}$ is H or a cation of an alkali metal or tertiary amine are also within the scope of the invention.

"Herbicidal ureas and isoureas, preparation, compositions and use thereof, intermediates therefor and preparation of said intermediates"

Background of the Invention

This invention relates to ureas and isoureas and in particular their use as agricultural chemicals and particularly as herbicides.

U.S. Patent 4,127,405 teaches compounds which are useful for controlling weeds in wheat having the formula

 $R_1-SO_2-NH-\ddot{C}-NH \stackrel{N}{\swarrow} N$

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DG 1
Recu le

wherein

X

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 R_1 is

R₇ R₆

R₃

R₉ R₁₀

25 or

R₃ and R₆ are independently hydrogen, fluorine, chlorine, bromine, iodine, alkyl of 1-4 carbon atoms, alkoxy of 1-4 carbon atoms, nitro, trifluoromethyl, cyano, CH₃S(O)_n- or CH₃CH₂S(O)_n-; R₄ is hydrogen, fluorine, chlorine, bromine or methyl;

R₅ is hydrogen, fluorine, chlorine, bromine, methyl or methoxy;

R₇ is hydrogen, fluorine, chlorine, bromine, alkyl of 1-2 carbon atoms or alkoxy of 1-2 carbon atoms:

R₃ is hydrogen, methyl, chlorine or bromine;
R₃ and R₁₀ are independently hydrogen, methyl, chlorine or bromine;

W and Q are independently oxygen or sulfur;

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n is 0, 1 or 2;

x

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X is hydrogen, chlorine, bromine, methyl, ethyl, alkoxy of 1-3 carbon atoms, trifluoromethyl, CH₃S- or CH₃OCH₂-; and

y is methyl or methoxy; or their agriculturally suitable salts; provided that:

(a) when R_5 is other than hydrogen, at least one of R_3 , R_4 , R_6 and R_7 is other than hydrogen and at least two of R_3 , R_4 , R_6 and R_7 must be hydrogen;

(b) when R_5 is hydrogen and all of R_3 , R_4 , R_6 and R_7 are other than hydrogen, then all of R_3 , R_4 , R_6 and R_7 must be either chlorine or methyl; and

(c) when R_3 and R_7 are both hydrogen, at least one of R_4 , R_5 or R_6 must be hydrogen.

French Patent No. 1,468,747 discloses the following para-substituted phenylsulfonamides, useful as antidiabetic agents:

wherein R = H, halogen, CF_3 or alkyl.

Logemann et al. Chem. Ab., 53, 18052 g (1959), disclose a number of sulfonamides, including uracil derivatives and those having the formula:



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wherein R is butyl, phenyl or $\stackrel{N}{\longrightarrow}$ and R_1 is R_1

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hydrogen or methyl. When tested for hypoglycemic effect in rats (oral doses of 25 mg/100 g), the compounds in which R is butyl and phenyl were most potent. The others were of low potency or inactive.

Wojciechowski, J. Acta. Polon. Pharm. 19,

10 p. 121-5 (1962) [Chem Ab., 59 1633 e] describes the synthesis of N-[(2,6-dimethoxypyrimidin-4-yl)aminocarbonyl]-4-methylbenzenesulfonamide:

Based upon similarity to a known compound, the author predicted hypoglycemic activity for the foregoing compound.

Netherlands Patent 121,788, published September 15, 1966, teaches the preparation of compounds of Formula (i), and their use as general or selective herbicides,

wherein

 R_1 and R_2 may independently be alkyl of 1-4 carbon atoms; and

 ${\bf R_3}$ and ${\bf R_4}$ may independently be hydrogen, chlorine or alkyl of 1-4 carbon atoms.

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Compounds of Formula (ii), and their use as antidiabetic agents, are reported in <u>J. Drug. Res. 6</u>, 123 (1974),

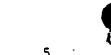
S SO₂NHCNHR (ii)

wherein R is pyridyl.

The presence of undesired vegetation causes substantial damage to useful crops, especially agricultural products that satisfy man's basic food needs, such as soybeans, barley, wheat, and the like. The current population explosion and concomitant world food shortage demand improvements in the efficiency of producing these crops. Prevention or minimizing the loss of a portion of such valuable crops by killing, or inhibiting the growth of undesired vegetation is one way of improving this efficiency.

A wide variety of materials useful for killing, or inhibiting (controlling) the growth of undesired vegetation is available; such materials are commonly referred to as herbicides. The need exists, however, for still more effective herbicides that destroy or retard weeds without causing significant damage to useful crops.

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Summary of the Invention

This invention relates to novel compounds of Formulas I, II, and III and their agriculturally suitable salts, suitable agricultural compositions 5 containing them, and methods of using them as selective, as well as general herbicides having both pre-emergence and post-emergence activity and for regulating plant growth. Some of the compounds are especially useful for controlling weeds in crops such 10 as soybeans:

wherein

x

w' is 0 or 5:

A' is H, Cl, Br, C_1-C_4 alkyl, OCH₃, NO₂ or CF₃; A is -C-Q-R^I or -C-R^{II} where

Q is 0, 5 or -N-

 R^{III} is H, C_1-C_4 alkyl or C_3-C_4 alkenyl:

when Q is O or S then

R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆

alkynyl; C2-C6 alkyl substituted with 1-3Cl, F or Br, or one of CN or OCH₃; C_3-C_6 alkenyl substituted with

1-3 Cl; C₃-C₆ alkynyl substituted with Cl; C5-C6 cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH3: C4-C7 cycloalkylalkyl or CH(CH2)n-

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where R7 and R2 are independently H, C1, CH₃ or OCH₃; n is 0 or 1; and Rgis H or CHq; when Q is 0 then R can be CH2CH2OR15; CH2CH2CH2OR15; CH-CH2OR15 where R15 is C₂H₅, CH(CH₃)₂, phenyl, CH₂CH₂Cl, CH₂CCl₃; $\{CH_2CH_2O\}_n, R_{16}, \{CH-CH_2O\}_n, R_{16}; \text{ where } R_{16} \text{ is }$ CH_3 , C_2H_5 , $CE(CH_3)_2$, phenyl, CH_2CH_2Cl , CH2CCl3, and m' is 2 or 3; $^{\text{CH}}2^-$; CH₂OR'₆; where R' is C -C 1 4 alkyl;

Provided R has a total of <13 carbon atoms;

when Q is -N- then

 R^{I} is H; $C_{1}-C_{6}$ alkyl; $-CH_{2}CH_{2}OR_{10}$; $-C\overline{H}_{2}CH_{2}CH_{2}OR_{10}$; where R_{10} is CH_3 , CH_3CH_2 , $CH(CH_3)_2$, or phenyl; C₃-C₆ alkenyl; C₃-C₆ cycloalkyl; C₅-C₆ cycloalkenyl; C6 cycloalkyl substituted with any one of 1-2 ∞ H₃, 1-3 CH_3 , -CH₂CH₃ or CF_3 ; C₄-C₇ cycloalkylalkyl; -CH₂CN; -CH₂CH₂CN;

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where

R' is H, C_1 - C_4 alkyl, OCH_3 , F, Cl, Br, CN, NO_2 or CF_3 ;

R" is H, CH3, Cl, F or Br;

 R_7 , R_8 and R_9 are as previously defined;

1s H. C_1-C_3 alkyl; CH_2CH ; CH_2CH_2-CH or $-CH_2-CH=CH_2$ and R_6 and R^1 may be taken together to form $-(CH_2)_4-$, $-(CH_2)_5-$ or $-CH_2CH_2-$;

with the proviso that when R_6 is CH_2CH_2CN or CH_2CN , then R^I is CH_2CH_2CN or CH_2CN ; and R^I and R_6 have a total carbon atom count of ≤ 13 ; and when R^I is OCH_3 or OCH_2CH_3 then R_6 is CH_3 or H;

when A is RII then

plus H, C₁-C₆ alkyl; C₃-C₆ alkenyl; phenyl; benzyl; benzyl or phenyl substituted with 1-2 Cl. 1-2 OCH₃, 1-2 CH₃; C₅-C₆ cycloalkyl; C₄-C₇ cycloalkylalkyl with the proviso that when T is =N-OR^{III}, then R^{II} must be C₁-C₆ alkyl or C₃-C₆ alkenyl;

B is -SO₂N-C-N-R₁ or -SO₂-N WR^{IV}
NH-R₁

where R_4 is H or CH_3 ; W is O or S; R_5 is H, CH_3 or CH_3O ; with the proviso that either R_4 or R_5 must be H; R^{IV} is C_1 - C_6 alkyl or C_3 - C_4 alkenyl;

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$$\xrightarrow{N}_{X_{II}}^{Y_1} \quad \text{or} \quad \xrightarrow{N}_{N}^{Y_1}$$

where Z is N, CH or C-F;

x

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X = H, C1, $-CH_3$, $-OCH_3$ or $-OCH_2CH_3$:

Y = H; C1; $C_1 - C_4$ alkyl; $C_1 - C_4$ alkyl substituted with $-och_3$, $-oc_2H_5$, -ch, $-co_2cH_3$, $-co_2c_2H_5$,

C-L or 1-3 atoms of F, Cl, Br; C3-C4 alkenyl;

 $-0-(CH_2)_{n^2}O-(C_1-C_3 \text{ alkyl})$ where n' is 2 or 3;

-OCH₂C-L: -OCH₂C-L: -OCH₂CH₂C-L where CH₃
L is OH, -NH₂, -NCH₃, -NH(C₁-C₄ alkyl),

 $-N(C_1-C_4 \text{ alkyl})_2$ or $C_1-C_6 \text{ alkoxy}$; SCN; $-N_3$; $NR_{11}R_{12}$ where R_{11} is H or CH_3 and 20 R_{12} is H, -OCH₃, C_1 - C_4 alkyl, C_3 - C_6 cycloalkyl, C3-C4 alkenyl, C2-C3 alkyl substituted with OCH₃ or OC₂H₅, C₁-C₂ alkyl substituted with -CN, CO2H, CO2CH3 or

 ${\tt CO_2C_2H_5}$, and ${\tt R_{11}}$ and ${\tt R_{12}}$ can be taken together 25 to form -CH2CH2CH2CH2- or CH2CH2OCH2CH2-: $-0-R_9$ where R_9 is C_1-C_4 alkyl, C_2-C_4 alkyl substituted with 1-3 atoms of F, Cl or Br, C_1-C_2 alkyl substituted with cyano, C_3-C_4

alkenyl, -CH2CECR13, 30

35 R₁₃ is H, CH₃ or CH₂Cl; SR₁₄;

X

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where R_{14} is $C_1 - C_4$ alkyl, allyl, propargyl or $C_1 - C_2$ alkyl substituted with CN; with the proviso that when X and Y are both H, then R^{I} and R^{II} are less than 5 carbons;

X₁ = H, C1, OCH₃, OCH₂CH₃ or CH₃;
Y₁ = H, OCH₃ or CH₃; and
X_{T1} = O or CH₂

and further provided that when A contains greater than 5 carbon atoms, then Y must contain <4 carbon atoms,

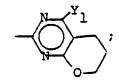
and their agriculturally suitable salts. Preferred Compounds

Preferred for reasons of higher activity and/or lower cost and/or greater ease of synthesis are compounds:

1) Of the Generic scope in which B is

More Preferred and in increasing order for reasons of higher activity and/or even lower cost and/or even greater ease of synthesis are compounds:

- 2) Preferred 1) in which W' is sulfur;
- 2a) Hore Preferred 2) in which T is oxygen;
- 3) More Preferred 2a) in which R_1 is



4) More Preferred 3) where Q is O or S and R^I

30 is C₁-C₄ alkyl; C₃-C₄ alkenyl; C₃-C₄ alkynyl; C₂-C₃
alkyl substituted with CN, OCH₃ or 1-3 F, C1 or Br;
C₃-C₄ alkenyl substituted with 1-3 C1; C₃-C₄
alkynyl substituted with C1;

X

5) More Preferred 3) in which Q is oxygen and R^I is CH₂CH₂OR₁₅; CH₂CH₂OR₁₅; CHOR₁₅ where R₁₅ is CH₂

6) More Preferred 3) in which Q is -N- and

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CH₂CH₃;

CH₂CN; CH₂OR'₆ where R'₆ is CH₃ or CH₃CH₂;

CH₂-;

- $^{R}_{6}$ $^{R}_{1}$ is H, $^{C}_{1}$ - $^{C}_{4}$ alkyl, $^{C}_{1}$ - $^{C}_{10}$, $^{C}_{10}$, $^{C}_{10}$ - $^{C}_{10}$ where $^{R}_{10}$ is $^{C}_{10}$ or $^{C}_{10}$ - $^{C}_{$
- 7) More Preferred 3) in which R^{II} is H or C₁-C₃ alkyl;
 - 8) More Preferred 4, 5, 6) and 7) in which Z is CH or N;
- X is CH₃ or CH₃O; and Y is C₁-C₂ alkyl; C₁-C₂ alkyl substituted with OCH₃; OCH₂CH₃, CN or 1-3 atoms of F, Cl or Br;

OCH₂-C-L or OCH-C-L where L is NH₂, OH, N(CH₃),

N(CH₃)₂, NHCH₃, C₁-C₂ alkoxy; SCN; N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃; R₁₂ is H, CH₃, CH₃CH₂, OCH₃; OR₉ where R₉ is CH₃, CH₃CH₂; CH₂CH=CH₂ or CH₂C≡CH; R₉ is also C₂ alkyl substituted with 1-3 F, Cl or Br; CH₃S;

- .. 9) More Preferred 3) in which A' is H, Cl
 or Br;
- 10) More Preferred 9) in which Q is O or S and R^I is C₁-C₄ alkyl, CH₂CH=CH₂ or CH₂CH₂Cl;

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11) More Preferred 9) in which Q is O and R is CH2CH2OCH3, CH-OCH3, CH2OCH3 or CH2OCH2CH3;

12) More Preferred 9) in which Q is -N- and R^{T}

is H, C_1 - C_3 alkyl, OCH₃ or OCH₂CH₃ and R₆ is H or C_1 - C_2 alkyl; 13) More Preferred 9) in which R^{II} is H or CH₃;

14) More Preferred 10), 11), 12) and 13) in which A' is H; Y is CH3, OCH3, OCH2CH3, OCH2CF3, OCH2CH=CH2 or 10 OCH, CECH;

15) More Preferred 8) in which A is $Q-R^{I}$ and Q is oxygen or sulfur and R^{I} is CH_{3} or $CH_{2}CH_{3}$; Q is -N- and R^{I} is H, CH_{3} or OCH_{3} and R_{6} is CH_{3} ; 15

$$R_1$$
 is $-X_1$ and Y is CH_3 or OCH_3 ;

16) More Preferred 15) of Formula I;

17) More Preferred 15) of Formula II;

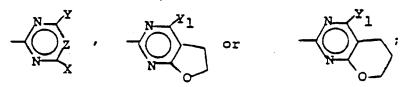
18) More Preferred 15) of Formula III.

Equally More Preferred in increasing order and for 25 reasons of higher activity and/or even lower cost and/or even greater ease of synthesis are:

19) Compounds of Preferred 1 in which W' is oxygen;

20) Compounds of <u>Hore Preferred 19</u> in which T is oxygen;

21) More Preferred 20) in which R_1 is



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x



22) More Preferred 21) where Q is O or S and R₁
is C₁-C₄ alkyl; C₃-C₄ alkenyl; C₃-C₄ alkynyl; C₂-C₃
alkyl substituted with CN, OCH₃ or 1-3 F, C1 or Br;
C₃-C₄ alkenyl substituted with 1-3 C1; C₃-C₄
5 alkynyl substituted with C1;

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23) More Preferred 21) in which Q is oxygen and R^I is CH₂CH₂OR₁₅; CH₂CH₂OR₁₅; CHOR₁₅ where R₁₅ is

CH₂CH₃;
CH₂CN; CH₂OR'₆ where R'₆ is CH₃ or CH₃CH₂;
CH₂-;

More Preferred 21) in which Q is -N- and R₆

R^I is H, C₁-C₄ alkyl, CH₂CH₂OR₁₀, CH₂CH₂CH₂OR₁₀

where R₁₀ is CH₃ or CH₃CH₂; C₃-C₄ alkenyl; CH₂CN;

CH₂CH₂CN; OCH₃ or OCH₂CH₃;

R⁶ is H, C₁-C₂ alkyl, CH₂CN or CH₂CH₂CN and R₆

and R^I can be taken together to form (CH₂).

25) More Preferred 21) in which R^{II} is H or C₁-C₃ alkyl;

26) More Preferred 22), 23), 24) and 25) in which Z is CH or N;

25 X is CH₃ or CH₃O; and
Y is C₁-C₂ alkyl; C₁-C₂ alkyl substituted with OCH₃;
OCH₂CH₃, CN or 1-3 atoms of F, Cl or Br;

OCH₂-C-L or OCH-C-L where L is NH₂, OH, N(CH₃),

N(CH₃)₂, NHCH₃, C₁-C₂ alkoxy; SCN; N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃; R₁₂ is H, CH₃, CH₃CH₂, OCH₃; OR₉ where R₉ is CH₃, CH₃CH₂, CH₂CH=CH₂ or CH₂C≡CH; R₉ is also C₂ alkyl substituted with 1-3 F, C1 or Br; CH₃S;

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27) Preferred 21) in which A' is H, Cl or Br;

28) More Preferred 27) in which Q is O or S and R^I is C₁-C₄ alkyl, CH₂CH=CH₂ or CH₂CH₂Cl;

29) More Preferred 27) in which Q is O and R is CH2CH2OCH3, CH-OCH3, CH2OCH3 or CH2OCH2CH3;

30) More Preferred 27) in which Q is -N- and RI

10 is H, C_1-C_3 alkyl, OCH₃ or OCH₂CH₃ and R₆ is H or C_1-C_2 alkyl;

31) More Preferred 27 in which RII is H or CH,

32) More Preferred 28), 29), 30) and 31) in which A' is H; Y is CH3, OCH3, OCH2CH3, OCH2CF3, OCH2CH=CH2 or OCH, CECH;

15 33) More Preferred 27) in which A is Q-RI and Q is oxygen or sulfur and R is CH, or CH, CH,; Q is -N- and R^{I} is H, CH_3 or OCH_3 and R_6 is CH_3 ;

 R_1 is Z and Y is CH_3 or OCH_3 ;

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34) More Preferred 33) of Formula I;

35) More Preferred 33) of Formula II;

36) More Preferred 33) of Formula III.

Specifically Preferred for reasons of highest activity and/or lowest cost and/or greatest ease of synthesis are:

methyl 3 - [(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-

35 aminosulfonyl]-2-thiophenecarboxylate



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X
                              14
     methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
      aminosulfonyl]-2-thiophenecarboxylate
    methyl 3-[[4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
      aminosulfonyl]-2-thiophenecarboxylate
  5 methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
     aminosulfonyl]-2-thiophenecarboxylate
    methyl 3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
     aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate
    methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]
    aminosulfonyl]-2-furancarboxylate
    methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-2-furancarboxylate
    methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-2-furancarboxylate
15 methyl 3-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
     aminosulfonyl]-2-furancarboxylate
   methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-furancarboxylate
   methyl 3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
    aminocarbonyl]aminosulfonyl]-2-furancarboxylate
20
   methyl 2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-3-thiophenecarboxylate
   methyl 2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
25 methyl 2-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
    aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
  methyl 2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
35 methyl 2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
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X
  methyl 2-[[4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-furancarboxylate
   methyl 2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-furancarboxylate
5 methyl 2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-furancarboxylate
   methyl 2-[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
    aminocarbonyl]aminosulfonyl]-3-furancarboxylate
   methyl 4-[[(4,6-dimethoxypyrimidin-2-y1)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
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   methyl 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
15 methyl 4-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 4-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylate
   methyl 4-[[4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
20 aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
    methyl 4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-3-furancarboxylate
    methyl 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-3-furancarboxylate
 25 methyl 4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
     aminosulfonyl]-3-furancarboxylate
    methyl 4-[[(4,6-dimethoxy-1,3,5-triazin-2-y1)aminocarbonyl]-
     aminosulfonyl]-3-furancarboxylate
    methyl 4-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
 30 aminosulfonyl]-3-furancarboxylate
    methyl 4-[(4-methoxy-6-methyl-1,3,5-triazin-2-y1)-
     aminocarbonyl]aminosulfonyl]-3-furancarboxylate
    N-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-2-
      (l-pyrrolidinylcarbonyl)-3-thiophenesulfonamide
    1-methylethyl 3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
 35
     aminosulfonyl]-2-thiophenecarboxylate
```

16

2-propenyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl-aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate l-methylethyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)-aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate.

5 Novel Intermediates

x

' 20

Also novel and useful for the preparation of compounds of Formulas I, II and III are compounds of Formulas I', II' and III'.

wherein R I is H or M:

M is a cation of an alkalii metal or of a tertiary amine of up to 12 carbon atoms; A', W', B, are as previously defined.

Preferred and in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of derived compounds are those intermediate

25 l) Compounds of the <u>Generic scope</u> in which W' is sulfur, B is SO₂NHCONHR₁, A' is H, Cl or Br and R₁ is

$$y$$
 or y ,

2) Compounds of <u>Preferred 1)</u> in which X is CH₃ or OCH₃; Y is CH₃, OCH₂, OCH₂CH₃, OCH₂CF₃, OCH₂CH=CH₂ or OCH₂-CEC-H; Z is CH or N;

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х

17

3) Compounds of Preferred 2) in which Y is CH3

or
$$OCH_3$$
;

 R_1 is N
 N
 X
 X
 Y

5

- 4) Compounds of Preferred 3) of Formula I';
- 5) Compounds of Preferred 4) of Formula II';
- 6) Compounds of Preferred 5) of Formula III';

Equally Preferred in increasing order, for

- 10 reasons of lower cost and/or greater ease of synethesis and/or higher activity of derived compound are those intermediate:
 - 7) Compounds of the Generic scope in which W' is oxygen; B is SO2NHCONHR1, A' is H, Cl or Br, and

15

- 8) Compounds of Preferred 7.) in which X is 20 CH₃ or OCH₃; Y is CH₃, OCH₂CH₃, OCH₂CF₃, and Z is OCH2CH=CH2 or OCH2CEC-H; CH OF N;
- 9) Compounds of Preferred 8) in which Y is CH, 25 or OCH3;

; A' is H;

10 Compounds of Preferred 9 of Formula IV; 30 11) Compounds of Preferred 10) of Formula V; 12 Compounds of Preferred 11) of Formula VI;



```
Specifically Pr ferred for reasons of lowest cost
   and/or greatest ease of synthesis and/or highest activity
   of desired compounds are:
   3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
 5 sulfonyl]-2-thiophenecarboxylic acid
   3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-thiophenecarboxylic acid
  3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-2-thiophenecarboxylic acid
103-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-thiophenecarboxylic acid
  3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-thiophenecarboxylic.acid
  3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
15 carbonyl]aminosulfonyl]-2-thiophenecarboxylic acid
  3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-furancarboxylic acid
  3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-
   2-furancarboxylic acid
<sup>20</sup>3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-furancarboxylic acid
  3-[[(4,6-dimethoxy-1,3,5-triazin-2-y1)aminocarbonyl]amino-
   sulfonyl]-2-furancarboxylic acid
  3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
25 sulfonyl]-2-furancarboxylic acid
  3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-2-furancarboxylic acid
  2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-thiophenecarboxylic acid
302-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-thiophenecarboxylic acid
  2-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid
  2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
35 sulfonyl]-3-thiophenecarboxylic acid
```

```
2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-3-thiophenecarboxylic acid
   2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
    carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
 52-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-furancarboxylic acid
  2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-furancarboxylic acid
  2-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
10 aminosulfony1]-3-furancarboxylic acid
  2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfony1]-3-furancarboxylic acid
  2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
152-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-3-furancarboxylic acid
  4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfony1]-3-thiophenecarboxylic acid
  4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-thiophenecarboxylic acid
  4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid (B5854)
  4-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarponyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid
254-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid
  4-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
  4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
  4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
  4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
354-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
  aminosulfonyl]-3-furancarboxylic acid
```

×

4-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]-3-furancarboxylic acid
4-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]-3-furancarboxylic acid
Also novel and useful for the preparation of
compounds of Formulas I, II and III are compounds of
Formulas I", II" and III"

I"

II"

III"

15

wherein

W is oxygen or sulfur;

W' is oxygen or sulfur;

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;

R¹ is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆

alkynyl; C₂-C₆ alkyl substituted with Cl,

CN or OCH₃; C₃-C₆ alkenyl substituted with

1-3 Cl; C₃-C₆ alkynyl substituted with Cl;

C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH₃; C₄-C₇ cycloalkyl-

25

20

R_g

where R_7 and R_8 are independently H, C1, CH₃ or OCH₃;

n is 0 or 1; and Rais H or CHa;

CH₂CH₂CH₂OR₁₅, CH-CH₂OR₁₅ where R₁₅ is CH₂

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35

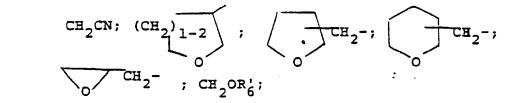
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C₂H₅, CH(CH₃)₂, phenyl, CH₂CH₂Cl, CH₂CCl₃; $\{CH_2CH_2O\}_n,R_{16}, \{CH-CH_2O\}_n,R_{16} \text{ where } R_{16} \text{ is}$ CH_3 , C_2H_5 , $CE(CH_3)_2$, phenyl, CH_2CH_2Cl , CH₂CCl₃, and n' is 2 or 3;



where R_6^I is C_1-C_4 alkyl; provided R^I has a total of ≤ 13 carbon atoms .

Preferred in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of desired compounds are those intermediate:

1) Compounds of the Generic scope in which W' is sulfur; W is oxygen; A' is H, Cl or Br; R^{I} is C_1-C_4 alkyl; $CH_2CH=CH_2$; or CH_2CH_2Cl ; $CH_2CH_2OCH_3$; or CH-OCH; Ċн_З

, 2) Compounds of <u>Preferred 1)</u> in which R^{I} is CH₃ or CH₂CH₃; A^I is H;

3) Compounds of Preferred 2) of Formula VII;

- 4) Compounds of Preferred 2) of Formula VIII;
- 5) Compounds of Preferred 2) of Formula IX:

Equally Preferred in increasing order for reasons of lower cost and/or greater ease of synthesis and/or higher activity of derived compounds are those intermediate:

6) Compounds of the generic scope in which W' is oxygen; W is oxygen; A' is H, Cl or Br;



- 7) Compounds of Preferred 6) in which R^{I} is CH₃ or CH₂CH₃; A^{I} is H;
 - 8) Compounds of Preferred 7) of Formula I".
 - 9) Compounds of Preferred 7) of Formula II".
- 5 10) Compounds of Preferred 7) of Formula III".

Specifically Preferred for reasons of lowest cost and/or greatest ease of synthesis and/or highest activity of desired compounds are:

methyl 3-(isocyanatosulfonyl)-2-thiophenecarboxylate

10 methyl 2-(isocyanatosulfonyl)-3-thiophenecarboxylate
methyl 4-(isocyanatosulfonyl)-3-thiophenecarboxylate
methyl 3-(isocyanatosulfonyl)-2-furancarboxylate

methyl 4-(isocyanatosulfonyl)-3-furancarboxylate

methyl 2-(isocyanatosulfonyl)-3-furancarboxylate

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x

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23

Synthesis

X

Many of the compounds of Formulas I-III are prepared as shown in Equation 3 by the reaction of an
appropriately substituted alkoxycarbonylthiophene or
furan sulfonylisocyanate or sulfonylisothiocyanate
with an appropriate aminopyrimidine or aminotriazine.
These compounds of Formulas I-III can be converted to
other compounds of Formulas I-III as will be shown in
subsequent equations.

The novel sulfonylisocyanates are important intermediates for the preparation of the compounds of this invention. Their synthesis is described in Equations 1 and 2.

Equation 1

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A mixture of the appropriate sulfonamide, e.g. an 2-alkoxycarbonyl-3-thiophene sulfonamide IV such as the methyl ester, which is known in the art, an alkyl isocyanate such as butyl isocyanate and a catalytic amount of 1,4-diaza[2.2.2]bicyclooctane (DABCO) in xylene or other inert solvent of sufficiently high boiling point (e.g. > 135°) is heated to approximately 130-150°C. Phosgene is added to the mixture until an excess of phosgene is present as indicated by a drop

in the boiling point. After the mixture is cooled and filtered to remove a small amount of insoluble by-products, the solvent and alkyl isocyanate are distilled off in-vacuo leaving a residue which is the crude sulfonyl isocyanate V. In Equation 1,

24

A', W' and ${\rm CO}_2{\rm R}^{\rm I}$ are as defined previously for structures I", II" and III".

The novel sulfonylisothiocyanate intermediates
of Formula Va, prepared according to Equations 2 and
2', are useful for the preparation of compounds of
Formulas I-III where W = S.

15

x

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25

30

Equation 2

$$C = OR^{I}$$
 $C = OR^{I}$
 C

10 A'
$$OR^{I}$$
 OR^{I} $OR^{$

15

A'
$$OR^{I}$$
 $SO_{2}N=C=S$
 Va

The alkoxycarbonyl substituted sulfonamide is dissolved in dimethylformamide (DMF) with an equivalent amount of carbon disulfide and two equivalents of potassium hydroxide are added portionwise at room temperature. The mixture is stirred for 1-8 hours and diluted with ethylacetate, ethyl ether or similar aprotic solvent to cause the dipotassium salt of the dithiocarbamic acid to precipitate. The salt is isolated, dried and suspended in an inert solvent such as xylene, benzene, carbon tetrachloride or methylene chloride. Phosgene is added to the stirred suspension at below room temperature and the mixture stirred for 1-3 hours. In place of phosquee, a chloroformic ester (e.g. methyl chloroformate), phosphorous pentachloride, sulfuryl chloride or thionyl chloride can be used.

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The sulfonylisothiocyanate which is formed is usually soluble in the solvent and is isolated by filtering off the insoluble potassium chloride and concentrating the filtrate. These isothiocyanates tend to be unstable and dimerize readily, (Equation 2') however, the dimers can be used Equation 2'

O

$$A'$$
 $SO_2-N-\ddot{C}$
 $SO_2-N-\ddot{C}$

in the same manner as the parent isothiocyanates for the purposes of this invention.

The synthetic method chosen for the preparation of compounds of Formulas I-III depends largely on the substituents QR^I and R_4 . As shown in Equation 3, compounds of Formulas I-III wherein R^I or A' are as defined for Equation 1, are conveniently prepared by reacting an appropriately substituted carbonyl thiophene or furan sulfonyl isocyanate or isothiocyanate of Formula V with an appropriately substituted aminopyrimidine or aminotriazine of Formula VI:

25

Equation 3

IC

O

C-OR

W

SO₂-N-C-N-R₁

R

E

H

SO₂-N-C-N-R₁

out in inert aprotic organic solvents such as methylene chloride, tetrahydrofuran or acetonitrile, at ambient pressure and temperature. The mode of addition is not critical; however, it is often convenient to add the sulfonyl isocyanate or isothiocyanate to a stirred suspension of amine VI. Since such isocyanates and isothiocyanates are liquids, low melting solids or are readily soluble in solvents such as those listed above, their addition can be easily controlled.

The reaction is generally exothermic. In some cases, the desired product is soluble in the warm reaction medium and on cooling crystallizes in pure form. Other products which are soluble in the reaction medium are isolated by evaporation of the solvent, trituration of the solid residue with solvents such as 1-chlorobutane or ethyl ether, and filtration.

As shown in Equation 4, compounds of Formulas I-III, wherein W is S, A and A' are as previously defined and R_5 is H, are altervatively

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prepared by the reaction of an appropriately substituted thiophene or furan sulfonamide with the appropriate triazine or pyrimidine isothiocyanate of Formula VIa.

28

5 Equation 4

x

The reaction of Equation 4 is best carried out 20 by dissolving or suspending the sulfonamide and isothiocyanate in a polar solvent such as acetone, acetonitrile, ethyl acetate or methylethylketone, adding an equivalent of a base such as potassium carbonate and 25 stirring the mixture at a temperature from ambient up to the reflux temperature for one to twenty-four hours. In some cases, the product precipitates from the reaction mixture and can be removed by filtration. The product is stirred in dilute mineral acid, filtered and washed with cold water. If the product does not precipitate from the reaction mixture, it can be isolated by evaporation of the solvent, trituration of the residue with dilute mineral acid and filtering off the insoluble product.

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The heterocycle isothiocyanates which are used in the procedure of Equation 4 are prepared, for example, according to the method of Japan Patent Application Pub: Kokai 51-143686, June 5, 1976, or that of W. Abraham and G. Barnikow, Tetrahedron 29, 691-7 (1973).

As shown in Equation 5, compounds of Formulas I-III, wherein A, A', R₁, W', and R₅ are as defined previously, and W is O, can be prepared by methylation of salts VII wherein M is an alkali metal cation such as sodium (derived from compounds of Formulas I-III wherein R₄ is hydrogen):

Equation 5

15

A'

SO2
$$N-C-N-R_1$$

N'

N'

SO2 $N-C-N-R_1$

N'

SO2 $N-C-N-R_1$

CH3 R5

D being an incipient anion and n being an integer corresponding to the valence of D.

The reaction of Equation 5 is best carried out in aprotic organic solvents such as tetrahydrofuran, dimethylformamide, or dimethylacetamide, at ambient pressure and temperature. Methylating agents VIII such as dimethyl sulfate or methyl iodide, can be employed. The desired product can be isolated by pouring the reaction mixture into water and filtering off the precipitated solid.

X

As shown in Equation 6, compounds of Formulas I-III wherein A, A', R₁, W', and R₅ are as defined for Equation 5, and W is O or S, can also be prepared by the reaction of an appropriately substituted sulfonyl-N-methylcarbamyl chloride or sulfonyl-N-methylthio-carbamyl chloride of Formula IX with an appropriate aminopyrimidine or aminotriazine of Formula V: Equation 6

The preparation of ureas and thioureas, like those of Formula Ic, from amines and carbamyl chlorides and thiocarbamyl chlorides is well known to the art. The reaction can best be carried out by adding equivalent amounts of chloride IX and amine V to an inert organic solvent, such as tetrahydrofuran, xylene, or methylene chloride, in the presence of an acid acceptor, such as triethylamine, pyridine, or sodium carbonate employing temperatures from 20°-130°. Soluble products can be isolated by filtering off the precipitated salts and concentration of the filtrate. Insoluble products can be filtered off and washed free of salts with water.

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X

The chlorides of Formula IX can be prepared by phospenation or thiophospenation of N-methylsulfon-amide salts. The sulfonamide salt is added to an excess of phospene or thiophospene in an inert organic solvent, such as tetrahydrofuran, toluene, or xylene, whereupon, after removal of the excess phospene, the chloride IX can be isolated or reacted in situ with the amine VI.

The esters of Formulas I-III hydrolyze to the parent acid as shown in Equation 7. Alkali metal base catalyzed hydrolysis in aqueous methanol produces the alkali metal carboxylate from which the carboxylic acid is obtained by treatment with mineral acids such as HCl:

15 Equation 7

out in a solution containing the compound being hydrolyzed, 2 to 10 parts of methanol, 10-50 parts of water and 2-10 equivalents of a base such as sodium or potassium hydroxide maintaining the temperature at 30-90°C for 3-24 hours. The reaction yields the soluble alkali metal salt of the carboxylic acid,

which is suitable for the purposes of this invention. Conversion of these salts to the acid form is easily carried out by addition to the reaction medium of strong mineral acids, such as hydrochloric or sulfuric acid, causing the desired carboxylic acids to precipitate from solution.

32

The acids of Formula Ie prepared as in Equation 7 wherein W is O can be converted to compounds of this invention where R^I is a higher alkyl or substituted hydrocarbyl group, as already disclosed herein, by the reaction of salts of the parent acid with R^I-halogen as shown in Equation 8.

Equation 8

X

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The reaction of Equation 8 is of use where the intermediate compound $R^{\rm I}$ -halogen contains a readily replaceable halogen as is the case for substituted or unsubstituted allylic or benzylic halides, α -halonitriles, or α -halocarbonyl compounds.

The procedure of Equation 8 is best carried out in inert polar solvents such as tetrahydrofuran, acetonitrile or acetone by combining the appropriately substituted carboxylic acid and base such as triethyl-

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amine or 1,4-diaza[2,2,2]bicyclooctane adding the appropriate halide and heating the mixture to reflux with stirring for 1 to 16 hours. The reaction mixture can be evaporated to dryness and the residue triturated with water, filtered and washed with water to separate the desired product from the water soluble salt.

The procedure of Equation 8 can also be used for the synthesis of compounds wherein R^I-halogen of Equation 8 is of a less reactive species than described above. In these cases, the silver salt of the carboxylic acid is used rather than the amine salt. The silver salt which is precipitated by adding silver nitrate to an aqueous solution of the sodium salt of the acid of Formula Ie is combined with the appropriate R^I-halide using the same solvents and conditions as shown above for the amine

When Q is NR_6 , the compounds can be prepared from the esters of this invention where R^{I} is $C_1 - C_4$ 20 (preferably C,) by the reaction of the esters with dialkylaluminum-N-alkylamide derivatives according to Equation 9; R^{I} , A', W', R_{1} , R_{4} , R_{5} and R_{6} being as previously defined.

25 Equation 9

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X

The intermediate alkylaminoaluminum compounds prepared according to A. Basha, M. Lipton and S. W. Weinreb, Tetrahedron Letters 4171 (1977), are comingled with a suspension of the esters in toluene or similar inert solvent and the mixture is refluxed for one to six hours. The product can be isolated by evaporation of the solvent, addition of methylene chloride and aqueous hydrochloric acid to decompose the residual reaction mass and extracting the desired product into methylene chloride. Evaporation of the methylene chloride yields the desired product in sufficiently pure form for the purpose of this invention.

`34

Compounds of Formula X, wherein Q is NR_6 , A', 15 W' and R_4 are as previously defined in the general formula, which are useful as intermediates in Equation 4, are prepared as shown in Equation 10.

Equation 10

20
A'
CO₂CH₃
+ (CH₃)₂AlNSO₂NH

IVa
R₄

O
R
C-N
R
I

30

The conditions described for Equation 8 are suitable for the conversion of the esters of Formula IVa to the carboxamides as shown in Equation 10.

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The products of Equation 10 are especially useful for the preparation of compounds of Formulas I-III wherein Y has an ester substituent ${\rm CO_2\,(C_1-C_6)}$, by the route described in Equation 4.

When Q is S, these compounds can be prepared from the esters of this invention wherein $QR^{\mathbf{I}}$ is $O(C_1-C_4$ alkyl) (preferably C_1) by the reaction of the esters with the appropriate dialkylaluminum alkylthiclate according to Equation 11.

10 Equation 11

20

A'

SR^I

SO2N

R4

R5

The intermediate aluminum thiolates can be prepared according to R. P. Hatch and S. W. Weinreb, Journal of Organic Chemistry, Vol. 42, 3960 (1977). The reaction of the thiolate with the ester of this invention is best carried out in a neutral solvent such as toluene or xylene at reflux for one to three hours. Best results are obtained when the aluminum thiolate compound is present in excess of the stoichiometric amount required.

Sulfonamides of Formula IVc are also converted from carboxylic acid esters to the thiolesters as shown in Equation 12 according to the method of

R. P. Hatch and S. W. Weinreb as described for Equation 11 wherein \textbf{R}^{I} , A', W' and \textbf{R}_4 are as previously defined.

Equation 12

x

The conditions described for Equation 11 are suitable for the conversion of the sulfonamides of Formula IVc as shown in Equation 12.

The products obtained by the procedure of Equation 12 are especially useful for the preparation of compounds of formulas I-III where Y has a substituent $(CO_2C_1-C_6)$ by the route described for Equation 4 and Q = S.

An alternate route to prepare compounds where R^I is bonded to Q (Q=O) at a secondary carbon involves the reaction of the appropriate dialkylaluminum alcoholate and an ester of this invention wherein R^I is a lower primary alkyl group, preferably methyl, according to Equation 13.

30

25



×

Equation 13

37

The reaction is carried out in a neutral solvent such as toluene with a boiling point sufficiently high to bring about the desired reaction during reflux. The dialkylaluminum alcoholate being present in greater than an equivalent amount to the ester for best yields. After refluxing for 1-15 hours, the reaction mixture is decomposed with dilute hydrochloric acid and the product extracted into methylene chloride. Evaporation of the methylene chloride yields the desired compound sufficiently pure for the purposes of this invention. The product can be triturated with a solvent, e.g. 1-chlorobutane to remove impurities.

Ketones wherein A is $C-R^{II}$ and A', W', W, R_1 , R_4 and R_5 are as defined by the scope of this invention, are prepared according to Equation 14, from the carboxylic acids of Formula Ie whose preparation is described in Equation 7.

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20

Equation 14

×

25

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38

The reaction of an organolithium compound with

15 a carboxylic acid to yield a ketone as in Equation 14

is described in the work of H. Gilman and P. R. Van Ess,

JACS, 55, 1258 (1933); H. Gilman, W. Langham and F. W.

Moore, ibid., 62 (1940); C. Tegner, Chem. Scand., 6,

782 (1952); J. F. Arens and D. A. Van Dorp, Rec. Trav.,

20 65 338 (1946); 66, 759 (1947); C. H. Depuy, G. M.

Dappen, K. L. Eilers and R. A. Klein, J. Org., 29,

2813 (1964).

An excess of the organolithium compound in a suitable solvent such as diethyl ether, hexane, pentane or benzene is added to a solution or slurry of XII in a similar solvent at temperatures between -100 and 0°C. The mixture is allowed to warm to room temperature and stir for 30 minutes. Aqueous acid is then added and the ketosulfonamide extracted into a suitable solvent to free it from salts followed by evaporation of the solvent.

The synthesis of a wide variety of organolithium compounds by many different procedures is known in the art. A summary of methods with bibliography is contained in Organo-Metallic Compounds, G. É. Coates, John Wiley and Sons, 1960, p. 3-21.

x

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Oximes of the ketones of Formula XI, for example, can be prepared from the appropriate hydroxylamine derivative, wherein R^{III} is as previously defined, and the ketone of Formula XI according to Equation 15.

39

Equation 15

10

$$\begin{array}{c}
0 \\
C-R^{II}
\end{array}$$
 $\begin{array}{c}
W \\
SO_{2}^{N-C-N-R_{1}} \\
R_{4} \\
R_{5}
\end{array}$
 $\begin{array}{c}
H_{2}^{NOR^{III}} \\
H_{+} \\
C_{2}^{H_{5}OH}
\end{array}$

NOR^{III} $\overset{\text{NOR}}{\overset{\text{C-R}}{\text{II}}}$ $\overset{\text{W}}{\overset{\text{SO}_{2}^{\text{N-C-N-R}}}{\overset{\text{NOR}}{\text{N-C-N-R}}}}$

A procedure such as that described in <u>Preparative Organic Chemistry</u> by G. Hilgetag and A. Martini, Ed., John Wiley and Sons, p. 513 is suitable for the preparation of the oximes of this invention.

Compounds of Formulas I-III wherein B is $_{
m WR}^{
m IV}$

 SO_2 -N=C-NH-R₁ and W is O, are prepared by the sequence of reactions shown in Equation 16.

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Equation 16

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The compounds of Formula XVII are prepared by adding an appropriate carbon tetrahalide to a solution of a compound of Formula XII and triphenyl phosphine in an inert aprotic solvent such as acetonitrile at about -10 to 25° and stirring at the designated temperature for 10 to 48 hours. The carbamimidoyl halides of Formula XVI thus formed may be isolated by passing the reaction solution through a silica gel column to remove the triphenyl phosphine oxide and removal of the solvent by evaporation under reduced pressure.

The compounds of Formula XVI can be converted to the corresponding compounds of Formula XVII by

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X

treating reaction mixture with a metal alkoxide, MaOR^{III}, at -10 to 25° and stirring at ambient temperature for 2 to 24 hours. The crude products of Formula XVII are isolated by filtering off the precipitated metal

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5 halide and removing the solvent by evaporation under reduced pressure. Further purification may be accomplished by recrystallization or by column chromatography over silica gel.

It will be understood that the compounds of

Formula XVI are not necessarily converted directly

to the compounds of Formula XVII, but may first form

the carbodiimides of Formula XVIII.

A'
$$N' = N - R$$

$$N = C - N - R$$

XVIII

Many compounds, particularly compounds in which the heterocyclic moiety is pyrimidinyl, may be prepared by the sequence of reactions shown in Equation 17.

25

30

Equation 17

×

XIV

A' $SO_{2}N=C$ OR^{IV} $\frac{\exists \text{NHLi}}{\exists \text{NHLi}}$

The compounds of Formula XIX are prepared according to the procedure of R. Gompper and W. Hägele in Chemische Berichte 99, 2835-2899 (1966).

The compounds of Formula XX are prepared from the compounds of Formula XIX with sulfuryl chloride in an inert organic solvent such as methylene chloride

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or chloroform at temperatures between -10 and 80°. They are isolated by removing the solvent under reduced pressure, and can be used without further purification.

The compounds of Formula XXI are prepared in the following manner: The lithium salt of the appropriate aminoheterocycle is prepared from the aminoheterocycle with n-butyl lithium in a solvent such as tetrahydrofuran. To this salt solution is added the compound of Formula XX in tetrahydrofuran at a temperature of about -10 to 10°. The reaction mixture is then stirred at about 0-10° for 1/2-2 hours and at ambient temperature for 1/2-4 hours. The products of Formula XXI are isolated by filtering off the inorganic salts and removing the solvent under reduced pressure. Further purification can be done by recrystallization or by column chromatography on silica gel using a suitable eluent such as ethyl acetate.

20 As shown in Equation 18, the compounds of $WR^{{\scriptsize IV}}$

Formula XXIV, wherein $B = SO_2-N=C-NH-R_1$ and W = S can be prepared by reacting an appropriately substituted carbamimidothioic acid salt of Formula XXII with an alkylating agent of Formula XXIII.

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X

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Equation 18

x

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wherein D is a sulfate or halogen, such as Cl, Br or I; M is an alkali or alkaline earth metal, and n is an integer corresponding to the valence of D.

The reaction is best carried out in inert aprotic organic solvents such as tetrahydrofuran or diethyl ether at temperatures between 25° and 100°C and ambient pressure. The mode of addition is not critical; however, it is often convenient to add the alkylating agent in solution to a stirred suspension of the salt of Formula XXII. The product is isolated by evaporation of the solvent and can be purified by recrystallization from a solvent such as acetonitrile or ethanol.

The metal salts of Formula XXII can be prepared by treating the corresponding sulfonylthiourea with a solution of an alkali metal or alkaline earth metal salt having an anion sufficiently basic to the proton (e.g. hydroxide, alkoxide, carbonate or hydride).

When Z is N, the preferred procedure for the preparation of compounds of Formula XXIV is that shown in Equation 19.

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Equation 19

x

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XXIV

A compound of Formula XXV is treated with an alkali metal (M') salt of the appropriately substituted heterocyclic amine at temperatures of 0° to 100°C in a solvent such as dimethylformamide, dimethylsulfoxide or an ethereal solvent, such as tetrahydrofuran.

Compounds of Formula XXV can be prepared according to the procedure of Chem. Ber. 99, 2885 (1966).

Compounds of Formulas I-III wherein Y of group

R₁ contains -C-L and L is OH can be prepared according to the procedure of Equation 20 wherein A', W',

X, R₄, W and R₅ are as defined previously; and Q'
is C₁-C₄ alkyl, OCH₂, OCH₂CH₂, OCH, N, or NCH₂

CH₃ R₁₁ R₁₁

where R₁₁ is as previously defined.

Equation 20

×

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The reaction of Equation 20 is best carried out by suspending the compound being hydrolyzed in 10 to 100 parts of water with enough of a base such as sodium hydroxide or potassium hydroxide to obtain a pH 10 to 14, ideally a pH of 12, heating until a clear solution is obtained and then adjusting the pH to 1-3, preferably 3. The product is thus caused to precipitate in some instances and can be removed by filtration or it can be extracted into a polar organic solvent such as methylene chloride and isolated by evaporation of the solvent.

Thiophene derivatives with sulfamoyl and alkoxycarbonyl substituents on adjacent carbon atoms are prepared by the methods taught by O. Hromatka and D. Binder, U.S. Patent 4,028,373 and P. A. Rossy et al., U.S. Patent 4,143,050. The analogous furan derivatives are prepared similarly or as taught in Belgian Patent 871,772.

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x

Compounds of structure XXIX wherein A is an aldehyde group and A' does not equal $-NO_2$ are prepared by the procedure of Equation 21. Equation 21

XXIX

x

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Following the procedure of R. Kanazawa and T. Tokoroyama, Synthesis, 526 (1976), a solution of sodium bis-(2-methoxyethoxy) aluminum hydride in THF is reacted with one equivalent of morpholine. To this solution at -40°C is added a methyl ester of Formula XXVIII and the solution is allowed to warm to 25°C. The product is isolated by addition of aqueous acid and extraction into ether or methylene chloride. Evaporation of the solvent and crystallization or column chromatography on silica gel affords the aldehyde XXX.

Aldehydes of Formula XXX may also be prepared from the esters by treatment with disso-butylaluminum hydride according to the procedures of E. Winterfeldt, Synthesis, 617 (1975).

Compounds of Formulas I, II and III may also be prepared by the reaction of the appropriately substituted thiophene or furan sulfonamides with the appropriate heterocyclic isocyanate using the methods described in our U.S. Patent applications Serial Nos. 098,722, and 098,722 filed November 20, 1979 corresponding to European Patent Application

According to this process a sulfonamide of formula

A'

SO2NHR4

R4HNO2S

A'

Or

A'

SO2NHR4

Or

A'

Or

A'

N=1

is reacted with an isocyanate of formula:

of even date herewith).

The reaction is best performed in an inert organic solvent e.g. acetonitrile, THF, toluene acetone or butanone, optionally in the presence of a catalytic amount of base and preferably at a temperature in the range 25 to 110°C.

The synthesis of heterocyclic amines has been reviewed in "The Chemistry of Heterocyclic Compounds" a series published by Interscience Publ., New York and London. 2-Aminopyrimidines are described by D. J. Brown in The Pyrimidines, Vol. XVI of this

D. J. Brown in The Pyrimidines, Vol. XVI of this series. The 2-amino-1,3,5-triazines are reviewed by K. R. Huffman and in The Triazines of this same series. The synthesis of triazines are also described by F. C. Schaefer, U.S. Patent No. 3,154,547 and by K. R. Huffman and F. C. Schaeffer, J. Org.

Chem. 28, 1816-1821 (1963).

The preparation of the aminoheterocycles described by Formula vvv varies according to the

scribed by Formula $_{\rm XXX}$ varies according to the definition of Y_1 and $X_{\rm II}$.

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X

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XXX

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X

Braker, Sheehan, Spitzmiller and Lott, J. Am. Chem. Soc. 69, 3072 (1947) describe the preparation of 6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-amine by the following sequence of reactions.

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6,7-dihydro-4-methoxy-5H-cyclopentapyrimidin-2-amine.

Similarly, 6,7-dihydro-4-methyl-5H-cyclopentapyrimidin-2-amine can be prepared by the condensation of 2-acetylcyclopentanone with guanidine carbonate, but preferably under acidic conditions, removing the water formed.

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x 51 0 0 1 1/2 (NH2CNH2) 2H2CO3

5 p-toluene sulfonic acid dioxane

dioxane toluene reflux

10

6,7-dihydro-4-methyl-5H-cyclopentapyrimidin-2-amine.

Shrage and Hitchings, <u>J. Org. Chem.</u> 16, 1153 (1951)

describe the preparation of 5,6-dihydro-4-methylfuro
[2,3-d]pyrimidin-2-amine by the following sequence of reactions

20 C-CH₃ + 1/2 (NH₂C-NH₂)₂H₂CO₃ EtOH reflux

25 HOCH2CH2 CH3 CH3

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X

5,6-Dihydro-4-m thoxyfur [2,3-d]pyrimidin-2-amine can be prepared by the method of Braker et al., J. Am. Chem. Soc. 69, 3072 (1947), using 5,6-dihydro-4-hydroxyfuro[2,3-d]pyrimidin-2-amine [Svab, Budesinski and Vavrina, Collection Czech. Chem. Commun. 32, 1582 (1967)].

Caldwell, Kornfeld and Donnell, J. Am. Chem. Soc. 63, 2188 (1941), describe the preparation of 6,7-dihydro-5H-cyclopentapyrimidin-2-amine by the following

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Fissekis, Myles and Brown, J. Org. Chem. 29, 2670 (1964), describe the preparation of 2-amino-4-hydroxy-5-(2-hydroxyethyl)pyrimidine which can be converted to 5,6-dihydrofuro[2,3-d]pyrimidin-2-amine by dehydration.

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \end{array} \begin{array}{c} \\ \end{array} \begin{array}{c} \\ \end{array} \begin{array}$$

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Agriculturally suitable salts of compounds of Formulas I-III are also useful herbicides and can be prepared by a number of ways known to the art. For example, metal salts can be made by treating compounds of Formulas I-III with a solution of alkali or alkaline earth metal salt having a sufficiently basic anion (e.g., hydroxide, alkoxide, carbonate or hydride). Quaternary amine salts can be made by similar techniques.

Salts of compounds of Formulas I-III can also

be prepared by exchange of one cation to another.

Cationic exchange can be effected by direct treatment of an aqueous solution of a salt of a compound of Formulas I-III (e.g., alkali metal or quaternary amine salt) with a solution containing the cation to be exchanged. This method is most effective when the desired salt containing the exchanged cation is insoluble in water, e.g., a copper salt, and can be separated by filtration.

Exchange may also be effected by passing an

aqueous solution of a salt of a compound of Formulas
I-III (e.g., an alkali metal or quaternary amine salt)
through a column packed with a cation exchange resin
containing the cation to be exchanged. In this method,
the cation of the resin is exchanged for that of the

original salt and the desired product is eluted from
the column. This method is particularly useful when
the desired salt is water soluble, e.g., a potassium,
sodium or calcium salt.

Acid addition salts, useful in this invention,

can be obtained by reacting a compound of Formulas

I-III with a suitable acid, e.g., p-toluenesulfonic
acid, trichloroacetic acid or the like.

The compounds of this invention and their preparation are further illustrated by the following examples wherein temperatures are given in degrees centigrade.

The desired product is underscored at the top of each example.

Example 1

2-Methoxycarbonyl-3-thiophenesulfonyl isocyanate

A mixture containing 22.1g of methyl-3
sulfamoylthiophene-2-carboxylate, 9.9 g of n-butyl isocyanate, 0.3 g of 1,4-diaza[2,2,2]bicyclocctane and 150 ml of dry xylene was placed in a 4 neck round bottom flask equipped with a gas inlet tube, mechanical stirrer, thermometer and dry ice cooled reflux condenser. This mixture was heated to 135°C and phosgene was passed into the flask so that after several minutes, the reflux temperature dropped to 120°. The phosgene addition was halted until the temperature rose to 130 and then additional phosgene was added to cause the temperature to drop again to 120°. The phosgene addition cycle was repeated until the reflux temperature of the reaction mixture remained at 120° with no further phosgene addition.

Cooling the reaction mixture caused a small

25 amount of a precipitate to form which was removed by filtration and the filtrate was concentrated in-vacuo to yield an oil which showed a strong absorption peak in the infrared region at 2200 cm-1 consistent for the desired sulfonyl isocyanate. This highly reactive intermediate was used without further purification.

x

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56 Example 2

Methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

To 1.23 g of 2-amino-4,6-dimethyl pyrimidine in 30 ml of anhydrous acetonitrile was added with 5 stirring 2.7 g of 2-methoxycarbonyl-3-thiophenesulfonylisocyanate. The mixture was heated to the boiling point, whereupon all of the insoluble material dissolved and the mixture was allowed to cool. After stirring for two hours the mixture was filtered to 10 remove the desired product which had precipitated as a white solid. After washing with anhydrous ethyl ether the product melted at 191-193° with decomposition and showed absorption peaks by nuclear magnetic resonance at 3.8 ppm for the methoxy group, 2.44 ppm for 15 the two methyl groups on the pyrimidine ring, a peak at 7.0 consistent for the hydrogen in the pyrimidine ring and a peak at 7.42 for the hydrogens on the thiophene ring. The infrared absorption spectrum showed absorption peaks at 1720 and 1700 cm-1 consistent 20 for the two carbonyl groups present in the desired product.

Example 3

Methyl 3 [[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

To 1.5 g of 2-amino-4,6-dimethoxypyrimidine in 30 ml of anhydrous acetonitrile was added 2.7 g of 2-methoxycarbonyl-3-thiophenesulfonyl isocyanate with stirring at ambient temperature. All of the solid reactant dissolved and after twenty minutes of stirring a precipitate started to form. After two hours the mixture was filtered and the solid which was washed with anhydrous ethyl ether, melted at 191-193°. The solid showed peaks by nuclear magnetic resonance spectroscopy at 4.0 ppm and 3.8 ppm for the methoxy

X

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groups, 6.0 ppm for the H of pyrimidine and 7.6 ppm for the hydrogens on thiophene. The infrared absorption spectrum showed absorption peaks at 1730 and 1700 cm-1 consistent for the two carbonyl peaks in the desired product.

Example 4

Methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocar-bonyl]aminosulfonyl]-2-thiophenecarboxylate

in 30 ml of anhydrous acetonitrile was added at ambient temperature, with stirring 3.6 g of 2-methoxycarbonyl-3-thiophenesulfonylisocyanate. The mixture was heated to the boiling point and then allowed to cool to ambient temperature. After stirring for sixteen hours the precipitate present in the mixture was filtered off and washed with anhydrous ethyl ether. The product thus obtained which melted at 165-173° showed absorption peaks by infrared spectroscopy at 1720 and 1700 cm-1, consistent for the carbonyl groups in the desired product.

Example 5

Methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocar-bonyl]aminosulfonyl]-2-thiophenecarboxylate

To 1.23 g of 2-amino-4,6-dimethyl-1,3,5-triazine in 30 ml of anhydrous methylene chloride was added with stirring 2.7 g of 2-methoxycarbonyl-3-thiophenesulfonylisocyanate. The mixture was heated to the boiling point and allowed to cool and stir at ambient temperature for sixteen hours. The solid thus obtained was removed by filtration to yield 2.3 g of the crude desired product melting at 158-178°. The product showed peaks at 1720 and 1710 cm-1, by infrared absorption spectroscopy, consistent for the desired product.

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Example 6

Methyl 3-[[(5,6 dimethyl-1,2,4-triazinyl-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

To 1.2 g of 3-amino-5,6-dimethyl-1,2,4-traizine in 30 ml of anhydrous methylene chloride was added with stirring 2.7 g of 2-methoxycarbonyl-3-thiophene-sulfonylisocyanate. After stirring for 16 hours at ambient temperature the solution was filtered to remove some insoluble material and the filtrate

10 evaporated to dryness. The residue thus obtained was triturated with ethyl ether and the insoluble product filtered to yield 2.9 g of the desired compound melting at 129° with decomposition. Infrared analysis of this product showed absorption peaks at

15 1730 and 1700 cm- $^{-1}$ as expected for the desired product.

Example 7

3-[[(4,6-Dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophene carboxylic acid

A solution of 1.0 g of methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate in 10 ml of ethanol and 1 ml of 50% sodium hydroxide in water was stirred overnight at room temperature. To this was added icewater and aqueous hydrochloric acid until acidic, and the precipitate was filtered and washed first with acetone and then with methylene chloride. The product .8 g, which melted at 127°.

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59 Example 8

1-[3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]thiene-2-yl carbonyl] pyrrolidone

To 2.5 ml of a 2M-solution of trimethyl-5 aluminum in toluene was added 20 ml of methylene chloride and 400 μ of pyrrolidine. To this solution was added .66 g of methyl 3-[[(4-methoxy-6methylpyrimidin-2-yl)amino carbonyl]aminosulfonyl]-10 2-thiophenecarboxylate. The resulting solution was stirred under nitrigen overnight at room temperature, quenched with 5N aqueous hydrochloric acid, and extracted with ethyl acetate. The residue obtained from evaporation of solvent was washed with ether 15 to afford .6 g of product, mp 184-5°, which showed absorption peaks at 1.8-2.2 ppm and 3.2-3.8 ppm for the pyrrolidine ring and no methyl ester peak, and all other signals indicative of the desired product.

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TABLE I-a



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	7 ,	СН ²	<u>~</u>	<u>Rs</u> H	сн ² <u>z</u>	
10	н	CH3	o	н	CH3	- с осн ₂ -с-он
· ·	Ħ	CH 3	0	н	CH ₃	о осн ₂ С-хн ₂
	ä	CH3	0	н	СН3	осн ₂ сн ₂ с-х сн ₃
15	н	CH ³	0	н	CH ₃	ס ריי
	н	C∺.²	o	н	СН3	ĆH t
	Ħ	CH ²	o	н	СH ₃	OCH-C-N-CH5 OCH-C-N-CH5
20	н	CH ₃	ი	н	CH ³	GH ₂ (GH ₂) ₃ CH ₃
	н	CH ²	0	H	CH ³	осн ₂ с-о-с ₂ н ₅ осн ₂ с-о-сн(сн ₃) ₂
25	н	CH ²	Q	н	СH ₃	oa13c-0-a1(cii2)3
	Ħ	CH ³	o	H	CH3	сн ² осн-с-о-(сн ²) ² сн ² осн ² с-о-сн(сн ²) ³
	н	CH 2	0	н	CH ²	о осн-с-о-сн(сн ₂) ₃ сн ₃ сн ₃ сн ₃
30	H	CH ₃	0	н	CH 3	SCX
50	н	CH ₃	0	Н	сн ₃	
	H	CH ₃	0	H	CH 3	ия ² 7. ²
	H	CH ³	0	. н	CH ₃	∨нсн ³ ,2
	Ä	C∺ ²	0	H	СН3 .	Cu

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TABLE I-a (cont'd)						
	<u>∆</u> *	<u>R</u> I	<u> </u>	Rs	Z	<u>Y</u>
	н	CH ₃	o	н	CH3	- _и <С ³ Н ²
10	. н	CH ²	o	н	CH3	. (сн ₂) ₃ сн ₃
	H	CH ₃	0	н	CH ₃	VH CH 2
15 .	н	СН3	o	H	CH3	NH-
	н	CH ₃	c	Н	сн ₃	XH—S
	н	СH ₃	o	н	CH ²	NH-CH2CH=CH2
20	н	. CH ²	o	н	CH 3	MH-CH3CH=CHCH3 CH3
	н	а;	0	н	CII ²	N- (CH ₂) ₂ OCH ₃ CH ₃
	H	CH3	o	н	CH ₃	ų-сп-сп ₂ осн ₃
	н	CH3	C	Ħ	CH3.	хн- (СП ₂) ₂ СС ₂ П ₅ СН ₃
25	н	CH 3	e	H	CH ₂	N-CH2CN
	ä	CH3	e	Н	СН ₃	сн ² хн-сн-сх
	н	CH ²	o	н	CH3	.ч-сн-со <u>г</u> н .сн²
30	Ħ	CH3	0	н	CH ²	хн-сн-со ₂ сн ₃ сн ₃
	ĸ	CH3	0	н	CH ²	CH ₃ X-CH ₂ CO ₂ C ₂ H ₃

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		TABL	E I-a (c	ont'd)		· · · <u>-</u>
	<u> 4</u> .	<u>R</u> I	<u>p.</u>	<u>R</u> s	<u>X</u>	<u>Y</u>
10	н	CH ²	o	н	CH 3	
	н .	CH ²	Q	н	CH ³	, 7
	н	CH 3	0	н	CH ₃	0-0-45
	н	CH ₃	Q	H	CH ₃	0- <u>n</u> -C ₅ H-
	H	CH ₃	0	. #	CH ₃	0-CH(CH ₃) ₂
15	.	CH3	0	н	СН ³	0-CH-C2H5 CH3
	H	CH 3	0	H	CH ₃	о-сн ₂ ст ₃
	н	CH 2	0	H	CH 3	O-CH2CH2C1
	H	CH ₃	. 0	Н	CH 3	O-CH ₂ CH ₂ R ₇
-	Ħ	CH ₃	0	н	CH ₃	0-СH ₂ СС1 ₃
	н	CH ₃	0	H	CH ₃	O-CH ₂ CN
20	н	CH 3	O	អ	CH ₃	O-CH-CN CH ₃
	н	CH 3	0	н	СН ₃	O-CH2-CH=CH=CH5
	н	CH ₃	•0	H	СН ₃	0-CH2-C=CH
	н	CH 3	0	н	CH ²	0-CH2-C=C-CH2C1
25	н	CH ₃	0	н	СH ²	0-0112
	Н	CH3	С	н	CH 3	\sim
	H	CH ₃	0	H	СН ₃	S - CII 3
	н	CH 3	. 0	Н	СН <mark>3</mark>	S-CH(CH ₃) ₂
	н	CH 3	0	н	CH ²	S- <u>n</u> -C _d Hg
30	H	CH 2	0	H	CH ₃	S-CH2CH-CH2
	s-ch _s	CH3	0	H	CH ²	OCH ₃
	5-CH ₅	CH 3	9	H	CH ₃	och3
	3-C1	C:: 3	0	H	CH 2	OCH3
	5-C1	CH ₃	3	Ħ	CH 3	OCH ²
	5-C1	C∺2	О	я.	CH 2	0CH ³

<u>Y</u>

осн₂

осн₃

0CH 5

OCH 2

OCH₅

0

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<u>R</u> I	<u>w</u>	Rs	\overline{x}
CH 3	0	н	CH ₃
CH2	0	H	CH ₃
CH ²	0	H	CH ₃
CH3	0	H	CH 2
C∺3	0	H	CH ₃
(CH ₂) ₅ CN	0	H	CH2
CH=CHCH;C1	0	Ä	CH ₃
FCH(CH_)2C1	0	н .	CH ₃
C=CCH ₂ Cl	Q	Н	CH ₃
	_		-

H

H

CH 5

C∺3

CH²

0C#3

OCH₃

0Ci:5

TABLE I-a (cont'd)

	н	(CH ₂) 5CN	0	н	CH ₃	осн ₃
	н			ä	CH ₃	осн ₃
15				н .	CH ₃	осн ₃
1.0	. н	CH_C=CCH_C1	Q	н	CH ²	OCH 3
	ä.	CH2C=C(CH2) 3C1		H	CII ²	ocn ²
	н	\Diamond	c	н	CH 3	0CH3
20	ä	-	o	. н	CH ₃	oc113
	н	-CH ₃	٥.	Iŧ	CH ₃	0CH ₃
	н	H ₃ C	o	Ħ	CH ³	0CI1 ²
25	#		0	н	- СH ₃	осн ₃
	н		o	Ħ	CH ²	OCH ₃
	н	CH ₂ —	0	н	CH ²	0Ci1 ²
	. н	CH Z	o	Ħ	CH ²	0CH ²

 $\mathbf{R}^{\mathbf{I}}$

<u>4</u>,

5-Br

5-Br

5-37

5-C2H5

H

Ħ

5-n-C4H9

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30

J

CH;CH;-(

10	<u>A'</u> <u>B</u> I	<u>F</u>	R =	<u>z</u>	<u>Y</u>
	н сн ₃	ē	н .	oCi ¹ 5	S-CH ₂ -C≡CH
	н сн ₃	0	н	осн ₃	.S-CH-CX
				•	ĊH 3
	н сн ₃	Q	н	OCH ₃	S-CH ₂ CN
	H CH3	s	н	OCH 3	CH ₃
	н сн ₃	S	н	CH 3	CH ₃
15	н сн _з	5	н	OCH 3	СН ²
	н сн _з	s	н	осн ₃	CH ₃
•	н сн ₅	s	CH 3	осн ₃	och ₅
	н сн _э	5	CH ²	CH3	OCH ₃
	н сн ₃	5	ห	OCH ₃	0C2H5
	н снз	0	CH 3	осн ₃	OCH ₃
20	н сн ₃	0	CH ₃	CH ₃	00113
20	н сн ₃	0	CH ₃	CH ₂	CH ₃
	· H CH3	0	CH ²	CH ₃	00112002013
	4-C1 CH ₃	O	н	CII3	ocu ₃
	4-C1 CH(CH ₃) ₂	0	н	CII3	OCH ₅
	4-C1 CH2CH=CH2	0	н	Cli ₃	ocn ₃
	4-3r C ₂ H ₅	c	H	Cit ²	оси ₅
25	4-CH3 CH2CH2C1	0	н	C11 ²	OCH2
	4-C2H5 CH(CH3)2	0	Н	CH ₃	0CH 3
	4- <u>n</u> -C4H9 CH2CH=CH2	Q	Я	CH 3	OCH 3
	4- <u>i</u> -C ₃ H- CH ₃	0	H	CH 2	0CH 3
	S-C1 C ₂ H ₅	0	н	CH 3	ocii 3
	5-3r CH ₃	0	. H	CH ₃	0CH 3
30	5-CH ₃ CH(CH ₃) ₂	С	H	CH 3	осн ₃
30	н С ₂ Н ₅	0	H	CH 3	och 3
	H CH(CH ₃) ₂	0	Н	OCH3	OCH 2
	н сн ₂ сн-сн ₂	e	H	CCH 5	0CH 3
	H (CH ₂) 3CH ₃	0	H	CCH ²	0CH 3
	н (СН ₂)4СН ₃	0	H	OCH 3	OCH 3
	H ÇH(CH ₂) ₂ CH ₃	С	H	OCH 3	CCH 3
35	ÇH3 .				
	H (CH ₂) ₅ CH ₅	. 0	Н	OCH 3	CCH ²

TABLE I-a (cont'd)

10	<u>A</u> '	<u>R</u> I	<u>ii</u>	<u> Re</u>	X	Y
10	н	CH ₂ CH=CHCH ₃	ō	н	och;	OCH;
	Н	CH; CH=CHC;H5	0	H	OCH ₃	. OCH ;
	н	대2대+대(대3) 2	ð	H	осн ₃	осн ,
•	н	(CH ₂) ₃ C1	e	Н	och,	OCH 5
	н	(CH ₂) ₅ C1	0	H	осн ₃	0CH ₃
	н	(CH ²) ⁶ 0CH ²	e	H	och ²	OCH ₃
15	H	CH ₂ CN	Q	н	ocx-	OCH-

× 67

		Table 1-a	a (c	ont'	<u>d)</u>	
	A'	RI	W	R ₅	X	Y
	4-OCH ₃	CH ₃	0	H	CH ₃	CH ³
5	5-0CH ₃	CH ₃	0	н	©⊞3	∞
	4-NO ₂	CH ₃	0	H	GH ³	$\alpha = 3$
	5-NO ₂	CH ₃	0	H	сн ₃	осн ³
	4-CF ₃	CH ₃	0	H	CH ³	∞H^3
	5-CF ₃	CH ₃	0	H	Œ13	$\infty \mathbb{R}^3$
10	H	त्मरैक्नरैक्नर	0	H	CH3	$\infty \mathbb{H}_3$
	H	CH2CCl3	0	Н	CH3	∞H^3
	Н	(CH ²) ² CI	0	H	CH ₃	ocH ₃
	н	(CH ₂) 4Cl	0	H	CH ³	осн ³
	Н .	~ : ~ :	0	Ħ	CH ³	осн ³
15	H	(CH ₂ (₄ Br	0	H,		осн ₃
	H	(CH ₂) ₆ Br	0	H	CH3	∞H ₃
	H	(CH ₂) ₆ F	0	H	CH ³	OCH ₃
	H	(CH ₂) ₄ F	0	Ħ	CH ₃	. ∞H ³
	Ħ	(CH ₂) ₃ F	0	H	Œ ₃	∞H^3
20	H	CH ₂ CF ₃	0	H	Œ13	∞ H ₃
	H	CH ₂ CN	0	H	CH ₃	осн ³
	н	\bigcirc	0	H	CH ₃	œH³
25	H	\bigcirc	0	н	CH ₃	осн ³
30	н	CH ₂ -	0	Ħ	CH ₃	œH³
	н	CH ₂ -	0	н	CH ₃	ocH³

x	68				
		Table	1-a	(cont'd)	
	A'	RI	W	X	Y
, 5	H	CH ₂ -	0	GH ³	○CH ₃
· . · .	Ħ	CH ₂ -	0	CH ³	Œ ₃
10	Ħ	ÇH ₂ -	0	CH ³	осн ₃
15	H H H H	-CH ₂ OCH ₃ -CH ₂ OC ₂ H ₅ -CH ₂ OCH(CH ₃) ₂ -CH ₂ O- <u>n</u> -C ₄ H ₉ H	0 0 0 0 0	면 3 면 3 면 3	осн ³ осн ³ осн ³ осн ³
20	H	н	0	CE ³	осн ³ ося ³

TABLE I-b

• .		(O C-OR ^I		ŗ	
10		A' —	S02N-0		,	
	<u>a</u> '	RI	\overline{R}	<u>Rs</u>	\overline{z}	<u>Y</u>
	<u>A'</u> H	CH 3	$\frac{o}{\kappa}$	н	CH 3	Cl
	н	CH 3	0	H	н	н
	H	CH3	0	Н	Cl	Ć1
15	Н	CH 3	0	н	OCH2CH3	CH2CH3
	н	CH3	Q	н	CH 3	CH(CH ₅) ₂
	н	CH 5	Q	H	CH 3	СH ₂ СH ₃
	H	CH;	o	H	CH ₅	сидосид
	н	CH ₃	0	н	СН ₃	CH3CH10CH3
	H	CH ₃	O	H	CH 5	(CH2)40CH3
20	Ħ	CH 3	ç	н	CH 5	(CH2)20C2H5
20	H	CH 5	e	н	OCH 5	CH2CX
	Ħ	CH3	O	Н	ċCH2	CH-CX .
						сн ₅
	Н	CH ²	С	H	0CH 3	(CH ₂) ₅ CO ₂ CH ₅
	Н	CH ²	O	H	OCH 3	CH2CO2C2H5
	ä	C∺3	0	H	о с н 3	CH ₂ CF ₃
25	Н	CH 3	O	H	ссн ²	CH2CH2C1
	Н	CH 3	o	н	och 3	CI: 2
	н	CH3	0	н	осн ₃	сн ₂ сс13
	H	CH 3	Q	н	осн²	(CH2)4C1
	н	CH 3	0	ä	CCH ²	(CH2) 32r
	H	CH 3	0	Н	осн ₂	CH2CH+CH2
3.0	н	CH 3	c	H	OCH 3	CH2CH=CHCH2
30	H	CH 2	0	H	CH 3	O(CH ₂) ₂ OCH ₅
	H	CH 3	C	н	CH2	O(CH2)30C2H3
	H	CH;	3	H	CH 3	O(CH2)20CH(CH3)2

			TABLE I	<u>-c</u>						
10	CORI SO2-N-C-N-X									
	\$ '	. <u>s</u> !	ж.	35	X	ŗ				
15	ĸ	CH ₃	$\frac{0}{R}$		CH ₃	<u>Y</u> C1				
	Ħ	CH ₃	o	4	н	н				
20	н	CH ₅	C	н	C1	cı				
	н	CH ₃	0	н	OCH ₂ CH ₃	CH2CH3				
	H	CH ₃	o	H	CH ₃	CH(CH ₅) ₂				
	H	CH 3	e	Н	CH 3	CH2CH3				
	H	CH 2	c	H	сн ₅	CH20CH3				
	н	CH ₃	0	Ħ	СН <mark>3</mark>	CH3CH2OCH3				
	¥	CH 3	o	H	CH ₃	(CH ₂) 40CH ₅				
	H	CH 2	0	н	.CH2	(CH ₂) 2002H5				
	ä	CH ₃	o	H	0CH ²	CH2CN				
	Н,	CH3	o	н	oCH3	cu-cx				
25	H	CH 2	0	H	o⊂H <u>5</u>	(CIIZ) 3COZCH3				
	Н	CH ₃	. 0	H	OCH 3	CH2CO2C2H5				
30	H.	CH 2	C-	H	och3	CH2CF3				
	H	CH ₃	c	H	осн ₃	CH ₂ CH ₂ Cl				
	H	CH ²	э	H	OCH ₃	CF ₃				
	н	CH3	G	H	0CH 2	CH2CC13				
	H	CH ₃	0	ä	0CH 2	(CH ₂) ₄ C1				
	H	CH 3	0	H	0CH 3	(CH2) 38:				
	H	C# 3	c	H	CCH ₃	Cii2CH+CH2				
	H	C∺ ²	Q	Ħ	OCH 2	CH2CH=CHCH2				
	Ħ	CH3	С	H	CH 5	G(CH ²) ² OCH ²				
	Ħ	Ci 3	Ú	H	CH2	0(CH ₂)30C ₂ H ₃				
	Ħ	CH 3	0	Ħ	CH 3	0(CH_) 20CH(CH3) 2				

TABLE I-d

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SO ₂ NC-N-Y SO ₂ NC-N-Y C-OR ^I X										
		I e	t.	5 -	Υ.	·				
	й ў.	<u>₹</u> I	<u>o</u> <u>ĸ</u>	<u> 35</u> . H	СН <u>3</u>	<u>Y</u> C1				
15	n H	-	. 0	H	H Cu2	H C1				
	•	CH 3				C:				
	н ,,	CH3	0	н 	C1					
	н	CH ²	0	н	OCH 1 CH 2	CH2CH3				
	Н	CH ₃	o	н.	CH ₃	CH(CH ₅):				
	Н	CH 3	Q	H	CH 3	CH ₂ CH ₃				
20	Ħ	CH 3	c	H	CH 3	CH ² OCH ²				
	н	CH 3	Q	H	CH 3	CH3CH2OCH3				
	ä	CH 3	C	Н	CH 3	(CH2)40CH3				
	н	CH 3	0	H	CH ₃	(CH2) 20C2H5				
	ä	CH3	. 0	н	OCH 3	CH ₂ CN				
	H	CH ₃	0	н	осн ²	Сн - сх				
	Н	CH 3	0	H	OCH 2	(CH2) 5002CH3				
,	H	CH ₃	0	H	och 3	CH2CO2C2H5				
25	• ∺	CH3	0	н	оєн ₃	CH2CF3				
	н	CH 3	0	н	осн ₃	CH ₂ CH ₂ CI				
	H	CH 3	0	н	och 2	CF ₃				
	H	CH3	0	H	OCH 2	CH ₂ CCI ₅				
	н	CH 3	0	H	0CH ²	(CH ₂) ₄ Cl				
30	Н	CH3	0	H	OCH 3	(CH ₂) ₃ 8+				
	н	CH 3	0	Ħ	OCH ₃	CH 2 CH = CH 2				
	Ħ	CH 3	Q	H	. осн ₂	CH2CH=CHCH2				
	Ħ	CH3	0	н	CH ²	O(CH2)20CH3				
	н	CH ₃	Ç	H	CH ²	O(CH2)30C2H3				
	#	C∺ 5	o	H	CH 3	o.cH ²) ² cch(CH ²) ²				

0(CH2)20C2H2

0(CH2) 20CH(CH3) 2

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0

			TABLE I	<u>-e</u>		
10			SO ₂ N-C- H C-OR ^I Ö	N N N	Y > X .	
-	Α'	<u>R</u> I	х	<u> 55</u>	<u>x</u>	<u>Y</u>
	<u>А</u> *	CH 3	<u>x</u>	H	ся≒	C1
	H	CH ₃	o	Н	ห	н
15	н	CH3	o	н	C1	C1
-	н	CH ₃	0	H	OCH2CH3	CH2CH3
	н	CH ₃	0	н	CH 3	CH (CH ₃) 2
	H	CH ₃	e	H	CH ₃	CH2CH3
	Ä	CH ₃	e	н	CH ₃	CH2OCH3
	H	CH 3	o	н	CH ₃	CH3CH2OCH3
	H	CH ₃	°o.	н	CH ₃	(CH2)40CH5
20	H	CH 3	0	H	Cili	(CH2) 20C2H5
	Н	CH ₃	e	H	OCH 3	CH ₂ CN
	H	CH ²	c	Н	OCH 3	CH-CN
						ĊH3
	H	CH 3	0	H	OCH 3	(CH ₂) ₃ CO ₂ CH ₃
	H	CH ₃	C	Ħ	OCH 3	CH2CO2C2H5
25	Н	CH ₃	o	H	осн ²	CH ₂ CF ₃
	H	CH 3	o ·	Н	осн ₃	CH ₂ CH ₂ CI
	H	CH;	0	ä	осн 3	CF;
	H	CH3	0	H	oc#3	CH ₂ CC1 ₃
	H	CH ₃	0	H	0CH 3	(CH2)4C1
	H	C#3	O	H	oCH ²	(CH2) 5Rr
	H	C∺3	0	H	ocH²	CH2CH=CH2
30	н	CH ₃	e	H	OCH 2	CH;CH*CHCH;
	H	CH3	0	H	CH 2	O(CH ²) ² OCH ²
			_	••	~	A 4 (C) 1

ä

H

CH²

CH²

0

0

C;²

Ci 2

Ä

TABLE I-E

•			A'	ж 502йС-й я я́			
			\(\frac{1}{1} \)		²);————————————————————————————————————		
10				C-CRI			
		<u>A</u> . H	<u> 3</u> I	<u> </u>	<u>R:</u>	<u> </u>	<u>Y</u>
			CH 5		H	CH ₃	
		н	CH ₃	0	н	Н	Н
	•	н	CH3	0	H	C1	C1 .
	•	н	CH 3	0	н	OCH 2CH 3	СН <u>-</u> СН ₅
15		н	. CH ₃	0	H	CH3	CH(CH ₃) ₂
		н	CH 3	Q	н	CH ₃	CH2CH3
		H	CH 3	O	н	СН ₃	CH2OCH3
			CH ₃	Q	н	СН ₃	сизсизосиз
		н.	C∺ 5	o	н	CH ₃	(CP2)40CH5
		H	CH ₃	0	н	Cil ₃	(CH2)20C2H5
20		#	CH ₃	0	н	OCH ₃	CH ₂ CN
		H	CH ₅	O	н	0CH 3	CH - CN
			•				Ċ113
		9	CH ₃	0	н	och 3	(CH2) 3CO2CH3
		H	CH ₃	C	ĸ	och 3	CH2CO2C2H5
		Ħ	CH 3	o	н	OCH 3	CH ₂ CF ₃
		Н	CH 3	0	H	· CCH 3	CH ₂ CH ₂ C1
25		H	CH 3	0	н	осн ₃	CF 3
		н	CH ₃	0	H	OCH 3	CH ₂ CC1 ₅
		н	CH ₃	0	н	осн ₂	(CH ₂) ₄ C1
		H	CH 3	0	н	0CH 2	(CH2) 38t
		H	CH 3	0	н	осн ₃	CH2CH=CH2
		H	CH ₃	c	н	OCH 3	CH2CH=CHCH2
		н	CH ₃	o	H	CH 3	0(CH2) 20CH3
30		Ħ	CH 2	o	H	C∺ 2	0(CH1)30C1H3
		H	CH 3	0	Н	CH 3	0(CH2)20CH(CH3)2
			-			-	

ABLE I-g

			o C-or ^I		•	
		[Υ	
		* — (20°A	, i		•
10		`	O SC ² N	Ŕ ₅ .≔	_/	•
				6	X	
	<u>А</u> ' Н	<u> </u>	<u>o</u> <u>ĸ</u>	<u> </u>	<u>z</u>	<u>Y</u>
		CH 3	0	н	Cii 3	<u>7</u>
•	н	CH ₃	0	H	H	н
	н	CH3	0	ä	C1	C1
15	Н	CH ₃	0	H	OCH ₂ CH ₃	CH2CH3
15	. н	CH 3	O	н	CH ₃	CH (CH3) 2
	н	CH ₃	o	Н	СН ₃	CH2CH3
	H	CH 3	c	H	CH ₃	CH20CH2
	H	CH ₃	0	н	СН ₃	CH3CH2OCH3
	H	CH ₃	r	Ä	CH 3	(CH ₂)40CH ₃
	н	CH 3	0	Н	Ci! 3	(CH ₂) 2002H5
20	H	CH 3	o	H	ocn3	CH2CN
	H	CH 3	O	н	0CH3	CH - CN
						Ċ113
	H	CH3	٥	Н	oc# 3	(CH ₂) ₃ CO ₂ CH ₃
	н	CH 3	0	H	CH ₃	CH2CO2C2H5
	H	CH3	0	H	0CH ²	CH ₂ CF ₃
	н	CH 3	0	H	- 0CH 3	CH2CH2C1
25	H	CH 3	0	Н	OCH ₃	CF3
•	H	CH3	0	H	OCH ₃	CH2CC13
	H	CH 2	0	H	0CH ₃	(CH2)4C1
	Ħ	CH 5	ο .	н	OCH 2	(CH ₂) 38+
	H	CH ²	0	н	0CH3	CH2CH=CH2
	H	CH ²	e	н	OCH 5	CH2CH=CHCH2
	H	CH ²	0	н	CH3	0(CH ₂) ₂ 0CH ₃
30	H	CH 3	c	·H	C'n²	O(CH2)30C2H3
	H	CH 3	0	H	CH ₃	0(CH2)20CH(CH3)2

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TABLE I-h

10		A' —	CORI SO ₂ N	й - С- N- я 5	Y .	•
	<u>A</u> '	<u>R</u> I C∺3	<u>K</u>	<u> 5</u> 5	$\overline{\chi}$	Y
	<u>н</u>	CH ₃	<u>o</u> <u>k</u> .	н	CH ₃	<u>Y</u> C1
	Н	CH ₃	0	н	н	H
15	H	C∺3	0	Н	C1	Cl
13	Н	CH ₃	0	н	осн ₂ сн ₃	CH2CH3
	ĸ	CH ₃	0	н	CH ₃	CH (CH 3) 2
	H	CH 3	Q	H	CH ₃	CH2CH3
	H	CH;	c	Н	CH2	CH2OCH5
_	. #	CH ₃	0	н	CH ₃	CH3CH2OCH3
	H	CH ₅	e	Н	CH ₃	(CH2)40CH5
20	H	CH3	Ċ	. н	CH ₃	(CH ₂) 20C ₂ H ₅
	н	CH ₅	c	Н	00113	CH ₂ CN
	н	CH 3	Q	H	0013	CH-CM
•					•	ĊH3
	Ħ	CH 3	` 0	н	och 3	(CH ₂) ₃ CO ₂ CH ₃
	H	CH 3	C	H	೧೯೫೨	CH2CO2C2H5
25	H	C∺ 3	0	H	COCH 3	CH2CF3
4.3	н	CH ₃	c	H	осн ₃	CH ₂ CH ₂ C1
	ä	CH 3	C	н	OCH 3	CF ₅
	н	CH3	С	H	0CH 2	CH2CCI3
	H	CH 3	O	н	OCH 3	(CH ₂) ₄ C1
	H	CH 3	. 0	#	CCH 3	(CH2)38t
	н	CH 3	0	н	OCH ²	CH2CH=CH5
30	Ħ	CH 2	o	н	ссн ³	CH2CH=CHCH2
	ä	CH 3	0	H	CH3	G(CH ₂) ₂ OCH ₃
	H	CH 3	0	H	CH3	o(CH1)30C2H3
	H	CH 3	С	ï	CH ₃	0(CH3)30CH(CH3)3

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TABLE I-i

· .					Y	
				ç-й—\	$\overline{\ \ }$	
10		a' —#	C-OR	ik ₅ ∖v≕ LI	<i>x</i> − .	
	₹.	<u>R</u> I		<u>3:</u>	<u>z</u>	<u>Y</u>
•	<u>:2</u> Н	CH 5	<u>6</u> <u>K</u>	H	CH 3	c1
	н Н	CH ₃	Ō	н	н	н
•	H	CH;	o	н	Cl	C1
15	н	CH3	0	H	OCH_CH3	CH2CH3
	 Н	C#3	0	н	CH ₃	CH(CH ₅) ₂
	н	CH ₅	e	н	CH ₃	CH2CH3
	H	CH 3	e	H	GI ₃	CH2OCH3
	н	CH 5 .	o	н	CH ₅	CH3CH2OCH3
	H	CH3	c	н	CH3	(Cit2)±0Cli3
20	н	CH3	0	H	C113	(CII2) 20C2II5
20	н	CH ₃	o	Ħ	oci12	CH ₂ CN
	н	C∺3	o	H	OCH ₃	CH- CN
	н	CH3	0	Н	OCH 3	(CH ₂) 5CO ₂ CH ₅
	H	CH ²	0	н	OCH 3	CH2CO2C2H5
	H	CH ²	э	H	, uch ²	CH2CF3
25	5	CH ²	e	H	CCH 3	CH_CH_C1
	H	CH ₃	э	н	CCH 3	CF ₃
	H	CH3	0	н	OCH 3	СН ₂ СС1 ₃
•	H	CH ₃	0	н	осн ₃	(CH ₂) ₄ C1
	Н	CH ₃	Q	н	OCH 3	(Cii2) 32r
	H	C∺3	0	н	OCH 3	CH:CH=CH2
	H	CH3	Q	H	OCH 3	CH2CH=CHCH2
30	#	CH ₃	0	H	CH 3	O(CH2) 20CH3
	H	C4.2	e	H	CH ₃	0(CH2)30C2H3
	H	CH 3	e	Ħ	CH ₃	0(CH ₂)20CH(CH ₃)2

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TABLE I-j

10		A'-	50-N-C- H-C-OR ^I	й Д	x .	
•	Н 7 ,	<u>z</u> I	R	<u> 3.5</u>	$\overline{\chi}$	<u>Y</u>
	H	CH 3	<u>0</u> <u>R</u>	н	СН ₃	C1
	H	CH ₃	0	н	н	H
15	Н	CH 3	0	H	C1	C1
	H	CH 3	0	H	OCH2CH3	CH_CH3
	н	CH ₃	0	H	CH ₃	CH(CH ₅):
	н	CH 3	C	H	CH ₃	CH2CH3
	H	СН <u>3</u>	c	, н	CH ₅	CH2OCH4
	н	СН ³	0	H	СН ₅	CH3CH2OCH3
	H	CH 3	0	н	CH 3	(CB2) 40CB2
20	н	CH 3	0	· H	CII 3	(CH2) 20C2H5
	н	CH 3	0	н	0CH 2	CHIZCN
	H	CH ₃	C	H	OCH 3	CH - CN
•						čii ₃
	H	CH 3	0	ä	OCH 5	(CH ₂) 3CO ₂ CH ₃
	Н	CH 3	0	H	, CCH 3	CligCOiCills
25	H	CH ₃	e	н	och 3	CH2CF3
23	H	CH ₃	0	н	6СН ²	CH ₂ CH ₂ CI
	н	CH 3	0	н	осн ₃	CF ₃
	H	CH3	0	н	och3	CH ₂ CC13
	H	CH 3	0	H	oc∺3	(CH2)4C1
	Н	CH ₃	0	H	0CH 3	(CH2) 32+
	H	CH 3	C	Н	OCH ₃	CH 2 CH * CH 2
30	Н	CH3	0	H	OCH 3	CH2CH=CHCH2
	ä	CH3	0	н	CH 3	0(CH ₂)20CH3
	H	CH 2	0	#	CH ₃	0(CH ₂)30C2H ₅
	Ħ	CH 5	e	H	CH 3	3(CH2)30CH(CH3)3

5

TABLE I-k

10		A' —	502N- ii C-OR	x²	-X .	
٠	<u> </u>	<u>R</u> I	<i>H</i> .	Rs	<u>X</u>	<u>Y</u>
	H	CH 3	$\frac{o}{\kappa}$	H	CH 3	<u>Y</u> C1
	H	CH;	0.	н	н	н
15 ·	н	CH ₃	0	н	C1	C1
	н	CH 3	0	н	OCH2CH3	CH2CH3
	н	CH3	0	н	CH ₃	CH(CH ₃) ₂
	H	CH 3	e	H	C∺ 2	CH ² CH ³
	H	CH ₃	c	H	CH3	CH2OCH3
20	H	CH ²	o	н	CH3	CH3CH20CH3
	н	C∺3	e	H	CH 3	(CI12)40CII3
20	н	CH 3	0	H	CH ²	(CII2)20C2II5
	H	CH ₅	0	н	OCH 3	CH ₂ CN
	н	CH3	C	H	OCH 3	CH-CN
						ĊĦ3
	H	CH 3	9	н	OCH 3	(CH ₂) ₃ CO ₂ CH ₃
	H	CH3	c	H	och 2	CH2CO3C3H2
25	H	CH ₃	0	H	осн ₃	CH2CF3
	H	CH 3	0	H	och 3	CH ₂ CH ₂ Cl
	н	CH ₃	o	H	0CH ³	CF3
	Н	CH ₃	0	H	0CH 3	CH2CC13
	H	CH 3	e	H	och 3	(CH ₂) ₄ Cl
	a	CH ₃	0	H	осн ₃	(CH ₂) ₅ 2r
	н	CH ²	0	H	ося ²	CH3CH=CH3
30	н	CH ²	e	н	CCH 2	CH2CH=CHCH2
	H	CH ₃	٥	H	CH 2	0(CH ₂)20CH3
•	н	CH ₃	Ο.	H	Ch.²	o(CH2)20C3H5
	→ ∺	CH 3	0	н	CH 3	ө(СН ₂) 2ССН(СН ₃) 2

TABLE I-1

10		۲. گ	SO ₂ N- H C-OR	Ŕς	<u>-</u>	
	à'	<u>R</u> I	<u> </u>	Rs	$\overline{\overline{x}}$	<u>Y</u>
•	н	. CH3		Н	CH ²	C1
	н	CH ²	0	H	H	Н
15	H	CH 3	0	Н	Cl	C1
	Н	СН ₃	0	H	0CH2CH3	CH2CH3
	H	СН ₃	C	H	CH 2	CH(CH ₃) ₂
	ਜ	CH ₅	O	H	CH 3	CH ₂ CH ₃
	H	CH 3	' c	н	CH ₃	CH2OCH3
	H	CH 3	c	н	CH ₃	сизсизосиз
	Ħ	CH 3	C	H	CH 3	(CH2) 40CH2
20	H	CH 3	Q	H	CII3	(CH2) 2002H5
	ä	CH 2	e i	H	OCH 3	CHECN
	н	€H3	Q	Ħ	осн ₃	CH-CN
						ĊīI3
	Ħ	CH ²	0	Н	осн ₃	(CH ₂) 3CO ₂ CH ₃
	н	CH ₃	0	н	осн ₃	CH2CO2C2H5
25	H	CH 3	0	н	OCH 3	CH ₂ CF ₃
45	н	CH ₃	0	H	осн ₃	CH2CH2C1
	н	CH 3	0	н	осн ₃	CF ₃
	ä	CH3	0	H	0CH 2	CH ₂ CC1 ₃
	H	CH ₃	0	H	OCH 3	(CH ₂) ₄ C1
	н	CH 3	0	ä į	осн 2	(CH2) 38+
	H	CH ₃	0	H	OCH 3	CH2CH=CH2
30	H	CH ₃	0	H	0CH 3	CH2CH=CHCH2
	H	CH3	0	H	CH 3	O(CH ₂) 20CH ₃
	Ħ	CH ₃	0	# '	CH3	0(CH ₂)30C ₂ H ₃
	Ħ	CH ²	¢	H	CH ₃	0(CH ₂);0CH(CH ₃);

0	80 <u>TABLE II-a</u> O								
		A!	o C-or ^I `so ₂ x-o	9.5	> x ₁				
5				×5 .4==<	Yi				
-	<u>¥</u> ,	RI	<u>o</u> <u>n</u>	25	<u>X3</u>	<u>Y 1</u>			
	н	CH 3		Ħ	H	н			
	н	CH ₃	0	H	н	OCH ₃			
	н	CH₃	c	н	H	- СH ²			
10	н	CH ₃	0	н	Cl	. н			
10	н	CH 3	o	H	Ci	CCH 3			
	н	ся ² .	0	H	ci	CH ₃			
	н	C∺3	0	н	cсн ₃	н			
•	H	CH 3	0	H	och 3	OCH 3			
•	H	CH 3	0	н	OCH 3	CH ₅			
	н	CH ²	0	н	OC2H5	Н			
15	H	CH ₃	0	н	OC2H5	OCH 3			
	н	CH ²	0	н	OC2H5	CH 3			
	ä	CH ₃	0	н	. СН ²	н			
	н	CH ₃	Q	н	CH ₃	OCH2			
	н	CH 3	Q	н	СН ³	CH2			
	H	CH ₃	0	н	ocii2	oc113			
	5-C1	CH 3	0	H	och 2	осн _а			
20	3-CH ₃	CH ²	0	H	OCH 3	OCH ₃			
	3-3r	CH 3	0	н	UC11 ²	осн ₃			
	5-C ₂ H ₅	CH ²	0	н	och 3	UC112			
•	н	CH(CH ₃) ₂	O	CH	OCH 3	OCH ₃			
	H	CH2CH=CH2	0	CH	OCH 3	OC112			
	H	CH ₃	0	CH2	OCH ₃	OCH ²			
25	ä	CH ³	0	CH 3	och 3	осн ₃			
	н	CH ²	С	CH ² ·	0CH 3	CH ₃			
	н	CH 3	S	Н	CH 3	CH 2			
	H	CH ₃	S	Н	OCH 3	CCH 3			
	H	CH 3	S	H	H	S			
	H	CH(CH3)2	\$	H	CH ²	CH ₃			

0			81			
	-	τ.	ABLE II	- 0		
•		0				
		Š	-OR ^I			
			•••	ν— ^χ ι		
		, <u> </u>	30-8-6			
5		\\$ /	H A	Ŕ ₅		
•				Ϋ́1		
	7 ,	ŖI	w.	<u>R c</u>	<u>X1</u>	<u>Y1</u>
	<u>А</u> ' Н	CH 3	<u>0</u>	H 	H H	н Н
	н	CH ₃	e	• н	H	OCH ₃
	H	CH ₃	0	Н	н	СН ₃
10	H	CH 2	e	н	Cl	н .,
	н	CH 3	c	н	C1	ссн ₃
	·H	CH ₃	o	н	CI	CH ₅
•	ä	. СН ₃	0	н	осн ₃	я
•	н	CH ₃	_ Q	н	OCH 5	OCH 5
	H	CH ₃	0	н	OCH 5	CH ₃
15	H.	CH ₃	0	Н	OC 2H 5	н
13	н	CH ²	c	н	0C2H5	OCH 2
,	н	CH ₃	C	H	0C2H3	C113
	H	СН ₃	e	н	CH ₃	H
	н	CH ₃	e	н	CH ₃	OCH2
	н	CH ₃	e	H	CH ₃	CH ₃
	H	CH3	C	н	OCH2	0015
20	5-C1	CH 3	o	н	0CI13	OCH ₃
	3 - CH 3	CH3	G	H	och 3	ocii3
	5-37	CH 3	0	Н	೧⊄:3	OCH 3
	3-C2H5	CH ²	0	Н	осн ₃	oct13
•	H	CH(CH ₃) ₂	0	CH	0CH 5	осн ²
	H	CH2CH=CH2	c	CH	OCI13	CCI1 ²
25	н	CH ₃	0	CH ²	0CH 2	OCH ₅
23	Н	CH3	C	CH 2	осн 3	OCH ²
	н	CH ²	0	CH ²	осн ²	CH 3
	H	CH ₃	\$	H 	CH 3	CH 3
	н	CH3	\$	H	осн ₂	осн ²
	н 	CH ²	5	Н	H CH-	H CH-
	H	CH(CH ₃) ₂	S	Н	CH 2	CH 3

0	82 TA3LE_:I-c							
5 .	_	A' - 11 - 5	SO ₂ N- H C-OF	λ ₅ ∕γ=	X_1			
	A'	<u> </u>		<u> 8</u> 5	<u>X1</u>	<u>Y 1</u>		
	<u>A'</u> H	CH 3	$\frac{0}{x}$	Н	1	н		
	н	CH ₃	Q	н	н	0CH ₃		
	н	CH ₃	0	н	н	CH ₃		
	н	CH 3	o	н	C1	н		
10	н	CH 3	o	н	Cī	CCH ₃		
•	н	СН <u>3</u>	ø	н	Cì	CH ₃		
	· н	СН ₃	o	H	OCH 3	н		
	. н	_ CH ₃	o	н	OCH 2	осн ₃		
	H	CH ₃	O	н	OCH 2	CH 5		
	н	, сн ²	0	H	0C3H2	H		
15	H	CH ²	e.	H	0C2H5	осн ₅		
73	Н	CH ₃	. C	H	CC2H2	CH ₃		
	ü	CH ₃	e	H	CH ₃	H		
	Ħ	CH ²	e	Н	CH ₃	CCH ₅		
	H	CH ₃	C	н	CH ₃	CII ₅		
	н	CH3	o	н	och ₃	0CH ₅		
	. 5-Cl	CH ₃	0	Н	ocii ₃	OCH 2		
20	5-CH3	CH ²	O	H	OCII 3	ocn ₃		
	5-Br	CH ₃	0	H	och ²	осн ₅		
	5-C2H5	CH ₃	0	H	осн ₃	OCH ₃		
	ä	CH(CH ₅) ₂	9	CH	OCH 3	och_3		
	ä	CH2CH=CH2	e	CH	0CH ₂	ocii3		
	H	CH ₃	o	CH 3	oc#3	0CH ₃		
25	Ħ	CH ²	0	CH 3	, OC113	0CII 2		
25	н	CH ²	0	CH ₃	OCH 3	CH 2		
	H	CH 3	S	H	CH 3	CH 2		
	Н	CH ²	S	H	осн ₃	осн ₂		
	H	CH3	S	Н	H	H		
	н	CH(CH1) 1	\$	Ħ	CH 3	CH 5		

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5-II ELEAT

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5		· A' -	C-OR	ά ₅ 🔙	Y ₁	
			ö			_
	A'	ŖĬ	N.	<u> 95</u>	<u>X1</u>	Υī
	<u>А</u> ' Н	<u>R</u> I CH3	<u>o</u> <u>n.</u>	н	H	H
	н	CH ₃	Q	H	н	· осн ₅
10	H	CH _S	0	н	н	. СН ₃
						H
			· 0	H	C1	осн ₃
		-		н	Cl	СH ₃
	н	•	o	н	och ₃	н
•	. н		o	н		OCH 5
15	н		o	н		CH ₃
-, -	н		o	н		н
	н	C∺ ₃	0	H		OCH_{5}
	អ	-	O	н		C113
	н		9	н		н
	Ħ		o	н	CH ₃	OCH 3
	· :	-	o	н	CH ₃	CH ₃
20	н		, 0	н	OCH2	OCH ₃
	5-C1	-	0	н	00113	OCH 5
	5 - CH 3	H CH ₃ O H CH ₃ H CH ₃ O H CH ₃ H CH ₃ O H CH ₃ H CH ₃ O H CCH ₃ H CCH ₃ CCH ₃ O H CCH ₃ H CCH ₄ CCH ₃ O CCH CCH ₃	00115			
			0	H	0GH ²	00115
	5-C <u>:</u> H5		0	Н	осн ₂	OCH ₅
			0	CH		OCH ₃
25	H	CH2CH=CH2	Q	CH	- 0CH3	och ₂
23	H	CH ₃	0	CH 3	осн ₃	0C!!3
	Ħ	CH3	Q	CH 3	осн ₃	ocn s
	Ħ	CH3	0	CH ₃	0CH ²	CH ²
	н	댸	\$	н	CH 2	CH 3
	н	CH 2	S	н	осн ₃	0CH ²
	н	CH ₃	S	H	H	H
30	н	CH(CH ₃) ₂	S	H	CH ₃	CH 3

TABLE II-e

5			SO ₂ N-Č-? H FOR ^I	ds I	*1	
	<u>A</u> '	$\overline{s}_{\bar{1}}$	<u>K</u>	<u>Rs</u>	<u>X1</u>	Υ:
	н	CH3	<u>6</u> <u>K</u>	H	H	<u>У 1</u> Н
	н	СН ³	Q	· H	н	. UCH ²
	н	CH 3	0	Ħ	н	. CH ₃
1.0	н	CH 3	o d	Ħ	Cl	н
10	н	CH 2	e	н	C1	OCH ₃
	H	CH ₃	o	H	Cl	CH ₃
	Н	CH ²	o	Н	eсн ₃	H
<i>:</i>	H	CH ² ·	0	н	OCH ₃	6CH ²
•	Н	СН ₃	O	н	OCH 5	CH 3
	H	CH ₃	0	н	OCZHS	Н
15	H	CH ₃	0	H	QC2H5	ося ²
	H	CH 3	0	H	OC2H5	Ci! 5
	4	СН ²	o	н	CH 3	H
	н	C∺ ²	e	H	сн ₃	ocii3
	ä	CH 3	c	H	СН ₃	CH ₃
	H	CH ₃	ę	н	0CI13	0CH ₂
20	5-C1	CH 3	o	H	. och	OCH ₅
20	5- <i>C</i> H ₃	CH ²	O	H	OCH 3	ocu ₃
	5-5r	CH ²	0	н	∪CH ²	0CH3
	5-C ₂ H ₅	СН ₃	e	H	осн ²	OCH ₃
	H	CH(CH ₃) ₂	0	CH	осн ₃	осн ₃
	H	CH3CH=CH3	0	CH	0CH2	OCH ²
	н	CH ₃	0	CH 3	0CH2	0CH ²
25	Н	CH 3	Ō	CH ²	° oc∺3	OC!! 2
•	н	CH 2	0	CH ²	0CH 3	CH 2
	н	CH 2	5	H	CH 3	CH 2
	н	CH ²	S	H	och 2	осн ₃
	Н	CH2	S	H	Н	н
	н	CH(CH3)2	S	н	CH ²	CH 3

TABLE II-£

٨' ﴿	SO ₂ N-C H C-OR ^I	- N - N - N - N - N - N - N - N - N - N
<u>a</u> I	W	R.

<u> </u>	<u>a</u> I	<u>w</u>	Rs	<u>x</u> 1	<u>Y 1</u>
H	CH 3	ō		н	н
н	CH 3	Q	Н	н	- 0CH ₃
н	CH ₃	С	н	H	. CH ₃
H	CH 3	c	н	Cl	н
н	CH 3	o	H	Cl	осн ₃
H	CH 3	Q	Ħ	CI	CH ₃
Н	CH ₃	ō	H	och 3	4
н	CH 3	O	. н	OCH 5	GCH 3
н	CH ₃	O	Н	och 3	CH 3
Н	CH ₃	0	H	OC 2H 5	::
H	CH ³	0	Н	OC 2H 5	OCH 2
Н	CH 3	0	H	0C 2H 5	CH ₃
Н	CH ₃	0	H	C∺ 3	H
н	CH ₃	0	Н	CH ₃	OCH ₃
Н	CH2	O	Н	CH ₃	CH ₃
H	CH ₃	0	н	OCH 3	00115
5-C1	CH ₃	0	H	OCH 5	CCH ₃
5 - CH 3	CH ₃	0	H	осн ₃	och ₃
5-3r	CH ₃	0	н	OCH 3	0CH ₃
5-C2H5	CH ₃	0	H	och 3	OCH ₅
Н	CH(CH ₃) ₂	0	CH	OCH3	осн ₃
H	CH2CH=CH2	0	CH	0CH 3	OCH 3
Н	CH ₃	0	CH ₃	° ocH²	OCH 3
H	CH 3	0	CH ²	OCH 3	2 بازی و
H	CH3	0	CH ₃	oc∺ 3	CH 3
н	CH ₃	S	H	CH 2	CH 3
H	CH ₃	S	н	осн ₃	0CH ²
Н	CH 3	S	Н	н	H
H	CH(CH ₃) ₂	S	н	CH ₃	CH 2

TABLE II-g

		•	13255 1	1-6		
•		$A' = \frac{\int_{I} - 1}{I}$	o C-OR ^I	*		
5		<u>~</u> ~	^ so <u>a</u> x-	°C-Ņ - ✓	~ x ¹	
· .			н	R5	=< _{Y1}	
	1.	a.I		_	-	
	<u>А</u> '	ĞI CH3	<u>o</u> <u>x</u>	Re H	<u>Х1</u> Н	<u> Ү:</u> Н
	н	CH ²	Ċ	н	Н	
	н	CH 2	0	H	n H	. uch ³
10	H	CH ₃	0	я Н	C1	. сн _з
10	н	CH 2	Q	H	C1	H
	н :-	CH ²	0	H	Cl	осн _з
	н	CH ²	- 0	H	,осн ²	сн ₃ н
	н	· CH ₂	o	H	осн ₃	CCH 3
	н	CH ²	ō	 Н	OCH 5	CH ₃
	н	CH ₃	ō	и Н	0C2H5	H
15	H	CH ²	o	. н	0C2H5	осн _а
	н	CH ²	ō	. н	0C2H5	CI13
	н	CH ₃	0	н	CH ₃	н
	н	CH ₃	e e	H	CH ₃	ссн ₃
	н	CH3	o	н	СН ₃	СН <u>3</u>
•	Н .	CH ₃	o	H	o¢ii²	0CH ₃
20	5-C1	CH ₃	0	H	ocii3	och ₅
	5-CH ₅	CH3	С	H	осн ₃	OCH 5
	5-37	CH ₃	0	н	о с н ₃	0CH 5
	5-C ₂ H ₅	CH ²	o	H	осн ₂	осн ₃
	н	CH(CH3)2	С	CH	осн ₃	осн ₃
	9	CH2CH=CH2	C	СН	0CH3	оси ₃
	H	CH ²	0	CH 3	, осн ₃	осн ²
25	н	CH ₃	0	CH3	OCI13	oc∺²
	H	CH ²	0	CH ₃	осн ₂	CH 2
	Н	ᅋᇰ	\$	H	СН ² .	CH ₃
	н	CH ₃	S	н	0CH 3	осн ₃
	н	CH ²	S	н	н	H
	H	CH(CH ₅) ₂	S	H	CH ³	CH ₃

30

•			87	TABLE II-	<u>.</u>		
0.			•	0			
				<u>"</u> "	× 	$\vec{\gamma}_{i}$	
			A	, , , , , , , , , , , , , , , , , , ,	- x-(7.	
			~(H	άς \ =	<u>-</u> -(
_						Y1	
5		<u>Ā</u> '	<u> </u>	К	R ₅	<u>x</u> 1	<u>y.</u>
		H	CH ₃	<u>ic</u> 0	н	H	H
		Н	CH ²	Ō	н	н	OCH 5
		Н	CH ²	С	н	н	CH 3
		н	CH 3	o	н	C1	н
		H	CH 3	o	н	Cl	CCH 3
10		н	CH 3	o	н	C1	CH ₃
		H	CH 3	o	н	осн ₃	Н
		Н	다.	O	н	OCH ₃ .	ቦርዝ <i>፣</i>
	•	н	CH 3	o	ч	OCH 5	CH ₃
		H	CH 2	o	н	OC2H5	н
		H	CH 3	o	H	0C2H5	осн ₃
15		н	CH ²	C	H	CC3H2	CH ₅
13		н	CH ₃	o	H	CH 3	!!
		Н	CH ₃	0	н	CH ₃	0CH2
		H	CH3	e	н	СН ₃	CH ₃
		H	CH ₃	C	н	0CH ₃	OCH 5
		5-C1	CH3	0	н	0CH2	OCH ₅
		5- CH ₃	CH2	0	H .	2 K⊃0	ocn ²
20		5-Br	CH 3	0	н	OCH 3	OCH 3
		5-C2H5	CH 3	0	Н	0CH ²	OCH 3
		H	CH(CH ₃) ₂	0	CH	0CH ₃	0CH ₃
		н	CH:CH-CH		CH	0CH ²	CCI12
		H	CH ₃	C	CH. ²	0CH ²	OCI! 5
		H	CH 3	0	CH ²	UCH ²	OC!' 5
25		н.	CH ₃	0		. 0CH 3	CH 2
		H	CH 2	5	H	CH ²	0C∺² C∺²
		H	CH ₃	s s	н н	OCH ₃	н ося ³
		н	CH 2		H		ch ₃
		н	CH(CH ₃) ₂	5	r.	CH 3	-1.3

TABLE II-h

30

			TABLE I	<u>I-i</u>	•	
5		A' - 11	502N- H C-08	C-K-	X_1	
	<u>A</u> ,	<u>z</u> 1		R.	<u>X1</u>	\underline{Y}_{1}
	H	CH 3	<u>o</u>	H	H	н : Т
	н	CH ₃	0	н	н	. осн
	- н	CH ₃	o	H	н	. CH ₃
10	н	CH 3	o	н.	 C1	н е
	н	CH 3	Ċ	H	CI	осн ₅
	н	CH ₃	Q	Н	C1	CH ²
	н	CH ₃	o	/ H	0CH 2	ä
	н	CH 3	o	н	OCH 2	CCH 5
•	н	CH 3	o	н	осн ₃	СН ₃
	н	CH₃	e	H	OC2H5	н .
15	н	CH 3	0	н	OC_H5	оси 3
•	Ä	CH ₃	e	н	OC2H5	C113
	H	CH ₃	o	Н	CH ²	H
	. H	CH 3	o	H	СН ₃	OCH ₃
	H	CHS	e	н	CH ₅	C113
	н .	CH3	0	H	ഠവിട്ട	OCH ₃
20	5-C1	CH ₃	0	H	оси ₅	ocii3
	5-CH ₃	CH ₃	0	H	OCH ₂	OCH 3
	5-3r	CH ₃	0	н	0CH3	OCH ₃
	3-C ₂ H ₅	CH ²	0	H	оси ₃	оси ₃
	Ħ	CH(CH ₃) ₂	G	CH	*ОСН _Б	OCH 3
	н	CH3CH*CH2	C	CH	UCH ²	ocii3
	Ħ ·	CH ₃	0	더글	OCH ²	OCH ₃
25	н	CH 3	O	CH 3	OCH ₃	OCH ²
	Н	CH ₃	C	CH ²	0CH 3	CH 3
	ä	CH 3	S	H	сн ²	CH ₂
	H	CH ₃	S	H	OCH 3	ocx2
	Ħ	CH ²	5	. Н	Н	H
	Н	CH(CH ₃) ₂	S	H .	CH 3	CH 3

CH₃

0		89						
-	TABLE II-1							
·	į	· - / /	% ,50 ₂ N-C- H \ \ \	N				
5			C-OR ^I			•		
•	<u>A</u> .	RI	<u>o</u> <u>R</u>	<u>Rs</u>	<u>X1</u>	$\frac{y_1}{}$		
	н	CH 3		H	н	. н		
	H	сн ₃	e	H	н	- och3		
	Ħ	CH 3	0	H	н	. CH ₃		
	н	CH 2	0	H	CI	H		
10	н	CH 3	C	Н	C1	och ₃		
	н	CH 3	c	н	Cl	CH ₅		
	H	CH 3	c	н ,	OCH 3	H		
	Н	CH 3	c	H	осн ₃	och 2		
	н	CH ₃	. 0	н	OCH 3	CH 3		
	Н	CH ₃	0	н	OC2H5	H		
15	н	CH ₃	,0	H	OC2H5	осн ₃		
13	H	СH 3	C	H	0C2H5	CH ₅		
	H	CH ²	0	н	CH 3	H		
	ï.	СН <mark>3</mark>	O	Н	CH ₃	OCH ₂		
	H	CH3	Q	H	СН ₃	CH ₅		
	ä	СН ₃	o	H	och3	оси ₅		
•	5-C1	CH3	0	н .	och ₅	och ₅		
⁻ 20	5 - CH ₃	CH3	C	H	OCH 3	OCH ₅		
	5-3r	CH ₃	0	н	octs	och ₃		
	5-C ₂ H ₅	CH ₃	9	H	OCH 2	ocn ₅		
	н	CH(\$H ₅) 2	Э	CH	och 5	осн _а		
	н	CH2CH=CH2	O	СH	0012	0CH2		
	н	CH3	Ģ.	CH ₃	OCH ₃	OCH ₅		
	H	CH ²	0	CH 5	. ссн ₂	OC11 3		
25	н	CH ₃	Q	CH ₃	OCH 3	CH 3		
	н	CH ₃	S	Н	CH ₃	CH 5		
	н	CH ₃	S	н	осн ₃	OCH 2		
	н	CH 3	S	Н	н	Ħ		
	н	CH(CH ₅) ₂	S	Н	CH ₃	CH 3		

30

TABLE II-k

		, X	у50 <u>-</u> %-С ¦ й	:-;: - ()-X <u>1</u>	
		á_	1	à₅	√.	
5			ÖCCR ^I		• 1	
J		_	ö			
	<u>A'</u>	<u>R</u> I	$\overline{\mathbf{K}}$	Re	<u>x1</u>	<u>Y:</u>
	н	C;; 2	0	H	H	H
	н	CH ₃	o	Н	н	. uca
	н н	CH ₃	Ċ	H	н	- CH
	H	C∺ 3	o	Н	C1	н.
10	ដ	ᄄᅼ	o	H	C1	och ₃
	н	СН <u>3</u>	e	H	C1	CH 3
	н	CH 3	o	H	осн ₂	н 3
	H	CH ₅ ∙	0	н	och ²	०८ ३
	н	CH ₃	0	H	о с н ₃	CH ₃
	н	СН ₃	O	H	OC 2H5	н
	H *	CH 3	0 -	H	OC THS	осн ₃
	Н	CH 3	0	Ħ.	OC2H5	CII3
	н	CH3	0	я	CH3	H
15	н	сн ₃	o	н	GH ₃	ocii2
	н	CH ₃	c	н	CH ³	CH2
	H	CH ³	C	H	0CI12	OCI13
	5-C1	C#3 .	0	н	оси ₃	0CH ₃
20 .	3-CH ₃	CH 3	O	ä	осн ₃	OCH ₂
_•	3-3r	CH ₃	e	H	осн ₃	ocii3
	5-C2H5	CH ₃	0	Ħ	осн ₃	0CH ₃
-	H	CH(CH ₃) ₂	· с	CH	осн ₃	OCH 3
•	H	CH2CH=CH2	O	CH	0CH3	OCH 2
	н	CH ₃	0	CH 3	осн ²	OCH ₃
	H	CH ₃	e	CH ₃	oCH ²	ocii ²
25	н	CH 3	0	CH ₃	осн _{.2}	CII 3
	H	ᄄᅼᇰ	S	н	CH3	CH 3
	Ħ	CH ₃	5	н	осн ²	OCH2
	н .	CH3	S	H	н	H
	H	CH(CH3)2	S	H	CH 3	CH 3

0			TA	BLE II	<u>-1</u>		
			À' S	_	X X X 1		
			· · ·	-OR ^I	.=		
5							
		\overline{Y} .	<u>a</u> I	<u>o</u> <u>R</u>	R ₅	\overline{x}	$\frac{v_1}{v_1}$
		Н	CH 3		н	Н	Н
		H	СН ₃	0	Н	н	OCH :
		H	CH ₃	0	н	н	. CH ₃
		H	CH 3	C	H _,	C1	H
7.0		H	CH 3	C	н	Cl	OCH ₃
10		Н	CH 3	e	н	C1	CH ₃
		й.	CH 3	0	ਜ	осн ₃	Н
•		H	CH 3	О	н	OCH ₃	0CH2
. •		н	CH 3	C	н	OCH ₅	CH 3
		н	CH ²	0	H	OC2H5	н
		н	CH 3	О	H	OC2H5	och 3
15	1	н	CH 3	O	н	CC2H5	Cil 3
		:	CH 3	0	н	CH 3	H
		H	СН <mark>3</mark>	0	н	CH ₃	OCH ₅
		Ħ	CH3	Q	н	CH 3	C115
		H	CH 3	0	H	ocn ₅	ocu ₃
		5-C1	CH 3	0	- Н	OCH ₃	OCH ₃
	•	5 - CH 3	CH 3	Ć	н	осн ₃	OCH ₃
20		5-3r	CH3	0	H	OCH 3	OCH ₅
		5-C2H5	CH ²	o	н	осн ²	ncti ₃
		3	CH(CH3)2	0	CH	och ₃	осн ₂
		H	CH2CH+CH2	0	CH	0Cil 3	OCH 2
		H	CH 3	0	CH 3	och 3	0CH ₃
		н	CH2	0	CH 3	OCH 5	0Cii ²
25		Н	CH ₃	0	CH 3	OCH 3	CH ₃
25		H	CH 3	S	H	CH 5	CH ₃
		н	CH 3	S	н	och 2	о с н ₃
		н	CH ₃	S	H	н	Ä
		н	CH(CH ₅) >	S	н	CH ₃	CH 2

91 '

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· 5	TABLE III-a O C-ORI SO2N-C-N R R S					
	<u>A</u> '	<u>R</u> I	<u>o</u> <u>y.</u>	Rs	<u>X11</u>	<u>Y , </u>
	Н	CH 2	0	H	CH 2	H
	Н	CH ₃	0	н	ch ₂	осн ₂
	H .	C∺ 3	ο	H	CH ₂	. сн ³
	н	CH ₃	0	Н	o	н
10	Н	CH ₃	e	H	Q	OCH ₃
	н	CH ²	e	H	o	CH ₃
	· 5-СН ₃	CH 2	C	н	o	CH ₃
. •	5-CH ₃	CH ²	e	н	o	Ci1 ²
	5-C1	. СH ²	Q	H	0	CH ₃
	S-C1	CH 3	0	н	0	CH ₃ ·
15	н	CH 3	5	н	CH3	осн ₃
40	н	CH 3	S	н	O	C113
	н	CH ₃	S	н	0	CH ₃
	H	CH(CH ₃) ₂	S	н	O	CII3
	н	CH(CH ₃) ₂	c	H	O	CH ₃
	н	C∺ ₹	e	CH3	O	CH ₃
	Ħ	CH(CH ³):	O	Cit ²	0	CH 2
20				•	•	

<u>Υ,</u> 0CH 3 CH₃ Н

 $0CH^{2}$ CH 3 CH² $CH_{\overline{3}}$ CH 3 CH₃ OCH₅

> Cil_5 $CH_{\overline{\mathbf{5}}}$ CH 3

CH₃

CH₅

CII3

0

0

0		Ī	93 ABLE II		7 1
·5		A'	/502N-C	R ₅	X ₁₁
	<u>A</u> ' H	RI CH3	$\frac{0}{x}$	<u> </u>	$\overline{\chi}$ 11
	н	CH3	0	, H	CH ₂
	н	CH ₃	0	Н	CH2
	Н	CH 3	C	н	CH ₂
	H	CH 3	٥	H	0
10	H	CH₃	0	H	0
	. н	сн ₃	0	н	o
	5-CH ₅	CH 3	c	н	0
•	5-CH ₃	CH 3	0	н	0
	5-C1	CH ₃	0	н	0
•	5-C1	CH 3	0	н	0
15	н	CH 3	5	н	CH 2
15	н	CH 3	·s	H	o
	. н	CH 2	5	H	Ö
	н	CH(CH ₃) ₂	S	H	0
	H	CH(CH ₃);	c	H	0
			_		_

CH 5

CH(CH₃)₂

CH 3

·CH 2

Q

0

Н

H

25

20

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0			94 TABLE			
· 5		A' >	SO ₂ N-C- H C-OR ^I	N Y 1		
	<u>А</u> * Н	RI	<u>o</u> <u>n.</u>	Rs	<u> 711</u>	<u>Y</u> 1
-		CH 3	0	H	CH ₂	- н
	н	CH3	0	н	CH2	. осн ₃
	H	CH ³	0	н	CH ₂	CH3
10	н	CH3	0	н	Q	ĸ
10	н	CH ₃	0	н	Ö	OCH 3
	Н	CH ₃	0	H	0	CH ²
	5-CH ₃	CH ²	e	н	o	CH 2
•	5-CH ₃	CH ₃	c	н	0	CH ₃
	5-C1	CH ₃	0	H	О	CH 3
	5-C1	CH ²	o	н	0	CH ₃
· 15	н	CH2	S	н	CH2	осн ₂
	н	CH ³	S	Н	o ·	CH ²
	Ħ	CH3	S	Н	0	CH ²
	H	CH(CH ₃) ₂	5	н	O	Cil ₃
	н	CH(CH ₃) =	0	H	0	CI13
	Ħ	CH 3	O	CH ₃	0	CH ₃
20	н	CH(CH ²) ²	0	CH ²	C	C11 ²

30

35.

0	95								
	TABLE III-d								
	o or ^I								
			'n	,	1				
	A	· — [•		<u></u>				
			`50 ₂ ห-0	R ₅					
5				5	<u>;11</u>				
		-7			٧.,	٧.			
	<u>Ā</u> '	ŖI	<u> </u>	Re	<u>zn</u>	H .			
	H	CH 3		H	CH ² .				
	н	CH 2	o	н	CH ₂ .	OCH 3			
	н	CH ₃	Q	H	CH ₂	CH 3			
2.0	н	CH 3	Q	Н	0	H			
10	н	CH ₃	0	, Н	c	CCH 2			
	н	C;; 3	C	н	,O	CH 3			
	5-CH ₃	CH 3	O.	н	o O	CH 3			
•	5-CH ₃	CH 3	O	н	. 0	CH 3			
	5-C1	CH ₃	0	н	Q	CH 3			
	3-C1	CH ₃	0	н	0	CH ₃			
15	H	CH 3	S	н	CH2	осн ₅			
13	н	CH ₃	S	H	c	CH ₃			
	н	CH ₃	\$	H	0	CH ₃			
	ä	대(대3) 2	S	н	n	CH 2			
	н	CH (CH ₃) 2	o	н	0	C115			
	н	CH ₃	0	CH ₃	0	CII ₃			
	H	CH(CH ₃) ₂	c	CH ₃	0	CII 3			
20		• •		-					

H

Н

H

CH(CH₅)₂

CH3 CH(CH₃)₂

		1	ABLE II	<u> 1-e</u>		
5		٣٠ - الله	50:1X C-0	å₅ / : -	X_{1}	
	<u>А</u> * Н	RI	<u>w</u> .	Rs	<u> 711</u>	<u>Y1</u>
	Н	CH ₃	0	H		н
	н	CH ₃	0	н	CH ₂	. OCH 3
	H	CH ₃	0	H	CH ₂	CH ₃
10	н	CH ₃	0	Ä	c c	Н
	н	CH ²	0	H	o	0CH 3
	н	CH ₃	0	н	o	CH 3
•	5-CH ₃	CH ₃	o	н	0	CH ₃
•	5-CH ₃	CH3	0	н	σ	СН ₃
	5-C1	CH3	0	н	Q	CH ₃
	5-C1	CH ²	0	н	o	CH ₃
15	Ħ	CH ₃	S	н	СН <u>-</u> 2	осн ₃
	н	CH ₃	5	H	ດັ	C113
	. н	CH3	S	H	ο.	CH ₃
	Ħ	CH(CH ₃) ₂	S	н	n	C112
		A11.491.	_		•	~

C

Н

CH 3

Cit³

0

CH₃

CH 3

CII3

25

20

30



^		97	
0		TABLE	III-

	<u>A</u> '	<u>z</u> I	<u> </u>	<u>R </u>	$\overline{\chi}11$	$\frac{1}{\lambda^{-1}}$
	н	CH 3	0	н	CH 2 .	Ħ
	Н	CH 3	e	H	GAT 2	0СН3
	Н	CH ₃	e	н	CH ₂	CH 3
10	Н	CH ₃	0	н	Ο ,	н
10	Н	CH ²	0	н	o	осн ₃
	н	CH ₃	C	н	0	CH 3
	5-CH ₃	сн ₃	e	н	0	CH ₃
•	S-CH3	CH ₃	0	Н	0	CH 3
	5-C1	CH 3	0	н	0	CH ₃
	5-C1	CH 3	0	н	o	CH 3
15	н	CH ₃	S	н	CH2	och ²
	H	CH ₃	S	н	O	C112
	Н	CH ₃	S	н	O	CH ₃
	н	CH(CH ₅) ₂	S	н	O	Cli ₃
	н	CH(CH ₃) ₂	c	H	0	C112
	អ	CH 3	c	CH ₃	0	CH ₃
20	н	CH(CH ₃) ₂	0	CH ₃	0	CII3

TABLE IV-a

5		A' #	w O ₂ N-C- H C-OR ^I	N Rs N	λ }— C∃²	
	<u>å</u> '	\overline{s}_1	<u>×</u>	<u> 25</u>	$\overline{\chi}$	<u>Y</u>
	<u>A</u> * H	CH3	<u>o</u>	н	ĊĦ ₃	. СН ₃
	н	CH ₃	0	H	och 2	CH2
10	H	CH ²	o	H	осн ₃	OCH 5
10	H	CH ₃	0	Н	CH ₃	CH2OCH3
	н	CH ²	0	H	0C2H5	C ₂ H ₅
	H	CH ³	s	н	och 3	CH ₃
	н	CH ₃	S	н	CH ₃	СН ₃
•	. н	CH ³	O	CH ₃	СН ₃	осн ₂
	Н	CH ₃	0	CH ²	осн ²	осн ₃
15	3-C1	CH ₃	0	H	0CH 3	осн3
	5-CH ₅	CH ₃	0	н	СН ₃	CH ₃
	5 - CH 5	CH ₃	0	н	OCH ₃	och 3
	H	C_H ₅	0	Н	OCH ₃	осн ₃
	я	CH(CH ₃) ₂	0	H	OCH ₃	och ²
	н	CH2CH=CH2	o	н	0CH ₃	OCH 2

x

٦.	,
2	١.

		1.	YRIE I	<u>V - 6</u>						
5	SO ₂ N-CH ₃									
	<u>A</u> '	RI	<u>×</u>	Rs	<u>z</u>	<u>Y</u>				
	Н	CH ₃	0	н	CH ₃	. сн ³				
	Н	CH3	0	H	0CH3	· СН3				
	н	CH3	c	Н	осн ₅	осн 3				
10	н	C;; 2	O	н	CH 5	CH20CH3				
	н	CH ²	O	H	0C2H5	CzHs				
	н	сн2 .	s	н	OCH 3	CH ₃				
	н	CH ₃	S	Н	CH ₃	CH ₃				
	н	CH 2	Q	CH ₃	СН ₃	och ₃				
	н	CH ₃	o	CH3	och 3	OCH 3				
15	5-01	CH ₃	0	н	осн ₃	OCH 3				
	5-CH3	CH 3	0	н	СH ₃	CH ₃				
	5-CH ₃	CH ₃	0	н	OCH ₃	OC113				
	н	C ₂ H ₅	o	H	OCH ₃	OCH3				
	н	CH(CH ₃) ₂	O	н	0013	оси ₃				
	н	CH2CH=CH2	e	Н	0CH ₃	0013				

x

	•]	ABLE I	<u> V-c</u>		
		٥	-OR ^I			
5		S	พ 2ห-C-1 H	X Z	-C∺3	
	<u>A</u> '	<u> </u>	<u> </u>	<u>R5</u>	\overline{x}	<u>Y</u>
	н	CH ₃	o	H	CH 3	. СH ²
	H	CH ₃	0	H	осн ₃ .	· СН3
10	H	CH ₃	0 .	H	OCH 3	осн ₃
10	H	CH 3	0	H	CH 5.	CH2OCH3
	н	CH ₃	0	H	0C2H3	C2H5
•	H	CH ₃	S	Н	0CH3	CH ₃
	H	СН З	Š	H	CH3	CH₃
	я	CH ₃	O	CH 3	CH ₃	OCH ₃
	н	CH 3	٥	CH ₅	och 3	0CH 3
15	5-Cl	CH ₃	0	н	OCH 3	осн ₃
	5-CH ₃	CH ₃	0 -	H	сн ₃	СН ₃
	5-CH3	CH ²	0	H	OCH 3	OCH ₃
	H	C2H5	Q	H	OCH ₃	och ₃
	H	CH(CH ₃) ₂	O	н	0CH 3	0CI13
	H	CH ₂ CH=CH ₂	e	н	0CH 3	OCH 3

x

		· <u>1</u>	ABLE I	<u>V-d</u>		
5		A' -	SO2N-Ö H C-OR ^I Ö	R ₅	, CH ²	
	<u>A</u> '	<u>R</u> I	<u>×</u>	Rs	<u>x</u>	<u>Y</u>
	н	CH ₃	<u>0</u>	H	CH ₃	CH ₃
7.0	н	CH ₃	0	н	och 3	- сн ₃
10	н	CH 3	c	H	och ₃	och 3
	н	CH 3	O	H	CH 3	Сн ₂ осн ₃
	H	CH 3	0	H	OC2H5	C2H5
	H .	CH ₃	S	н	OCH ₃	CH ₃
	н -	CH ₃	S	н	CH ₃	СН _З
•	н	CH ₃	0	CH ₃	CH ₃	OCH ₃
15	н	CH ₃	0	CH 3	och 2	och 2
	5-C1	CH ₃	0	н	осн ₃	och ₃
	5-CH ₃	CH 2	0	Н	СH 3 .	CH ₃
	5-CH3	СН <mark>3</mark>	c	н	och3	OCH 3
	Ħ	C2H5	o	н	och ₃	och ₃
	H	CH(CH ₃) ₂	C	н	осн ₃	осн ₅
20	н	CH₂CH=CH₂	c	н	octi ²	0СН ₃

×

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		1	ABLE I	<u>V-e</u>		
5		A. ————————————————————————————————————	-or ^I -or ^I -so ₂ n-0		Х Х	
·	<u>A</u> '	<u> </u>	<u>K</u>	Rs	$\overline{\chi}$	<u>Y</u>
	<u>А</u> ' Н	CH ₃	ō	H	CH ²	. СН ²
•	H	CH ₃	0	H	oCH ²	· СН ²
10	н	CH ₃	0	H	och ₃	OCH 3
	н	CH ₃	0	н	CH ²	CH20CH3
	H	CH 3	0	н	OC2H5	CzHs
	. н	сн ₃	\$	н	OCH3	C1! 3
	Ä	CH ²	5	H	CH3	CH ₃
-	н	CH 3	0	CH ₃	CH ₃	OCH 3
15	н	CH 3	o	CH ₃	och2	OCH 3
	5-C1	CH ₃	0	Н	осн ₃	OCH 3
	5-CH ₃	CH 3	0	H	СН ₃	CII 3
	5-CH5	CH 3	0	H	OCH ₃	OCH 3
	H	C2H5	0	H	och ₃	0CH 2
	Н	CH(CH3)2	0	н	осн ₃	OCH ₅
	H	CH2CH=CH2	e	H	0대3	осн ₂
20						

25

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· 35

x

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	A'	TABLE IV	_ 	-сн3
<u> </u>	<u>R</u> I · ·	H R	R _S	<u> </u>
н	CH ₃	0	н	CH ²
я.	CH 2	0	Н	OCH 3
H	CH 3	C	. "Н	OCH3
н	CH 3	O	H	CH 3
н	CH 3	. 0	H	0C2H2
	_			

10

Н Н Н · H 15

Н 5-Cl 5-CH3 5-CH3

H Н Н

CH 3 CH 3 CH₃ CH 2 C2H5 CH(CH₃)₂ CH2CH=CH2

CH₃

CH₅

CH₃

0 0 C

s

o

0

0

Н

Н

CH₃

CH3

H.

н

Н

Н

Н

Н

0대3 0CH 3 CH 5 0CH3 och3 OCH₃

0C12

OCH 5

CH₃

CH₃

OCH₃ CH₃ OCH 3 OCH₂ $0CH_{5}$ 00115

<u>У</u> CH3 OCH₃

 CH_2OCH_3 Calls

CH₃

CH₃

OCH₃

OCH 3

20

25

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TABLE V

x

<u>A</u> '	<u>R</u> I	R=	X	<u>Y</u>	Ξ
H	CH 3	н	CH3	CH ₂	CH
H	CH 3	н	CH ₃	och 3	СН
H	CH ₃	H	CH ₃	OC2H5	CH
Н	CH ₃	H	OCH ₃	OCH 2	CH,
~ H	CH(CH3);	H	OCH ₃	CH 3	N
H	CH ₂ CH ₂ Cl	H	OCH ₃	осн ₃	Ŋ
H	CH ₃	Н	CH ₃	CH 3	N
H	CH 3	H	CH ₃	CH3	CCH ₃
н	CH =	H	CH =	OCH -	CCH-

X

105

TABLE VI

5	٠.	SO ₂ N=C-NH
		. 0

10	<u>A</u> *	\underline{R}^{I}	WR IV	<u>x</u>	Y	Ξ
	H	CH ₃	осн ₃	СН ₃	CH 3	N
	н	CH ₃	OC2H5	OCH 5	СН _{.5}	X
	H	CH ₃	0CH2CH=CH2	OCH 3	CH ₃	Я
	н	CH ₃	OCH ₃	001:3	CH 3	CH
	H	CH ₃	0CH 3	Cil ₃	Cil 3	CH
	н	CH3	OCH(CH2):	CH ²	CH 3	CH
15	н	CH 2	OC2H5	CH ₃	CH 3	CH
	н	CH ₃ .	och 3	CH ₃	CH 2	CH
	н	CH ₃	0(CH ₂) ₃ CH ₃	CH ₃	$\Box I_{\overline{3}}$	CI!
	н	CH ₃	OCH2CH=CHCH3	CH ₅	CH ₃	CII
	н	CH 3	oc _z H ₅	C11 ₅	۵۱ ₃	CCH 3
	н	C∺.2	SCH ₃	CH ₃	OCH 2	N
20	н	CH 3	SC ₂ H ₅	CH ₃	осн _з	N
20	H	CH ₃	SCII2CH=CII2	CH ₃	CH 3	Cil
	н	CH 3	S(CH ₂)4CH ₃	C∺ ²	CH 3	Cil

25

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.35 .

x

	TABLE VII-a					
5		A	SO ₂ N-C H	Ŕ ₅ \=	Ž,	
	<u>A</u> '	<u>QR</u> I	<u> 4.</u>	Re	<u>z</u>	Y
	H	SCH ₃	ō	н	CH ₃	oCH ₃
	H	SCH (CH ₃) 2	0	Н	CH ₃	- och -
	н	SCH-CzH5	0	н	CH ₃	OCH ₃
10		ÇK 3			_	_
	H	SCH-CH(CH ₃) ₂ CH ₃	0	н	CH 3	осн ₃
	н	SCH2CH-CH2	0	н	CH ₃	OCH ₅
•		SCH-CH-CHC2H5	0	н	CH ₃	OCH ₃
	Н	SCH2-C=C-C2H5	0	н	CH ₃	OCH 3
	H	S(CH ₂) ₄ C1	0	H	CH ₃	OCH ₃
·15	н	SCH2CX	0	Н	СН ₃	OCI13
	5-C1	5(CH ₂) 20CH ₃	C	н	CH ₃	0CH ₃
	5-C1	S(CH ₂)40CH ₃	0	н	СН <u>3</u>	00113
	5 - CH	SCH_CH=CHCH ₂ C1	o	н	CH ²	0CH ₂
	\$-C_H5	SCH_CECCH_CH_C1	C	н	CH 3	oai2
20	Ħ	s-(0	н	CII ²	0CI1 ²
	н	5-(0	н	CH ₃	OC113
	н	s-(0	н	CH ₃	och ²
25	H	s-CH ₂ -<	0	н	CH ²	och ³
	н	CH3	0	н	CH3	ocH ³
	H	NH 2	0	Н	CH ²	och ²
	H	сн ²	0	н	CH ²	OC:13
30	H	N(CH-CN) z	0	H	CH 3	OCH 3
50	H		o	H	CH 5	
	н	X(C2H5)2	0	H	CH 3	OCH 3
	#	.∠G3 G3(G4 ₃) ₂	0	H	CH 3	OCH ²



107 ...

x

5	TABLE VII-a (cont'd)					
	<u>а</u> ,	QRI N(CH2CH=CH2)2	<u>N</u>	<u> R с</u> Н	CH ² .	осн ₃
	5+C1		o	H,	СН ₃	осн ₂
10	5-Cl	·()·	0	н	CH 3	осн₃
	5-Br	NHCH ₃	0	н	CH 3	CH ₃
	5-CH3	NHC 2H5	0	н -	CH 3	CH 3
	5-CH ₃	NHCH(CH ₃) ₂	0	н	CH ₃	CH ₃
		CH-2			_	
15	H	NHCH C2H5	0	н	CH 3	CH ³
	H	NH(CH ₂) ₅ CH ₃	0	н	CH ₃	CII3
	H	NH(CH2)OCH3	0	н	CH ₃	CH ₅
	H	NH(CH2)30C2H5	Q	н	CH ₃	CH ₃
	H MH	(CH ₂) -0CH(CH ₃) -	0	н	CH ₃	CH ₃
20	н :	инсн ² сн ³ о-	Ó	н	CH ² .	Ci13
	н :	NHCH2CH=CH2	. 0	CH ₃	CH ₃	CH ₃
		NHCH2CH=CHC2H5	, e	ห	CH 2	CH ²
	H	7.ZE	0	н	CH ³	C11 ²
25	H	72:	0	CH ²	CH ²	C112
	н	NH-	0	н	CH ₃	CH3
	н	//H-/	0	н	CH ²	C:+ 3
30	н	774-	0	, н	СH ²	CH ₃
	н	VIH-CCH 3	0	. Н	CH ³	CH ³

5		TABLE V	II-a	(cont'd)		
	<u>¥</u> ,	<u>GR</u> I	<u>×</u>	Re	<u>x</u>	<u>Y</u>
	н	NH-CH	3 0	н	CH ³	. CH ₃
10	н	::H	0	Ħ	CH ²	. Сн3
	н .	ZH-	o	Н	CH ²	CH 3
•	. н	х-сн ³ сх сн ³ с _{энг}	o	н	сн ₃	Сн ₃
15	Ä	N-C (CH ₃) 2CN CH ₃	o	н	сн ²	СН 3
	н	2-0СН ² 6н2	c	н	CH ₃	CH ₂
	H	H C -CH ²	0	H	CI!3	och3
20	н	H CI	0	н	СН 3	oc113
	н .	H CI	o	н	СН ³	OCH3
	н	у—О →осн	o	н	CH3	OCH3
25	H	N-CH2-€	0	н	CH ₃	ocii3
	н х-сн н сн	i-{①}-c:;	0	н	CH ³	ocii 3
30	н сн н х-сн	12 OCH2	0	н	CH ²	осн ₃
	н у-Сэ г ся	C1 C13	0	Н	CH3	осн ₂

		TABI	LE VII	<u>- b</u>		
5		Ÿ. 	QRI SO ₂ N-C	R ₅	х >	
	₹,	CRI	\overline{R}	Re	<u>z</u>	<u>у</u>
	н	SCH ₃	0	H	CH 3	OCH ₃
10	н	SCH(CH ₃) ₂	0	н	CH 3	-
	Н	scห-c <u>:</u> หร cหร	e	Н	CII 3	. осн ³
	Н	SCH-CH(CH ₃):	0	н	CH 3	осн ₃
	н	SCH2CH-CH2	0	н	CH ₃	och ₃
•	 អ	SCH - CHC :Hs	0	н	CH ₃	OCH5
15	н	SCH2-C=C-C2H3	O	н -	CH 3	OCH 3
	н	S(CH_1)4Cl	O	н	CH 3	OCH3.
	н Н	scH2CX	o	н	CH ₃	och ₃
	5-C1	S(CH2)20CH3	C	H	CH ₃	OCH ₃
	5-C1	S(CH1)10CH3	o	н	СН <mark>3</mark>	OCH 3
		SCH_CH=CHCH_C	10	н	СH ²	om12
20	5-C:H:	ಽ೧೫೨೭೯೯೧೫೨೮೪೨೮	1 0	Н	CH ³	са1 ₃
	н	s—	0	н	CH ²	ocn ₃
	н	s—	0	н	CH ²	OCH2
25	ä	s- (0	н	CH 3	00112
	н	5-CH ₂ -<	0	н	CH ³	OCH 3
	н	SCHCH ₂	H3 0	н	CH ²	OCH3
	••	CH3 NH2	٥	H	CH 2	осн ₃
	Н	%- CH 2 -24.5	o	н	CH ²	OCH 3
30	н	ĊH3				ОСН <u>3</u>
	H	%(CH2CN);	C	н	C∺²	OCH 3
	н	N(CH2CH2CN) 2	0	н	СН ² СН ²	OCH 3
	#	X(C2H5)2	Э	H	c2	J
35	ч	.<α(α3) 2 α3	0	Ħ	CH 2	oc∺²
J J	•					

X TABLE 1

<u>A'</u> H QRI SCH₃

<u>0</u>

 $\frac{R_5}{H}$

5

	n	SLA3	U	п	L. 5 .	00.63
	H	SCH(CH ₃) ₂	0	H	CH ²	OCH ₅
	H	SCH-C2H3	o	н	CH 3 .	0CH3
		ĊH3				
10	н	SCH-CH(CH ₃) ₂	0	н	CH ₃	осн ₂
		ĊH3			•	
	Н	SCH2CH-CH2	0	н	CII ₃	осн ₃
•	H	SCH2CH-CHC2H5	0	н	CH ₃	OCH ₃
	H	SCH2+C=C-C2H5	0	н	CH ₃	OCH 3
	H	S(CH2)4C1	0	н	СН 3	och3
	H	SCH2CX	o	н	CH ₃	0CH3
15	5-C1	S (CH ₂) 20CH ₃	0	Н	CH ²	OCH ₃
	5-Cl	S(CH ₂)40CH ₅	Q	н	CH3	0CH ₂
	5-CH ₃	SCH2CH=CHCH2C1	O	H	CH ₃	осн ²
	S-C2H5	SCH2CECCH2CH2Cl	0	H	CH ³	0CH ²
	H	s-(0	ä	CH ³	0C11 ²
20						
	н	s-(/	0	н	CH3	0C112
		\sim				
	ដ	s-()	Ó	Н	CH ²	0CH ²
	н	s- сн- - -	0	н	CH ₃	осн ₂
25	H	SCHCH ₂ —CH ₃	0	Н	CH ₃	OCH ²
		CH3	^	н	CH ₃	och-
	H	NH ₂	0	Н	CH3	0CH ₃
	Н	у Сн ₃	U		Cris	00.15
			0	Ĥ	CH ₃	осн _а
	H	N(CH ₂ CN) ₂	0	Н	CH ²	0CH ₂
30	H 	N(CH2CH2CN) 2	0	.; Н	CH ₅	0CH ²
	ä	X(C ₂ H ₅) ₂	5	4.6	~·· 2	٠5
	s	"`CH2	0	н	CH 3	OCH ₃
	a	~GK(CH3) 2	•	**	3	3

	TABLE VII-d					
5		A'	SO ₂ N-C-1 H C-QR ^I	XXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXXX		
	<u>A'</u>	CRI	<u>0</u>	R ₅	<u>x</u>	<u>Y</u>
	Н	SCH ₃		H	대 3 .	0CH ²
• •	Н	SCH(CH ₃) ₂	0	Н	CH ₃	OCH 3
10	Н	sсн-с-н ²	c	н	CH ²	OCH ₃
		CH 3	_			
	H	SCH-CH(CH ₃) ₂	o	H	CH 3	och 3
		Ç; 3				
•	H	SCH2CH-CH2	O,	Н	CH ₃	oc₁₁₃
	Н	SCH2CH-CHC2H5	0	Н	CH ₃	OCH 2
15	Н	SCH2-C=C-C2HS	c	H	CH ₃	осн ₅
	H	S(CH_) 4C1	C	н	CH ₃	0CH 5
•	н	SCH ₂ CN	o	Н	СН ₃	och ₃
	5-C1	S(CH ₂) 20CH ₃	0	Н	CH ₃	0CH ²
	5-C1	S(CH ₂)40CH ₃	0	H	CH ₃	OCH 5
		SCH2CH=CHCH2C1		Н	СН _{.3}	оси ₃
	5-C1H5	SCH_CECCH_CH_CH_C1	0	н	CH ²	OCH 3
20	н	5-	o ·	н	CH ²	6СП <mark>2</mark>
	н	s—(o	Н	CH ₃	ocii3
	H	5-	0	Н	CH ²	OCH 3
25	н	S-CH ₂ -✓	0	н	СН3	OCH ²
	н	SCHCH ₂ —CH	<u>3</u> 0	н	CH ³	OCH ₃
	H	NH 2	0	Н	СН ³	OCH 3
	н	X-CH3	0	Н	CH2	OCH 3
30		Ċ#3				•
3 0	H	X(CH ₂ CX) ₂	0	H	CH ₃	0CH 3
	н	N(CH2CH2CN)2	0	н	CH ₃	OCH 3
	H	X(C2H5)2	0	Н	CH ₃	0CH ₂
	H	≺α(α;); <a>;	o	Ä	CH3	oc∺²

		TABLE	VII-e	_	
	· .	A' SO ₂ N	i k ₅	>	
	<u>Ā'</u>	<u>u</u>	R _e	<u>z</u>	<u>Y</u>
		CH ₃ 0	H	CH3	. oCH 3
10		(CH ₃) ₂ 0	н	CH ₃	OCH 3
10		I-C ₂ H ₅ 0	អ	CH3	. осн ³
		H(CH ₅) ₂ 0	н	СН ₃	೧CH ²
	. ' н scн ₂ c	H-CH ₂ 0	н	CH ₃	och ₃
		H-CHC2H5 0	н	CH ₃	0CH ₃
15	H SCH	C=C-C2H5 0	н	CH ₃	OCH 3
	H S(C	H ₂) (C1 0	н	CH ₃	OCH ₃
	H SCH	3CX 0	н	CH ₃	0CH ₃
	5-C1 S(CH ₂) <u>1</u> 0CH ₃ 0	н	CH ₃	OCH ₃
	5-C1 S(CH ₂) 10CH2 0	н	CH ₅	0CH ₃
	S-CH ₃ SCH ₂ C	H=CHCH;Cl 0	н	CH ₅	OC112
20	5-C2H5 SCH2CE	כנאיַנאיַנז ס	н	CH ³	00113
	н 5—	°	H	CH ²	oc11 ²
	н 5—	°	н	CH ₃	оси ₃
25	я 5 — <	\bigcirc \circ	H	CH ²	OCH ²
	н s-Сн ₂	√ ∘	н	CH ²	0CH 3
	н sснсн ₂ сн ₃	—(н .	CH ₃	осн ²
	H N	H ₂ 0	н	CH ₃	осн ₂
30	н у-С Сн ₃	H 3 0	H	CH2	осн ²
	H N(CH ₂ C	N) ₂ 0	H	CH ₃	осн ₃
	H N(CH ₂ C		ä	CH 3	0€H 3
	H X(C ₂ H ₅)		н	CH2	och 2
	a ;∠ca(ca	i ₃) ₂ 0	н	CH3	осн <mark>3</mark>
35	-				

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T.	A.	₿	L	E	У	Ţ	I	-	£

			-			
				й "— <u>"</u>		
5			50 ₂ ห-	·ċ-¼-(/)	×	
		ś		R5 %	?	
			C-QR	•		
			C-QR			
	<u>A</u> '	CRI	\overline{R}	R.	<u>x</u>	<u>Y</u>
	H	SCH 3	ō	H	CH 3	OCH3
10 '	H	SCH(CH ₃) ₂	Q	н	CH ³	OCH ₃
	H	SCH-C-H5	0	н	CH ₃	. CCH ²
	•	ĊH3				
	н	SCH-CH(CH ₃) ₂ CH ₃	e	н	CH 3	GCH ²
	н	SCH2CH-CH2	0	н	CH ₃	och ₅
1 =	H	SCH2CH-CHC2H5	0	਼ ਸ	CH ₃	OCH ₅
15	н	SCH2-C=C-C2H5	O	н	CH ₃	OCH 3
	н	S(CH ₂) ₄ C1	O	. н	CH ³	OCH ₃
	H	SCH3CX	O	н	CH2	осн ₃
	5-C1	S(CH2)20CH3	C	н	CH ₂	OCH 3
	5-C1	2 (CH2) 40CH2	C	н	CH ₃	OCH ₅
		SCH_CH=CHCH_C1		н	CH ₃	OCH ₅
20	5-C2H3	SCH2C=CCH2CH2C1	0	н	CH ₃	CCH ₃
	н	s	0	н	CH ³	טכנו ₃
	н	· s-(0	Н	CH ²	OCH 2
25	н	s- (0	Н	CH 3	осн 2
	н	S-CH ₂ —	С	н	CH ³	осн ₃
	Ħ	снсн ₂ —сн	3 0	н	CH ₃	осн ₃
	н	NH Z	0	H	CH ₃	осн ²
30	Н	сн ² х-сн ²		H ·	CH ² ·	осн ₃
	H	N(CH2CN)2	C	н	. СН ₃	೦೧೫ 2
	н	N(CH2CH2CN)2	0	н	CH ₃	CCH ₃
	ä	X(C2H5)2	ō	H	CH ₃	осн ₃
	н	″`\ _{C∺} 2	0	H	CH ₃	OCH ₃
35		∴сн(сн ₃) ₂			•	,

x

35

н

TABLE VII-h

. 5		•	x . :0-Y-C-	x_/x		
, · · ·		A	C-QRI	R ₅		
	<u>A</u> '	CRI	<u>~</u>	R ₅	<u>z</u>	<u>Y</u>
10	н	SCH ₃	Q	H	CH 3	OCH ₃
	н	SCH(CH ₃) 2	0	н	CH 3	OCH ,
	н	SCH-C ₂ H ₅ CH ₃	0	Н	C:! 5	CCH;
•	, н	сн ₃	o	, H	CH 3	CCH
15	н	SCH2CH-CH2	o	H	C113	och ₃
10	н	SCH2CH-CHC2H5	0 .	н	CH 3	ocu;
	н	SCH ₂ -C*C-C ₂ H ₅	0	ä	СН 3	OCH ₃
	н	S(CH ₂) ₄ Cl	o	н	CH ₃	OCH ₅
	Н	SCH_CX	O	н	CH ₃	och3
	S-C1	5 (CH ₂) 20 CH ₃	C	н	CH ₃	ocus
	5-C1	S(CH ₂)40CH ₃	o	н	CH ₅	ecu 3
20	5 - CH 3	SCH_CH=CHCH_C1	C	н	CH ₃	ocu,
	3-C2H5	SCH_CECCH_CH_C1	C	н	CH ₃	CCH 2
	. н	s-(0	H	cn_3	ocu ₃
	н	s-(o	н	CH ₃	oth4
25	н .	5-	0	н	CH ₃	00113
	н	S-CH:	0	Н	СН 3	OCH 3

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TABLE VII-h (cont'd)

	· <u>A'</u>	QRI	A	R _S	<u>x</u>	ž
10	н	SCHCH ₂ —C	H ₂ 0	н .	CH ²	OCH ²
	н	NH ₂	0	н	CH ₃	och 3
	Н	%- CH ₃	0	н	CH3	осн ₃
•	. н	N(CH ₂ CN) ₂	0	H	CH ₃	OCH 3
	н	N(CH2CH2CN)2	0	H	CH ₃	oc∺.₃
15	н	N(C2H5)2	0	н	CH ²	OCH 3.
	н	्टा(टा ³) ²	0	н	CH ₃	ocH²

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25 .

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TABLE VII-i

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	TABLE VTI-j								
				W.	تر				
			. S(0:X-C-X-			•		
			3. — []	H	\ <u>.</u>				
5									
•	•		ن کی د	-QR ^I					
•	•		-						
		<u>A</u> '	<u>QR</u> I	<u>o</u> <u>n</u>	Rs	<u>z</u>	Y		
		H	SCH ₃		H	CH ₃ .	OCH ₃		
		н	SCH(CH3):	0	H,	CH ₃	OCH ₃		
7.0		н	sçn-c₂ns	o	н	СН3	0CH ²		
10			ĊH3						
		H	SCH-CH(CH ₃) ₂	0	н	CH ²	OCH 3		
			ĊH3						
	_	н	SCH2CH-CH2	0	H	CH ₃	OCH ₃		
	•	. н	SCH2CH-CHC2H5	0	H	CH ₃	OCH3		
		H	SCH2-C=C-C2H5	0	H	CH ²	OCH 3		
15	•	H	S(CH ₂) ₄ C1	C	н	CH ₃	OCH2		
		H	SCH ² CX	0	Н	СН ^{2.}	OCH 3		
		5-C1	S(CH2) 10CH2	C	н	CH ₃	0013		
		5-C1	S(CH ₂)40CH ₃	C	H	CH ²	0CH ²		
			SCH2CH=CHCH2C1		H	CH ₃	0CI1 ²		
		5-C:H;	SCH2C=CCH2CH2C1	r	н	CH ₃	oai ₃		
					,,	CT1	001		
20		H	5—()	0	н	CH ³	0Œ1 ²		
					,,	CU.	0CI13		
	•	H	s-()	0	Н	CH ²	ocns		
				0	н	сн ₃	0Ci1 ₃		
		H	<u> </u>	U	п	C.73	ocn3		
			a an 1	0	н	CH ₃	осн ₂		
25	•	н	S-CH ₂ -C	U	ភ	c 2	003		
		••	cere:	•	н	CH ₃	0CH2		
		Н	SCHCH ₂ —(LH ₂)—CH ₂	0	п	cr.3	003		
		**	NH ₂	o	н	СЖ ₃	OCH 3		
		H H		0	Н	CH3	OCH 3		
		п	%- CH3 CH3	J	••	5			
		и	X(CH ₂ CX) ₂	0	н	CH ₃	осн ₃		
30		, H H	N(CH2CH2CN)2	0	н	CH 2	0CH 3		
		H	X(C ₂ H ₅) ₂	0	H	CH ₃	OCH 3		
		ត		-		3	,		
		Н	,_CH3	0	Н	CH3	0CH 3		
		n	· - CG(CH ₃) 2	-	- -	J	,		

0CH₃

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CH²

CH 3

H

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4	10
1	į.
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x			19 LE V	II-k		
5			OzN-C	R ₅		
	<u>A</u> '	QRI	8	Rc	$\overline{\overline{\chi}}$	Y
	H	SCH ₃	<u>o</u>	H H	Ċij.²	осн ₃
	Н	SCH(CH ₃) ₂	0	н	CH ₃	осн ₅
	н	SCH-CzHs	0	н	CH ₃	осн ₃
		CH ₃			,	•
10	н	scн-сн(сн ₅) ₂ сн ₅	o	н	CH ₃	OCH 3
	н	SCH2CH-CH2	0	н	CH ₃	OCH 3
•	H	SCH 2CH - CHC 2H 5	c	н	CH 3	осн ₃
	н	SCH2-C=C-C2H3	e	H	CH ₃	OCH 3
	н	S(CH ₂) ₄ C1	O	н	CH ₃	осн ₃
15	н	SCH ₂ CX	0	н	CH ₃	осн ₃
	5-C1	\$ (CH =) = O CH =	0	н	CH ₃	OCH 2
	5-C1	S(CH2)40CH3	0	н	CH ²	OCH 3
÷	5-CH ₃	SCH2CH=CHCH2C1	0	н	CH 3	ocit ²
	5-C:H5	SCH_CECCH_CH_CI	0	н	C 13	0CH ₃
20	н	s-(o	н	Cil 3	осн ₃
20	н	s—	0	н	CH ₃	oc11 ²
	ä	s-	С	н	CH 3	OCH 2
	н	5-CH ₂ -	0	н	CH ²	осн ²
25	Н	SCHCH ₂ —CH	3 0	н	CH ₃	осн ₃
	н	NH ₂	C	H	CH3	ocH ²
	H	сн² Х- Сн²	0	. H	CH3	осн ₃
	н	N(CH ₂ CN);	0	н	CH 3	0CH 3
30	H	N(CH2CH2CN)2	c	н	CH 2	OCH 3
	••	2.(2.8.)	0	u	CH-	003-

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N(C2H5)2

CH₃
CH(CH₃)₂

OCH 3

. ocx 2

0CH₃

CCH 2

120

x

TABLE VII-1 5 QRI $\frac{A}{H}$ <u>0</u> сч ² <u>;</u> SCH₃ 10 CH₃ H SCH(CH₅)₂ 0 Н SCH-C2H5 Н 0 Н CH 3 CH₃ SCH-CH(CH₃)₂ CH₅ Н ĊĦ3 Н SCH2CH-CH2 0 H CH 3

		43				
	н	SCH2CH-CH2	0	H	CH ₃	ocit3
15	H	SCH2CH-CHC2H5	0	Н	CH ₃	OCH5
	Ħ	\$CH ₂ -C+C-C ₂ H ₅	0	н	сн ₃	och 3
	. н	S(CH ₂) ₄ C1	C	H	CH 3	och ₃
	H	SCH3CX	Q	H	CH ₃	о <u>с</u> н ₅
	5-C1	\$(CH ₂) ₂ OCH ₃	0	н	СН ₃	0CH ₂
	5-C1	2(CH2)40CH2	C	H	CH ²	0Œ1 ₅
	5- CH :	SCH2CH=CHCH2C1	0	н	CH ₃	0CII3
20	5-C:H;	SCH_CECCH_CH_C1	0	ä	CH 3	0Œ13
	Ħ	s〈	· O	н	CH ³	осн ₂
					_	
	н .	s-()	0	н	CH ₃	CCII 3
		\simeq				
25	_ н	s-()	0	Н	CH3	OCH ²
	•	<u> </u>				
	H	5-CH ₂ -	0	Н	CH 3	och ²
	н	SCHCH ₂ —CH ₃	0	Н	CH ₃	OCH ²
		CH ²				
	H	SH ₂	0	Н	CH ₃	och ³
30	. н	CH3	0	Н	CH3	OCH ₃
		ÇH3				•
	H	X(CH2CX) 3	0	H	CH ₃	och 2
	Ħ	N(CH2CH2CN)2	0	H	CH 3	0CH 2
	н	X(C2H5)2	0	H	CH ₃	0CH 3
		CH3				
35	Ħ	CH(CH ₃);	0	H	CH 5	∪CH ²
35		· · J/ •				

BNSDOCID: <EP___0030142A2_I_>

5		TABLE VIII-a O C-QRI SO2NHC-N RS						
	<u>A</u> '	120	\overline{R}	<u>R 5</u>	<u>z</u> 1	<u>Y</u> 1		
	н	SCH(CH ₃) <u>2</u>	0	н	CH3	. Сн ₃		
10	н	SCH3	0	н	CH ₃	CH ₃		
	H	SCH3CH3	0	н -	CH ₃	СН ₃		
	н	S(CH ₂) ₃ CH ₃	0	H	CH ₃	CH ₃		
	H	NH(CH2)3CH3	Q	H	CH ₃	CH ₃		
	. н	NHCH(CH ₃) ₂	0	H	CH 3	CH ₃		
	H	и(сн ₃) з	0	н	OCH 3	осн ₃		
	H	N(C ₂ H ₅) ₂	0	Н	och 3	OCH 3		
15	H	N-0СН3 СН3	Q	H _.	осн ₂	0Œ13		
	н .		Q	н	OCH 3	осн ₃		



		TABL	E VII				
5	SO ₂ NHC-N R ₅ C-QRI						
	<u>A</u> '	QRI	<u>R</u>	<u>Rc</u>	<u>x</u> 1	<u>Y</u> 1	
	н	SCH(CH3):	0	Н	CH ₃	⊂H3	
10	н	SCH ₃	0	н	CH3	CH ²	
10	H	SCH3CH3	c	н	CH 3	CH ₅	
	H	S(CH ₂) 3CH ₃	o	н.	CH ₃	CH ₃	
•	H	NH(CH ₂) ₃ CH ₃	0	Н	CH ³	CH ₃	
	H	NHCH(CH3) 2	0	н	CH ₃	CH2	
•	. н	N(CH ₅):	o	н	och ²	OCH ₃	
	# #	N(C2H5)2	o	. н	OCH ₃	OCH ₃	
15	H	N-0СН ₃	c	н	осн ₂	och ²	
	H	\bigcirc	o	. H	ocH ₃	0013	



			TAE	LE VI	II-c				
5	•	SO ₂ NHC-N-X ₁ C-QR ² C-QR ²							
•	·, ·	∆' .	QRI	<u>r</u> 0	<u> 25</u>	<u>x</u> 1	<u>Y</u> 1		
		н	SCH(CH ₃) ₂	o	Н	CH ₃	Сн ₃		
		H	SCH2	0	н	CH ³	CH ²		
		Н	SCH3CH3	c	н	CH ₃	CH ₃		
10		н	S(CH ₂) ₃ CH ₃	0	н	CH ₅	CH ₃		
		н	NH(CH ₂) ₃ CH ₃	o	Н	CH ²	CH ₃		
		H	NHCH(CH ₅) ₂	oʻ	н	CH ₅	CH ₃		
		H	N(CH ₃) ₂	O	H	OCH ₅	OCH3		
		9	N(C2H5) 2	o	H	0C113	OCH ₂		
		н	N-OCH2	o .	н	осн ₃	0CI1 ²		
15			CH ²			J	••		
		н		o	н	осн ₃	осн ₃		

		TABI	E VII	<u>I-e</u>		
5		A'	C-QR ^I	N R ₅	-x ₁	
	<u>A</u> '	QRI	<u> </u>	<u> 25</u> .	<u>z</u> 1	<u>Y</u> 1
10	н	SCH(CH3)2	0	Ħ	CH3	СН ₃
	н	SCH2	0	н	CH 3	CH ₃
	Н	SCH3CH3	o	н	CH 3	CH ₃
	н	S(CH ₂) ₃ CH ₃	0	H	CH 3	CH ₃
	´ H	NH (CH ₂) 3CH ₃	0	H	CH3	CH 3
	H	NHCH(CH3)2	c	Н	CH ²	СН ₃
15 '	н	N(CH ₃) ₂	0	H	OCH ₃	OCH ₂
	H	X(C2H3)2	0	н	och 2	OCH2
	. Н	х-осн ₃	o ·	Н	OCH 3	ocH ²
	н		0	Н	осн ₃	осн 3
20						

X

	TABLE VIII-£							
5		A'	SOZNH	Ŕ5 ⅓ —	X_1			
	<u>A</u> '	QRI.	<u>8</u>	<u> 3 -</u>	<u>x</u> 1	<u>Y</u> 1		
10 .	н	SCH(CH3) 2	0	н	CH ₃	СН ₃		
	H	SCH ₃	C	н	CH ₃	. CH ²		
•	н	SCH3CH3	0.	н	CH 3	CH ₃		
	H	S(CH ₂) ₃ CH ₃	С	н	CH 3	CH ₃		
	.H	NH(CH ₂) ₃ CH ₃	0	н	CH ²	CH ₃		
•	H	NHCH (CH3) 2	o	н	CH ₃	CH3		
15	H	и(ся ³) ³	0	"H	OCH ₃	OCH3		
	H	N(C2H5)2	0	H	OCH ₃	OCH ₃		
	н	сн ² й-осн ²	Q	Н	осн ₃	ссн ²		
	Н		o	н	och3	осн 3		
20								

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			E VI	II-q		
5		A' - 1: 50	QR ^I ₩	١١ ١٠,		
	<u>A</u> '	QRI	<u>w</u>	Rs	<u>X1</u>	<u>Y1</u>
10	н	SCH(CH ₃) ₂	С	н	CH 3	СН ₃
	н	SCH3	0	н	CH 3	CH ₃
•	н	SCH3CH3	0	н	CH ₃	CH 3
	H	S(CH ₂) ₃ CH ₃	0	н	CH ₃	CH 3
	Н	NH(CH ₂) ₃ CH ₃	0	Н	CH ₃	CH ₃
	Н	NHCH (CH3) 2	e	н	CH ₃	CH ₃
15	Н	%(CH ₃) ₂	0	н	OCH ₃	OCH 3
	Н	· N(C2H3)2	0	H	ocH ²	ocii3
	н	и-осн ₃	O	н	осн3	0CH ₃
	н	\bigcirc	o	н	och ₃	och 3
20						

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TABLE VIII-h

5	٠.	$A \cdot \frac{1}{\sqrt{2}} \sum_{\substack{C - CZ_{\underline{I}} \\ C - CZ_{\underline{I}} \\ K}} \frac{1}{\sqrt{2}} \sum_{\substack{C - CZ_{\underline{I}} \\ K \\ K}} \frac{1}{\sqrt{2}}$							
	•	<u>A</u> '	QRI	<u> </u>	<u> 3 c</u>	<u>z</u> 1	\underline{Y}_1		
		н	SCH(CH ₃) ₂	0	н	CH 3	CH ₃		
10		н	SCH ₃	0	4	CH ₃	. CH ²		
	•	н	SCH 3CH 3	0	н	CH 3	CH ₃		
		н	\$(CH ₂)3CH ₃	0	н	CH ₃	CH ₃		
		н	2H(CH ²) ² CH ²	0	н	CH ₃	CH3		
		H	NHCH (CH3) 2	o	н	CH ₃	CH ₃		
	•	H	X(CH3)2	0	н	OCH ²	0CH 3		
		н	N(C2H5)2	0	н	OCII 3	OCH ₅		
15		Н	и-осн3 сн²	O	н	och 3	0대3		
		н		o	н	och ²	00113		

TABLE VIII-i

5		SC: NHC - N N N N N N N N N N N N N N N N N N							
	4 1	QRI	ë	_					
	A'	Q K-	<u>H</u>	<u>Rs</u>	$\overline{\chi}^{j}$	<u>Y</u> 1			
	. #	SCH(CH3)1	0	н	CH ₃	Сн ₃			
10	н	SCH3	0	ਜ	CH ₃	CH3			
	н	SCH3CH3	e	н	CH ₃	CH ²			
	H	S(CH ₂) ₃ CH ₃	0	н	CH ₃	CH ²			
	Н	ин(сн ₂)3сн ₃	С	н	CH ₅	CH ₃			
_	H	NHCH(CH3)2	e	н	CH ²	CH3			
	H	N(CH ₃) ₂	0	H	OCH3	OCH 2			
15	н	N(C2H5)2	С	н	осн ₂	OCH ₃			
4.5	Н	и-осн _а	0	н	осн ₃	OCH 3			
	H		o	H	och ₃	осн 3			

x		130							
. 5 [.]		TABLE VIII-j							
٠	<u>A</u> '	ORI	<u>K</u>	<u>R:</u>	<u>z</u> 1	<u>Y</u> 1			
	H.	SCH(CH ₃) ₂	o	н	CH 3	СН ₃			
	н	SCH ₃	0	ä	CII 3	. сн ²			
7.0	Н	SCH3CH3	o.	н	CH ₃	CH ₃			
10	Н	S(CH ₂) ₃ CH ₃	9	H	CH ₃	СН ²			
	н	NH(CH ₂) ₃ CH ₃	0	H	СН ₃	CH ₃			
	. Н	NHCH (CH3) 2	o .	н	CH ₃	CH ₃			
	н	х(CH ₃) 2	0	H	OCH ₃	OCH ₃			
	. н	X(C2H5)2	0	Н	OCH 5	OCH ₃			
15	Н	сн ² х-осн ²	o	Н	0CH ²	och ₃			
	H.		o	н	осн _э	0CI1 ₃			

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5	TABLE VIII-k							
		A'	N O ₂ NHC C-QR ^I Ö	à₅)— x ₁			
10	<u>A</u> '	ORI	<u>ĸ</u>	<u>R5</u>	<u>X</u> 1	<u>Y</u> 1		
	Н	SCH(CH ₃) ₂	0	н	CH ₃	Сн ₃		
-	н	SCH ₃	· C	H	CH3	CH 3		
	, H	SCH3CH3	e	Н	CH ₃	СН ₃		
	н	S(CH ₂) ₃ CH ₃	0	H ·	CH 3	CH ₃		
15	H	NH(CH ₂) ₃ CH ₃	0	н	СH ₃	CH ₃		
	н	мнсн (сн ₃) ₂	Q.	H	CH ₃	CH ₃		
	H	х(СН ₃) ₂	0	H	OCH ₂	OCH ₃		
	н	X(C2H5) 2	0	H	OCII3	OCH ₃		
	Н	и-осн ₃	.0	Н	осн ₃	och ²		
20	Н		0	H	0CH ₃	о с н _₹		

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		TABI	E VII	<u>I - 1</u>				
5	A '- C-QRI RS :- X1							
	A'	QRI	<u>ĸ</u>	<u>Re</u>	<u>X1</u>	<u>Y</u> 1		
10	н	SCH(CH ₃) ₂	o	н	CH ₃	СН ₃		
	н	SCH ₃	0	H	CH 3	CH3		
	. н	· SCH3CH3	e	H	CH ₃	CH ₅		
	н	S(CH ₂) ₃ CH ₃	0	H	CH ₃	CH 3		
	н	ин (сн ₂) 3сн ₃	0	Н	CH ₃	CH ₃		
	н	NHCH(CH3)2	o	н	CH ₃	CH ₃		
•	н	х(CH ₃) ₂	0	H	OCH ₃	OCH 3		
15	· H	N(C2H5)2	0	H	0CH3	0CH3		
	н	N-ОСН3 СН3	o	Н	OCH 3	осн ₃		
	н	\bigcirc	0	н	OCH 5	осн ₃		

5			BLE I O C-QR I	'	Yı	
	<u>А</u> ' н	ORI SCH3	<u>o</u>	<u> </u>	II	<u> 211</u>
10	н	SC ₂ H ₅	0	н	сн ₃	СН <u>:</u> . СН <u>:</u>
	н	SCH(CH ₅) ₂	0	н	CH ²	CH ₂
	H	SCH_CH=CH ₂	၁	н	CH ₃	CH ₂
	H	NHC2H5	e	н	CH ₃	CH 2
	H	NHCH (CH ₃) ₂	0	н	CH ₃	o T
	Н	NH(CH ₂) ₅ CH ₅	0	н	CH ₃	0
15	H	NH(CH ₂)8CH ₃	0	H	CH ₃	0
10	н	X(CH ₃) ₂	0	H	CH3	0

		ŢAI	LE IX	<u>-5</u> ,	_	
5 		٨٠	W ÖHNços	- N N	, X, I, I	
•			ċ-qa⁺ ö			
	<u>A</u> *	QRI	\overline{R}	Rs	<u> 7.1</u>	<u> </u>
10	н	SCH ₃	0	H	C∺²	CH 2
	н	SC ₂ H ₅	0	н	CH3	. CH3
	H	SCH(CH ₃):	o	н	CH ₃	. CH ₂
	н	SCH2CH=CH2	c	н	CH ₅	CH ₂
	н	. хнс ^{эн} ²	c	н	CH ₃	CH2
	,	NHCH (CH ₅) ₂	o	н	CH ₃	0
	н	NH(CH2)5CH3	0	н	CH ₃	0
15 .	н	NH(CH2) gCH3	. 0	н	CH ₃	0
·		V(CH-).	0	н	CH-	a

TABLE IX-c

$\overline{\gamma}$,	QR ^I	M	<u>R </u>	<u>Y1</u>	<u>X11</u>
Н	SCH ₃	0	н	CH ₃	CH ₂
Н	SC2H5	0	Н	CH ₃	CH ₂
Н	SCH(CH ₅) ₂	0	H .	CH ₃	. СН ₂
н	SCH2CH=CH2	0	н	CH ₃	CH 2
H	NHC2H5	0	н	CH 3	CH ₂
н	NHCH (CH ₃) ₂	0	н	CH ₃	ດັ
H	XH(CH ₂)5CH ₃	0	н	CH ₃	0
н	NH(CH ₂) ₈ CH ₃	0	н	CH ²	0
u	2.(CH=)=	0	น	CH_	•

CH₃

CH₃

CH₃

CH₃

H

H

0

0

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5	•	A' - // Č-	GRI ROZNH-C		1	
	" ,	QR ^I	<u>o</u> <u>R</u>	Re H	<u>Y:</u> CH ₃	X11 CH 2
	Н	SCH ₃	_		_	_
10	H	SC2H5	0	H	CH ₃	CH ₂
	H	SCH(CH3) 2	0	н	CII 3	. CH ₂
	H	SCH2CH=CH2	0	н	CH ₅	CH 2
	н	NHC2H5	0	H	CH ₃	CH:

XHCH(CH₃)₂

NH(CH₂)₅CH₃ 0

NH(CH2)8CH3 0

Z(CH²)²

Н

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15

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<u>A</u> '	QRI	<u>n.</u>	<u>R c</u>	<u>Y1</u>	X11
H	SCH ₃	0	н	CH ²	CH 2
н	SC2H5	0	Н	CH ₃	. сн2
H.	SCH(CH ₃) ₂	0	н	CH ²	. CH2
H	SCH2CH=CH2	0 .	н	CH3	CH ₂
Н	NHC2H5	. 0	н	CH ₃	CH 2
H	NHCH(CH ₃) ₂	0	. H	CH ₃	0
н	NH(CH2)5CH3	0	H	CH ₃	. 0
H	NH(CH2)8CH3	0	н	CH ₃	0
ш	X(CH-)-	n	H	CH.	n

5		à' S	BLE I	». '—',	X11	
10	<u>A</u> '	QRI	R	<u>R5</u>	<u> </u>	<u>X11</u>
•	н	SCH ₃	e	н	CH ₃	CH 2
	н	SC2H5	0	н	CH ₃	- CH 2
	н	SCH(CH3)2	0	н	CH ₃	. CH2
	H	SCH2CH=CH2	0	н	CH ₃	CH :
	H	NHC2H5	0	н .	CH ₃	CH2
15	H	NHCH (CH ₃) 2	O ·	Н	CH ₃	ດ້
1.7	H	NH(CH2) 5CH3	O	н	CH ₃	o
	н	NH(CH1)8CH3	0	H	CH ₃	O
	H	X(CH ₃)2	0	н	CH ₃	o

		A : - \(\frac{\circ}{\circ} \circ}{\circ}	BLE XQR ^I W SO ₂ NHC		CH ²	
10	<u>а</u> ' н	ORI SCH ₃	<u>o</u>	R 5 H	СН ² <u>7</u>	<u> </u>
	н	SC2H5	0	H	CH ₃	CH 3
	н				_	. СН 3
		SCH(CH ₃) ₂	c	H	CH ²	. СН ₃
	H	5(CH ₂) ₅ CH ₅	ę.	н	CH ₅	CH ₃
	H	SCH_CH+CH_	0	н	CH ₃	CH ₃
	н	S(CH ₂)-CH ₃	0	Н	CH ₃	CH ₃
15	H	NHCH (CH ₃) -	C	н	CH-	CH ₃
•	H	NHC2H5	0	н	CH 5	CH ₃
	Н	X(CH ₃) ₂	0	н	CH ²	CH ₃
	Н	N(C_H ₅) ₂	0	н	CH ²	СН ₃

	TABLE X-6								
5		SO ₂ NHC-N-CH ₃ C-QR ^I							
	<u>4</u> ,	ORI	<u> </u>	Rs	<u>x</u>	Y			
	Н	SCH ₃	0	Н	CH ₃	CH ₃			
	H	SC2H5	0	Н	CH ₃	CH ²			
	н	SCH(CH ₃) ₂	O	H	CH 3	. CH ₃			
10	н	5(CH ₂) ₅ CH ₃	o	н	сн ₃	CH ₃			
	н	SCH_CH=CH_	o	н	ĊH ²	CH ₃			
	н	5 (CH ₂) -CH ₃	0	н	ĆH ₃	CH ₃			
	H	NHCH (CH3) 2	С	H	CH ₃	CH ₃			
	. н	NHC2H5	0	н	CH ₃	сн ₅			
	Н	х(СH ₃) 2	e	н	CH ₃	CH ²			
15	. Н	N(C2H5)2	0	н	CH 3	СН ₃			

0	141 TABLE X-c					
5 .		A' S	-QR ^I	N X	CH ₃	·
	<u>A</u> '	QRI	<u>v.</u>	<u>Rs</u>	X	<u>Y</u>
		SCH ₃		н	CH ³	CH ²
	н	SC2H5	0	н	CH ₃	. сн ³
	н	SCH(CH ₃) ₂	0	н	CH 3	CH ₃
10	н	\$ (CH ₂) 3CH ₃	0	H	CH ²	CH ₅
10	н	SCH_CH=CH_	0	Н	CH ₃	CH ²
	. н	S(CH_)-CH3	0	Ħ	CH ²	CH ₃
	н	NHCH (CH 3)	0	н	CH ₃	CH ₃
	н	NHC2H5	0	н	CH 3	CH ²
	н	N(CH ₃) 2	0	н	CH ²	CH ₃
,	H	N(C2H5) 2	0	н	CH 3	CH ²
15						

5			-QRI		^Д сн²	
	<u>A</u> '	ORI	<u>K</u>	Rs.	<u>z</u>	<u>Y</u>
	н	SCH ₃	0	H .	CH ₃	CH ²
	н	SC2H5	σ	н	CH ₃	CH ²
10	н	SCH(CH ₃) ₂	0	H	CH ²	· CH ₃
	н	S(CH ₂) ₃ CH ₃	O	н	CH ₃	CH ₃
	H	SCH2CH+CH2	0	H	CH ₃	CH ₃
:	Н	S(CH ₂);CH ₃	0	H	CH ₃	CH ₃
	н	NHCH(CH ₅):	0	H	CH ₃	CH ₃
•	н	NHC2H5	0	H	CH ₃	CH ₃
15	, H	X(CH ₃) ₂	0	н	CH ₃	CH ₃
	Н	N(C2H5)2	0	H	CH ₃	CH ₃

		-				
		<u>T.</u>	ABLE X-		, Y	
5		A'	SO2NHÖ C-QR ^I Ö	k-N-/	х У-СН ₃	
	<u>A</u> '	QRI	<u>x</u>	Re	\overline{x}	Y
	, Н	SCH ₃	0	н	CH 3	CH 3
	н	SC2H5	0	н	CH 3	. CH ²
	Н	SCH(CH3)2	0	H	CH ₅	. CH ₃
10	н	5(CH ₂)3CH ₃	0	H	CH ₃	CH 3
	н	SCH_CH=CH_	e	H	CH ₃	CH ₃
	H	S(CH ₂)-CH ₃	0	Н	СН3 ,	CH ₅
	н	NHCH (CH3) 2	o	H	CH ₃	CH ₅
•	н	NHC 2H 5	0	H	CH ₃	CH3
	н `	х(сн ²) ⁵	0	H	CH ₃	CH ₃
15	н	N(C2H5)2	0	н	CH ²	CH3

o .		144 <u>T</u>	ABLE X	<u>-f</u>		
5 .		A' C-	Y ₂ NHC-N R QR ^I	, X	-CH ₃	
	<u>А</u> * Н	QRI	<u>ĸ</u>	R ₅	<u>x</u>	Y
	Н	SCH ₃	0	H	CH 3	CH ₃
•	н	SC2H5	0	н	CH ₃	. CH ²
	н	SCH(CH ₃) ₂	0	н	CH ₅	· CH ₃
10	H	S(CH ₂) ₃ CH ₃	O	H	CH ²	CH ₃
10	H	SCH_CH+CH_	o	н	CH ₃	CH 3
	H	S(CH ₂)-CH ₃	0	н	CH ₃	CH ₃
	ä	NHCH (CH ₃) 2	0	н	CH ₃	CH ₃
•	. н	NHC2H5	0	H	CH ₃	CH ₃
	H	N(CH ₃):	0	н	СП <mark>3</mark>	CH ₃
	H	X(C2H5)2	0	н	CH 3	CH ₃
15					-	J

0		145 TABLE XI		у		
5		A SO ₂ NH- C-RII	ë-n-	*		
	<u>A</u> '	T -C-R ^{II}	<u>K</u>	<u>R</u> s	\overline{z}	<u>Y</u>
	н	о -с-сн _з	0	н	ĊН ₃	CH ³
10	н	о - c - (сн ₂) ₅ сн ₃	Q	CH ²	сн ₃	CH 3
	н	- <u>ссн(сн²)</u> ;	o	н	сн3	CH 2
	н	o -Cch2ch=ch2	0	CH 3	CH ₃	CH3
15	н	- о - сси _з си=сис _з и ₅	c	н	CH ₃	CH ₃
	н	·ë-(0)	0	CH ₃	CH 3	CH 3
	н	° ; ; ; ; ; ; ; ; ; ; ; ; ; ; ; ; ; ; ;	o	сн ²	CH ₃	CI1 ²
20	н	° Ci	0	CH ²	CH ₃	сп ³
	н	-ë- -	o	CH ²	CII3	CH ₃
	н.	- Ü - Ü - Ü - Ü - Ü - Ü - Ü - Ü - Ü - Ü	0	CH ²	CH ₃	CH ₃
25	н ,	- c - O CH 2	G	CH3	CH 3	CH ₃
	н	0 -C-CH ₂ —O—C	H ₃ C	CH3	CH ₃	CH ²
	н	.ë.	0	сн ₃	CH ²	CH 3
30		0 C-Ci ₂ 0 C-CH ₂ 0	0	н	CH ²	CH 3
	Н	о с-сн ₂ —	0	н	СН3	CH3

5 ·						
		TABLE XI-	(cont	<u>'d)</u>		
		0			•	
	<u>A</u> '	<u>-С-R^{II}</u> NOН	ĸ	Rs	<u>.</u>	<u>Y</u>
	н	С-сн ₃ хосн-	o	н	cμ²	СН 3
10	н	С-С ₂ н ₅ NOCH(CH ₃) <u>-</u>	o	н	CH 3	CH ₃
	Н	ХОСН (СН3) 2 С-С2Н5 ХОСН2СН+СН2	0	н	CH ₃	CH ₃
• .	н	с́-сн²				
15	Ħ	о Ся О	o .	Ħ	CH3	CH3
	Ħ	CE	0	Ħ	сд ³	CH3
	Ħ	CH O	0	Ē	GCH	OCT.

		TABLE X T C-RII				
5		A' SO ₂ NI	W	Y Y		
	<u>À</u> '	T -C-R ^{II}	Ī.	х <u>8</u> 5	<u>x</u>	<u>Y</u>
10	н	- <u>с</u> -сн² о	o	н	CH ₃	C113
	H	о -С-(СН ₂) ₅ СН ₃	0	CH3	CH ₃	CH ₃
1 ·	н	о -ССН(СН ₃) ₂ о	o	H	CH ₃	CH ₃
15	H	o -Cch₂ch=ch₂ o	o	CH ₃	CH ₃	CH ₃
13	н	-Ссн _э сн=снс _э н ₅	٥.	н	сн ₃	СНЗ
•	н	·ë-(C)	G	CH 2	. сн ²	cn ²
	н	о - ёсн _э —(С)	o	CH 3	CH ₃	cu ₃
20	н	· i - C) - c i	0	CH 3	CII3	CII3
	H	-ë -Ö	0	CH3	CII ²	CH ₃
25	н	° - ° - ° - ° - ° - ° - ° - ° - ° - ° -	0	CH ²	, CH3 €	CII ₃
23	н	- CH3	o	CH ₃	CH ₃	CH ₅
	н	о - с- сн ₂ —(С)—сн	3 0	СН ₃	CH ₃	CH ₃
30	н	-څــ <u>-</u>	С	CH ₃	CH ³	Cif 3
	.	C-CH ₂ -C	o	Н	CH3	Cii ²
•	• н	° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° °	c	Ħ	C∺²	Cit ₃
35	Ħ	Ċ	0	3	CH ³	oca 3

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•		TABLE !		Y		
5		A' C-RII	Ř=		·	
	<u>A</u> '	-ë- _R II	<u>n.</u>	<u>r:</u>	<u>x</u>	<u>Y</u>
10	H	-Ĉ-Œ ₃	c	н	CH3	CH ₃
. •	Ħ	о -ё-(ся ₂) ₅ ся ₃	o	CH ²	СН ₃	CH ₃
	H	- ссн (сн ³) ⁻	o	н	CH3	CH ₃
15	H	о - ССН ₂ СН≠СН ₂	o	CH ₃	CH ₃ .	CH 3
	. Н	о - ссн ₂ сн•снс ₂ н ₅	0	н	CH ₃	CH ₃
	н	-ë- (⊙)	o	CH ₃	CH ²	СH ₃
20	H	-çcH ⁵ —(⊇)	a	CH ₃	CH ₃	CII3
	H	-c	o	. СH ₃	CH3	CII3
	. Н	-ëO	0	CH ₃	CII3	CII3
25	H	-ë	o	CH ²	CH ₃	CH ₃
	н	-ë́-∕⊙}³	Ð	CH ²	CH 3	CH ₂
•	н	-c-c+3-(C)-c+3	G	CH ³	CH ₃	C11 ²
30	H	-ë-	0	CH ²	CH ₃	СН ₃
	н	0 C-CH ₂ C-CH ₂	o	н	CH3	CH ₃
	н	о ё-ск _і -(9	н	СН3	CH3
35	3	• ea	0	Ħ	Ca ³	oca³

		TABLE :	<u> XI-d</u>	Y		
5		A · —//-	w ZNH-C-N R RII			
		\s \ \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	- r.			
	₫'	T -C-RII	<u>n</u> .	Rs	$\overline{\chi}$	<u>Y</u>
10	н .	- C - CH ²	o ·	н	CH 3	CH ₃
•	H	- ё- (сн ₂) ₅ сн ₃	o	CH3	CH ₃	CH ₃
	Н	о -ссн(сн ₃) ₂ о	G.	H ·	CH ₃	CII3
1.5	н	о - ССН ₂ СН=СН ₂ 0	ο	CH 3	CH ²	CH ₃
15	н	о -ССН ₂ СН=СНС ₂ Н ₅	0	н	СН3	CH 3
	Н	·ċ-⟨C⟩	0	CH ₃	СНЗ	CI13
	Н	· CCH:—(C)	0	CH 3	CI13	CH ₃
20	H	° C1	o	CH ²	c113	cu ³
	н	-ĕ- ⟨ ⊙⟩	0 .	CH ²	cn ₃	cn_5
25	н	-ë- (0)	0	CH3	СН3	CH ² .
	н	· ·c-(O)	,0	CH ³	CH ₃	C11 ²
	н		5 C	CH ²	CH ₃	cii ²
30	н	-ë-	0	CH ₃	CH ₃	CH ³
	H	© CE 2 ←	0	н	CH ²	C:: 3
	H	°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°°	0	н	CH ²	Cil 3
35	Ħ	ca ô	0	a	CE 3	oca ³

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		TABLE .	<u>ΥΙ-e</u>			
5		A' C-R'	₩ NH-C-?	ks in		
	<u>å</u> '	T -C-R ^{II}	<u>n</u>	<u>R</u> 5	<u>z</u>	<u>x</u>
10	н	-С-СН _З	c	н	CH ₃	CII3
•	H	о -с-(сн ₂) ₅ сн ₃	o	CH3	CH 3	CII3
	, H	о -сси(сн ₃) <u>-</u> о	o	н	CH ³	CH3
15	. н	·CCH_CH=CH_	o	СН ₃	сн ₃	CH3
	н	0 -CCH2CH=CHC3H2 0	o	н	CH ₃	CII3
	н	-ë - ⟨⊙⟩	c	CH ₃	CH ₃	CH ₃
20	н	-CCH2-(C)	o	CH ₃	CII3	ai ₃
	H	تحریک-:	o	CII3	CH ₃	ш3
	н	-ë	o	CH ²	Cil ₃	cn ₃
25	ä	-ë	o	CH ² .	CH ₃	CH ₃
	H	-ç-Q CH3 0 CH3	o	CH ³	CH ₃	CH ₂
	Ħ	-ç-cx3- <u>(</u>)-cx2	e	CH ²	CH ₃	Cii3
30	Ħ	۔ قُا۔	o	CH ³	C113	Cii ₃
	H	° - CH = - (1 ° - CH = - (1 ° - CH 2 - (1)	0	Ħ	CH3	Cit ²
	H	0 C-CH ₂ —	o	н	СН ₃	Cii;
35 .	Ħ	ç d	0	a	CE ³) CZ

		TABLE X	Г - Ғ			
5		A' C-RII		XXXX		
	<u>A</u> '	T -C-R ^{II}	<u> w</u>	Rs	<u> </u>	<u>Y</u>
10	н	-C-CH ²	o	н	CH ³	CII3
	н	о - Č - (СН ₂) ₅ СН ₃	o	CH ₃	CH ₃	CII3
	н .	о -ссн(сн ²) ⁵	0	អ	CH ₃	CH ²
15	н	о - ССН ₂ СН=СН ₂ о	o	CH3	CH ₃	CH ₃
	н	о -сси ₂ си=сис ₂ н ₅	0	н	CH ₃	CH ²
	н	- = - = - = - = - = - = - = - = - = - =	0	CH ₃	CH ₃	си3
•	н	о - ссн ₂ —С	o	Сн3	CII3	cn ₃
20	н	-ë-{O}-:	o	cut ₃	CH ₃	си3
	H	-ë - O	c	СH ₃	cii ₃	cm^2
25	н	-ë-{O}	o	CH ²	CH3	CH ²
25	Н .	-ç- <u>O</u>	o	CH ³	CH 3	СН2
	н	- C - CH ₂	² C	CH ²	CH ₃	Ci12
30	. н	-ë-	0	CH ²	CH ₃	CH ₃
	н	° - CH ₂ -	0	н	Сн ²	CH ²
	н	° ° CH ₂	o	ä	CH ²	CII3
35	E	Ç.	0	Ħ	⊂3 ³	cca³

		TABLE				
5		A'	и Кн-С-N- Ř _S			
	<u>A</u> .	T -C-R ^{II} -C-CH ₃	<u>n.</u>	<u>Rs</u>	$\overline{\mathbf{z}}$	<u>Y</u>
10	н	-ç-сн²	C-	н	сн ₃	СНЗ
•	н	о -с-(сн ₂) ₅ сн ₃	o	CH 3	СН ₃	CII3
		о -ссн(сн ₃) ₂	o	н	CH ₃	CH3
15	н	о -ссн ₂ сн≠сн ₂	o	CH ²	CH ₃	CH ₃
13	н .	о -сся ₂ сн=снс ₂ н ₅	o	н	CH ₃	C!I3
	н	·ë-(C)	c	СН ₃	CH ²	CII3
	н	° -ëGH2- ⟨ ○⟩	o	_ CH3	CH ₃	CII3
20	н	· ê-{O}-:	o	CH ²	CII3	CII3
	Ħ	· ; - ; cı	o	CH 3	CII ²	CH ²
25	н	-ë-⟨⊙⟩	o	CH3	CH ₃	CII ²
	н	-ç-Q	0	CH ²	СН ₃	CH ²
	Ħ	о - с-си ₂ —О—сн	ა ი	CH ²	CH ₃	CII3
30	H	-ë-	0	сн3	CH3	Cil ₃
	H	° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° °	0	H	СН <mark>3</mark>	CH 3
	н	° °-c=2-	0	Н	CH3	Cii;3
35	a	o d	0	ਬ	CCE ³	OCH 3

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TABLE XI-h

5 -			A'	R ₅ N			· .
		<u>A</u> '	T -C-RII	$\overline{\kappa}$	<u>R</u> s	$\overline{\chi}$	<u>Y</u>
10		н	-ё-сн _з	o	H ·	сн _з	CH3
	•	н	о - ё- (сн ₂) ₅ сн ₃ о	o .	CH3	CH ₃	CH ₃
	•	н	- ССН(СН ₃) 2	o	H	СН 3 .	CH ₃
15		н	о - Ссн ₂ сн - сн ₂ о	0	CH 3	СН 3	CH ₃
	н	- ссн ₂ сн=снс ₂ н ₅	0	н	CH ₃	CH ³	
		н	-ëC	o	CH ₃	CH ²	CII3
20		н	-ёсн ₂ —СО	0	СН3	CH ₃	CII3
20		н	- C \C \C1	o ·	СН ³	CII3	CH ²
		н	· -ë -(0)	0	CH ₃	CH ²	cn_5
25		н	-ĕ́ ~ ⊙>	0	СНЗ	СН3	CH ₃
		н	- c — CH2 2	0	сн ₃	СН3	C113
	•	н	о - C- CH ₂ —О — СН	2 0	CH3	CH3	CII ₃
30	•	н	-ë-	0	CH3	CH ²	CH ₃
		н	о С-сн ₂ —	0 ,	Н	CH ³	Cii ₃
•		н	° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° ° °	0	H	сн ²	Cii3
35		Ξ	. CI	0	3	CE 3	CE3

TABLE XI-i

		Y 2057H-C		<u> </u>		
5		C-KII	Ŕ ₅ :-	₹,		
				•		
	₹,	- <u>-</u> C-R ^{II}	<u>K</u>	<u>R</u> :	<u>x</u>	$\overline{\lambda}$
10	н	0 •ë-Œ3	c	н	CH ₃	СН3
•	Н	о -с-(сн ₂) ₅ сн ₃ 0	Q	CH2	СН ₃	CH ₃
	Н	-ёсн(сн ₃) ₂	O	н	сн ₃	СН.3
,	н	о - сси - си - си - о	Q	CH ₃	. СН ₃	CH3
15	н	о осн ³ сн≈снс ³ н ²	0	н	СН3	· CH ₃
	អ	-ë- (⊙)	o	CH3	CH3	CI13
	н	° — — — — — — — — — — — — — — — — — — —	o	CH ²	C11 ²	CII3
20	н	- i-(<u>O</u>)-:	0	CII 3	CH ₃	a13
	н	-ë-√⊙∑	0	CH ₃	CII3	CH ²
35	H	° - ° - ° - ° - ° - ° - ° - ° - ° - ° -	o	CH ₃	СН ₃	CH ²
25	Н	-ç- <u>O</u>	0	CH ₃	СН3	CH ³
	H ,	° - CH ₂	, o	CH. ²	СН ₃	CH ₃
30	н	·ë	0	CH ₃	CH ³	CH ₃
	Ħ	о С-СH ₂ —С	0	н	CH ²	CH ₃
	н	C= CH ₂ -CH	0	н	CH ³	C!!3
35	E	CZ .	0	Ξ	CE3	EEO0

		TABLE X	<u>I-i</u>			
5 .		S02X	к н-С-х- Ř	x x		
	<u>¥</u> .	T	<u>w</u>	35	\overline{z}	<u>Y</u>
10	#	о -с-сн ₅	c ·	я	CH 5	CH ₃
	Н	о -C-(CH ₂) ₅ CH ₃	o	CH3	CH ₃	СН3
•	ä	о - ССН(СН ₃) 3	0	H _.	CH ₃	CH3
15	н	о - Ссн ₂ сн=сн ₂	o	CH 3	CH ₃	CH ₃
	н	O .	o	н	CH ₃	СН3
	н	-ë-(G)	o	CH ₃	CH ²	CH ₃
20	н	-ccH3-(C)	0	CH ₃	CH ₃	CII3
20	н	-تاحریک-تا	0	CH ³	CH ₃	а1 ₃
	н	-ë -Ö	o	CH 5	CII3	car ²
25	н	-ë-C1	0	CH ²	СН3	C113
	н	- CH3	0	CH ₃	СН ²	CH ²
	ч	-C;-CH ₂ -CH	13 C	CH ₃	CH 3	C:12
30	н	- ë — —	0	Сн3	CH ²	CH ³
	н	CE	0	H	CH ₃	CII3
	н	o c-cH ₂ -()	o	н	CH3	Ci!3
35	Е	CE)	Ç	а	CE ³	oca ³

•	٠	d	,
4	ı	۹	6

5		TABLE TO SO 2 S		5 12		
	<u>¥</u> ,	T -C-RII	$\overline{\mu}$	<u>R</u> c	\overline{z}	<u>Y</u>
10	н	-c-cH ²	o	н	CH3	СН ₃
•	н	о -с-(сн ₂) ₅ сн ₃	o	CH ³	CH 3	CH ₃
	- н	о -Ссн(сн ₅) ₂ о	0	н	CH3	CH3
15	. н	- Ссн ₂ сн=сн ₂ 0	0	CH ³	CH3	CH ²
	Н	-CCH2CH=CHC2H5	0	н	CH ₃	CII3
	н	-ë-C	o	СH ₃	CH ₃	СН3
20	н	-çœ₁ <u>-</u> (⊙)	o	CH₃	CII3	C:113
	н	ريكي:	0	СH ₃	CII ₃	CI1 ²
	н	-ë -Ö	o	CH3	CH ₃	CII ²
25	н	-ë-(5)	o	CH ₃	СН ₃	CH ₅
	н	- c - O CH 3	0	сн ₃	CH 3	СН ₃
	н	. c. c c c c c c c.	3 G	CH ₃	CH ²	CH ²
30	. н	-55	c	CH ₃	CH3	CII3
	Н	° CH2 ← CH2	0	H	C∺²	Cii ²
	Н	° ° ⊂∺2⟨``}	0	н	CH ₃	Cii;3
35	3	o de	0	Ħ	CE ³	oca 3

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CH²

Ci:3

CC3

0

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		TABLE X	<u>I-1</u>		-	
5		A' C-R'	w ₂ nh-C-	N N N		
	<u>à</u> '	т - <u>с-я</u> тт о	$\overline{\nu}$	·x 3 <u>s</u>	\overline{z}	<u>Υ</u>
10	н .	-ё -с н _з	c	н	CH 3	Сн3
	. Н	о -С-(СН ₂) ₅ СН ₃	o	CH ₃	CH ₃	Сн ³
15	н	о -ссн(сн ₃) ₂	Ç	н	CH ₃	CH ₃
	н	о - Ссн ₂ сн=сн ₂	o	СH 3	CH 3	сн ₃
13	н	- ссн³сн=снс³н² ö	0	н	CH ₃	CH ₃
•	н	-ë-< <u>C</u>	o	CH ²	CH ³	CII3
20	н	· cc+2—(C)	o	CH 3	CH ₃	cn ₃
20	н	° - ° - ° - ° - ° - ° - ° - ° - ° - ° -	0	CII ₃	CH ₂	сн ₃
	. Н	-: - 0	o	CH ₃	CI13	cn _z
25	н	· · · · · · · · · · · · · · · · · · ·	0	CH ³ ,	CII 3	cn ₃
	н	-c.—O	o	СH ₃	СН ₃	CH3
	н	о - с - сн ₂ —(О)—сн	1 ₃ 0	CH ²	CH3	CII3
30		·-ë	0	CH ²	Cii 3	CII ²
	H	о С-СН ₂ —	o	н	CH 3	CH ²

Table XII

158

Other compounds within the scope of this invention include:

10

X

30

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x

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Table XII-a

. 5		A'	SO2NCW CO2RI	-
•	A'	M.	<u>w</u>	R^{I}
	H	S	0	C ₂ H ₅
	H	s	0	25 CH(CH ₃) ₂
10	H	S	0	CH2CH2CI
	H	S	0 .	(CH ₂) ₆ H
	. н	s	0	CH-CH ₂ CH ₃
	•			CH-CH ₂ CH ₃ CH ₃
	H	s .	0	CH ₂ -CH=CH ₂ .
15	H	S	0	CH ₂ -CH=CH-CH ₃
	H	S	0	CH2CH=CH-CH2CH3
	H	S	0	CH ₂ -C-CH ₃
	H	S	0	CH ₂ CH (CH ₃) ₂
20	H	3	0	क्तर्वा (क्तर्वा ३) 2
	H	S	0	ಡ್-ಡ-ದ
	H	S	0	तम्यतम्(तम्यः) 2
	H	S	0	ಡ-ದ್ರ
25	H	S	0	(CH ₂) 6C1
25	H	S	0	(CH ₂) 6Br
	H	S	0	CH ₂ CH ₂ Br
	H	S	0	(CH ₂) ₆ F
	H	S	0	(CH ₂) ₄ F
30 .	H	S	0	CH ₂ CN
30	H	S	0	CH ₂ CH ₂ CN
	H	S	0	CH2CH2CCH3
	H	S	0	(CH ₂) 6 CCH ₃
	H	S	0	(CH ₂) 3CCH ₃
35	H	S	0	CH-CH2CCH3 CH-CH2CCH3 CH-CH2CCH3 CH-CH2CCH3

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x			162	
			Table (cont	XII-a
_	A'	<u>w'</u>	<u>w</u> 0	CHCH ₂ CCH ₃
5	. H	s	0	ਰਜ਼-ਰਜ਼-ਰਜ਼(ਰਜ਼ ³) 2
	H	s	0	त्त्र ³ त्त्र-त्त्र ⁵ ०त्त्र ⁵ ०त्त्र ³ त्त्र ³ त्त्र-त्त्र ⁵ ०त्त्र(त्त्र ³) ⁵
10	H .	s	0	CH ₂ CN
	H .	S	0	
15	H	s	o	
	Ħ	s	o	H ₂ C
20	Ħ	S	0	-H ₂ C -CH ₂
	н	s	o	0
25	Ħ	S	0	H ₂ C
30 ·	B	s	0	H ₂ C o
	Ħ	s	· O	-H ₂ C
	H	s	0	Œ ₂ œ13
35	H	S	0	CH2CC2H5
33	H	s	0	CH ₂ OCH ₃ CH ₂ OCH ₅) ₄ H

x		;	163 Table XII (cont'd	[-a
	A'	<u>w'</u>	<u>w</u>	<u>R^I</u>
	н	S	0	वार्वा=वाता
5	H	s	0	ਕ਼ਾ ⁵ ਕ਼=ਕ਼ਾ-ਕਾ ⁵ ਕ
	Н	S	0	तम ² तम=तम (तम ²) ³сा
	H	S	0	GH'C≡C-GH'
	H	S	0	तमेंट=ट-तमेंटा
	H	s	0	ಡೌಂ≅ಂ-ಡೌಂಚೌ-ರಾ ಡೌಂ≅ಂ-ಡೌರಾ
10	н	s	0	
15	H	s	0	
	H	S	0	
20	H	S	o	CH ₃
25	H	s	0	H ₃ c
	H	s	o	H-3C CH3
30	Ħ	s	0	-н_2с-
	H	s	0	-H ₂ C
35	Н	S	0	-H ₂ C-

Table XII-a (cont'd)							
	<u>A'</u>	<u>w'</u>	<u>w</u>	R^{I}			
5	H	S	0	CH ₂ -(O)			
	Ħ	s	0				
10	H	s	0	CEE - CO			
3 F	_H	S	0	H ₂ C -(C) - CI			
15	H	s	0	H ₂ C -CH ₃			
20	Ħ	S	0	H ² C - CH ³			
	H	s	0	_ ਦਸ਼ ² ਦਸ਼ ² ਨਦਸ਼ ² ਦਸ਼ ³			
	H	S	0	टम ₂ टम ₂ टम (टम ₃) 2			
25	H	S	0	CH2CH20			
	H	s	0	CH ² CH ² OCH ² CT			
	H	s	0	CH ² CH ² CCH ² CCT ³			
30	H	S	0	GH CH20C2H2			
30	H.	S	0	CH-CH ₂ OCH (CH ₃) ₂ CH ₃ (CH ₂) ₃ OCH (CH ₃) ₂			
	Ħ	S	O	(त्युन) नुक्स(त्युन) नु			
	Ħ	S	0	(CH ₂) 3CH ₂ CH ₃			
35	H	S	0	व्य ² व्य³व्य³व्य³			
	H	S	0	CH2CH2 (OCH2CH2) 20C2H5			

x		<u>T</u>	165 able XII (cont'd)	<u>-a</u>
	<u>A '</u>	<u>w•</u>	<u>w</u>	RI
	<u>A'</u> 5-CH ₃	S	0	CH
5	Ħ	0	0	- CH ₃
	H	S	S	CH ₃
	4-CH ₃	S	0	CH ³
•	4C1	s	0	Œ ³
•	4-Br	S	0	Œ3
10	5-Br	s	0	CH ³
	4-NO ₂	S	0	CH ³
	4-C-H ₅	S	0	CH ³
	5-C ₂ H ₅	S	0	CH ₃
	5- <u>n</u> -C-H ₉	s	0	CH ₃
15	5-01(013) ₂	s	0	CH ₃
	4-CF ₃	s	0	GH ³
	5-00H,	s	0	CH ₂

×

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Table XII-b

5		R ³	CO2C	.So ₂ ncw
	A'	<u>w'</u>	<u>M</u>	<u>R^I</u>
	H	S	0	С ₂ Н ₅
10	H	S	0	CH (CH ₃) ₂
	H	S	0	ಡ್ಡ್ಯಾಡ್ಡ್
	H	S	0	(CH ₂) EH
-	H .	S	0	сн ⁻ сн ⁻ сн ³
15	Ħ	s	0	CH2-CH=CH2
	H	s	0	CH ₂ -CH=CH ₃
	H	s	0	टार्युटा=टार-टार्युटा
				CH ₂
	H	S	0	сн ₂ -с-сн ₃
20	H	S	0	CH ₂ CH(CH ₃) ₂
	H	3	0	त्मर्वा (वर्षे वर्षे ३) र
	H	S	0	CH ² CH ² CI
	H	S	0	टम ² टम(टम ² टा) 2
	H	S	0	യ്യ³
25	H	S	0	(CH ₂) 6C1
	H	S	0	(CH ₂) Er
	Ħ	S	0	CH ₂ CH ₂ Br
	H	S	0	(CH ₂) ₆ F
	H	s	0	(CH ₂) ₄ F
30	H.	S	0	(CH ₂) ₄ F CH ₂ CN
	H	S	0	CH_CH_CN
	H	S	0	CH2CH2CCH3
	H	S	0	(CH ₂) ₆ CCH ₃
	H	S	0	(CH ₂) 3CH ₃
35	H	S	0	сн-сн ⁵ ссн ³ (сн ⁵) ³ ссн ³ (сн ⁵) ⁶ ссн ³ сн ⁵ сн ⁵ ссн ³

				0030
×			16 <u>Table</u> (cont	
5	A' H	<u>w'</u> s	<u>w</u> 0	CHCH ₂ OCH ₃
	H	S	0	сн ₃ сн-сн ₂ осн (сн ₃) ₂
	H	s	0	сн-сн ² осн ² сст ³
10	Ħ	s	Ö	CH ² CN
	н .	s	0	\searrow
15	н	s	0	
	H	S	0	H ₂ C
20	Ħ	s	0	-H ₂ C -ÇH ₂
	н	· s	O	
25	н	S	o	H ₂ C
30	H	S	O	H ₂ C O
	H	S	o	-H ₂ C
	H	S	0	CH ₂ OCH ₃

H

s

x

Х				
			Table XI (cont'	<u>I-b</u>
	<u>A'</u>	W'	W	RI
	H	s	0	CH_CH=CHCl
5	H	s	0	ਰਸ ² ਰਸ=ਰਸ−ਰਸ਼ ² ਰਸ
	H	S	0	त्मेत=ता(त्मे)ैत
	H	S	0	टम ⁵ ट=ट-टम ³ टम ⁵ टम=टम(टम ⁵) ³ टा
	H	S	0	त्मरेट=८-त्मरेटा
10	H	S	0	त्म ^र ट्टट-त्म ^र त्म ^र -टा त्म ^र ट्टट-त्म ^र टा
	H	S	0	
15	H	s	0	
	н	s	0	
20	н	s	0	CH ₃
25	н	s	0	H _C C
	H	S	o	H-3C CH3
30	n ·	·s	0	-H ² C
	н	s	0	-H ₂ C-
35	н	s	o	-н ₂ с-

		Ţ	able XII-b (cont'd)	
	<u>A'</u>	<u>w'</u>	<u>w</u>	RI
5	н	S	0	CH ₂ -(O)
	H	s	o	CH_CH2 - O
10	H	s	0	GH → ○
	.H	S	0	H ₂ C -{O}- C1
15	H	S	0	H ₂ C -CH ₃
20	н	s	0	H ₂ C - CH ₃
	н	s	0	CH2CH2CH2CH3
	Н	s	0	तम्यम् (तम् ₃) ₂
25	H	s	o	CH2CH20
	H	s	0	ಡ್ಡ್ಡ್ಯಾಡ್ಡ್ಡ್ಡ್ಡ್
	H	S	0	$GH^2GH^2GGH^3$
	H	s	0	CH CH ₂ CC ₂ H ₅
30	H	s	0	сн ₃ сн-сн ₂ осн (сн ₃) ₂ сн ₃ (сн ₂) ₃ осн (сн ₃) ₂
	H	s	Ċ	(CH ₂) ₃ OCH(CH ₃) ₂
	H	S	0	(cH ₂) 3ccH2cH3
	H	S	0	$\mathrm{ch}^{2}\mathrm{ch}^{2}\mathrm{ch}^{2}\mathrm{ch}^{2}$
35	' H	s	0	CH2CH2 (OCH2CH2) 20C2H5

			<pre>rable XII-b (cont'd)</pre>	
	<u>A'</u>	W'	<u>w</u>	RI CH ₃
	5-CH ₃	s	0	CH,
5	н	0	0	Œ ³
	H	S	S	Œ13
	4-Ci ₃	S	0	Œ13
•	4-Cl ₃ 4-Cl	s	0	CH3
	4-Br	s	0	Œ3
10	5-Br	S	0	CH3
	4-NO ₂	S	0	CH ³
•	4-C-H ₋	S	0	CH3
•	5-C ₂ H ₅	S	0	CH ³
• •	5- <u>n</u> -C ₇ H ₉	s	0	CH3
15	5-C ₂ H ₅ 5- <u>n</u> -C ₇ H ₉ 5-CH(CH ₃) ₂	s	0	CH ³
	4-CF ₃	S	0	CH ³
	5-00H ₃	S	0	CH ₃

. 20

25

30 .

×

Table XII-C

5		A '	W' SO ₂ NCW	
	A ^t	w'	<u>w</u>	<u>R^I</u>
	н	s	0	С ₂ н ₅
	Ħ	S	0	CH (CH ₂) 2
10	H	s	0	टम ⁵ टम ⁵ टा टम(टम ³) ⁵
	H	s	0	(CH ²) ^e H
	H	S	0	сн-сн ₂ сн ₃
	٠		•	ŒI ₃
•	H	S	0	CH2-CH=CH2
15	H	S	0	CH ₂ -CH=CH-CH ₃
	H	S	0	CH ² CH=CH ² CH ³
	Н	s	0	CH ₂ CH ₂ -C-CH ₃
20	H	S	0	CH ₂ CH(CH ₃) ₂
20	H	3	0	CH ₂ CH (CH ₂ CH ₃) ₂
•	H	S	0	CH2CH2CI
	H	S	0	CH ₂ CH (CH ₂ C1) ₂
	Ħ	S	0	$\alpha_2 \alpha_3$
25	H -	S	0	(CH ²) ⁶ CJ
	H	S	0	(CH ₂) ₆ Br
	H 	s	0	CH ₂ CH ₂ Br
	H	S	0	(CH ₂) 6F
	H	S	0	(CH ₂) ₄ F
30	H.	s	0	CH ₂ CN
	H	S	0	CH ² CH ² CN
	H	S	0	CH2CH2CCH3
•	H	S	0	(CH ₂) ₆ CCH ₃
	H	S	0	(CH ₂) 3CCH ₃
35	H	S	0	CH ² CH ² CCH ³ CH ² CH ² CCH ³ CH ² CH ² CCH ³

x			172 Table (cont	XII-c
_	A' H	w' s	<u>w</u> 0	CHCH ₂ OCH ₃
5	Ħ	s	0	. CH-CH_OCH(CH_).
	н	s	0	CH ₃ CH-CH ₂ CCH ₂ CCI ₃ CH ₃ CH ₂ CN
10	Н	S	0	CH ₂ CN
	H	S	0	
15	H	S	0	
	H	s	0	H ₂ C
20	H	s	0	-H ₂ C -CH ₂
	н	s	0	
25	H	S	o	H ₂ C
30 .	B	s	0	H ₂ C O
	H	S	0	-H ₂ C
	H	s	0	CH-0CH-
	H	s	0	CH ² CC ² H ²
35	H	s	0	СН ₂ ОСН ₃) ₄ Н СН ₂ О(СН ₂) ₄ Н

x	173			
	Table XII-c (cont'd)			
	<u>A ¹</u>	<u>w'</u>	<u>w</u>	<u>R^I</u>
	н	s	0	a+ ⁷ a+=a+cr
5	H	s	0	cਸ਼ ² cਸ਼=ਕਮ-ਕ਼ਮ ² ਕਾ
•	H	s	0	तम ² तम=तम(तम ²) ² टा
	Ħ	S	0	CH ² C≅C−CH ²
	H	s	0	CH2C=C+CH3 CH2C=C+CH3 CH2C=C+CH2C1
	H	s	0	ਰਸ ⁷ ਟਵਟ-ਰਸ ⁷ ਰਸ ⁷ -ਰਾ
10	H	s	0	
1-	H	s	o	
15				_
	H	S	0	
20	н	S	0	CH ₃
25	Ħ	S	0	H ₃ C
	Ħ	s	o	H ₃ C CH ₃
30 ·	B	· s	0	-H ₂ C
	H	. s	0	-H ₂ C-\
35	н	S	0	-H ₂ C-

×			174		
	Table XII-c (cont'd)				
	<u>A'</u>	<u>w·</u>	<u>w</u>	RI	
5 .	н	S	o	CH ₂ -	
	н	s	o	CH 2CH2	
10	н .	S	o	CH -C)	
	,H	S	o	H ₂ c -(O)- c1	
15	Ħ	s	0	H ₂ C -CH ₃	
20	н	S	0	H ₂ C -CO-OCH ₃	
	H .	s	0	त्म _र त्मरत्म ^र त्म ³	
	H	S ·	0	टम ₂ टम ₂ ०टम(टम ₃) ₂	
25	Ħ	· s	0		
	Ħ	s	0	CH ² CH ² CCH ² CCT	
	H	s	0	GH ² GH ² OGH ² GCI ³	
	H	S	0	Gergeroc ^z e²	
30	H	S	0	CH CH ₂ CC ₂ H ₅ CH ₃ CH-CH ₂ CCH(CH ₃) ₂ CH ₃ (CH ₂) ₃ CCH(CH ₃) ₂	
	Ħ	s	U	(CH ₂) ₃ CCH(CH ₃) ₂	
	H	S	0	(CH ₂) 3CCH ₂ CH ₃	
	H	S	0	$G^2G^2G^2G^2G^3$	
35	H	S	0	СH ₂ CH ₂ (ОСH ₂ CH ₂) ₂ ОС ₂ H ₅	

		T	able XII-c (cont'd)	
•			(cont.a)	_
	<u>A'</u>	<u>w'</u>	<u>w</u>	$\underline{R^{I}}$
_	A' 5-CH ₃	S	0	CH ₃
5	н	0	0	CH ₃
	H	s	S	CH ₃
	4-Cii ₃	S	0	CH ³
	4-C1	S	0	CH ₃
	4-B r	S	0	Œ3
10	5-Br	S	0	CH ₃
	4-NO ₂	S	0	CH ³
	4-C ₂ H ₅	S	0	CH ₃
	4-C ₂ H ₅ 5-C ₂ H ₅	S	0	CH ₃
	5- <u>n</u> -C ₇ H ₉	S	0	CH ³
15	5-CH (CH ₃) ₂	s	ο .	CH ₃
	4-CF ₃	S	0	CH ³
	5-0CH.	S	0	CH.

x

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X

Formulations |

Useful formulations of the compounds of Formulas I, II or III can be prepared in conventional ways. They include dusts, granules, pellets, solutions, suspensions, emulsions,

5 wettable powders, emulsifiable concentrates and the like.

Many of these may be applied directly. Sprayable formulations can be extended in suitable media and used at spray volumes of from a few liters to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations, broadly, contain about 0.1% to 99% by weight of active ingredient(s) and at least one of (a) about 0.1% to 20% surfactant(s) and (b) about 1% to 99.9% solid or liquid diluent(s). More specifically, they will contain these ingredients in the following approximate proportions set forth in Table XVIII.

	TABLE XVIII						
20		Weight Percent*					
	Woodan Davidson	Active Ingre- dient	Diluent(s)	Surfac- tant(s)			
	Wettable Powders	20-90	0-74	1-10			
25	Oil Suspensions, Emulsions, Solu- tions (including Emulsifiable Concentrates)	3-50	40-95	0-15			
	Aqueous Suspension	10-50	40-84	1-20			
	Dusts	1-25	70 – 99	0-5			
30	Granules and Pellets	0.1-95	5-99.9	0-15			
	High Strength Compositions	90 - 99	0-10	0-2			

*Active ingredient plus at least one of a Surfactant or a Diluent equals 100 weight percent.

x

growth, etc.

Lower or higher levels of active ingredient can, of course, be present depending on the intended use and the physical properties of the compound. Higher ratios of surfactant to active ingredient are sometimes desirable, 5 and are achieved by incorporation into the formulation or by tank mixing.

Typical solid diluents are described in Watkins, et al., "Handbook of Insecticide Dust Diluents and Carriers," 2nd Ed., Dorland Books, Caldwell, New Jersey, 10 but other solids, either mined or manufactured, may be used. The more absorptive diluents are preferred for wettable powders and the denser ones for dusts. Typical liquid diluents and solvents are described in Marsden, "Solvents Guide," 2nd Ed., Interscience, New York, 1950.

15 Solubility under 0.1% is preferred for suspension concentrates; solution concentrates are preferably stable against phase separation at 0°C. "McCutcheon's Detergents and Emulsifiers Annual," MC Publishing Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia of Surface Active Agents," Chemical Publishing Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives

to reduce foaming, caking, corrosion, microbiological

- The methods of making such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer or fluid energy mill. Suspensions are prepared by wet milling (see, for example,
- Littler, U.S. Patent 3,060,084). Granules and pellets may be made by spraying the active material upon preformed granular carriers or by agglomeration techniques.

 See J. E. Browning, "Agglomeration," Chemical Engineering,
- December 4, 1967, pp. 147ff. and "Perry's Chemical 35 Engineer's Handbook," 4th Ed., McGraw-Hill,

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New York, 1963, pp. 8-59ff.

For further information regarding the art of formulation, see for example:

H. M. Loux, U.S. Patent 3,235,361, February 15, 1966, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41.

R. W. Luckenbaugh, U.S. Patent 3,309,192, March 14, 1967, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15,39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167, 169-182.

E. Gysin and E. Knusli, U.S. Patent 2,891,855, June 23, 1959, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4.

John Wiley & Sons, Inc., New York, 1961, pp. 81-96.

J. D. Fryer and S. A. Evans, "Weed Control Handbook", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pp. 101-103.

In the following examples, all parts are by weight unless otherwise indicated.

Example 9

Wettable Powder

methyl 3 - [[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]- 80%
aminosulfonyl]-2- thiophenecarboxylate
sodium alkylnaphthalenesulfonate
sodium ligninsulfonate
synthetic amorphous silica
kaolinite

2%

The ingredients are blended, hammer-milled until all the solids are essentially under 50 microns, reblended, and packaged.

46%

X

Example 10

Wettable Powder

diatomaceous earth

methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)- 50%
aminocarbonyl]aminosulfonyl]-2-thiophene
5 carboxylate
sodium alkylnaphthalenesulfonate 2%
low viscosity methyl cellulose 2%

The ingredients are blended, coarsely hammer10 milled and then air-milled to produce particles essentially
all below 10 microns in diameter. The product is
reblended before packaging.

Example 11

Granule

15 wettable powder of Example 10 5% attapulgite granules 95%

(U.S.S. 20-40 mesh; 0.84-0.42 mm)

A slurry of wettable powder containing \$25% solids is sprayed on the surface of attapulgite granules in a double-cone blender. The granules are dried and packaged.

Example 12

Extruded Pellet

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)- 25%
aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate
anhydrous sodium sulfate 10%
crude calcium ligninsulfonate 5%
sodium alkylnaphthalenesulfonate 1%
calcium/magnesium bentonite 59%

The ingredients are blended, hammer-milled and then moistened with about 12% water. The mixture is extruded as cylinders about 3 mm diameter which are cut to produce pellets about 3 mm long. These may be used directly after drying, or the dried pellets may be crushed to pass a U.S.S. No. 20 sieve (0.84 mm

30

openings). The granules held on a U.S.S. No. 40 sieve (0.42 mm openings) may be packaged for use and the fines recycled.

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Example 13

5 Oil Suspension

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methyl 3-[[(4,6-dimethylpyrimidin-2-yl)amino- 25% carbonyl]aminosulfonyl]-2-thiophenecarboxylate polyoxyethylene sorbitol hexaoleate 5% highly aliphatic hydrocarbon oil 70%

The ingredients are ground together in a sand mill until the solid particles have been reduced to under about 5 microns. The resulting suspension may be applied directly, but preferably after being extended with oils or emulsified in water.

15 Example 14

Wettable Powder

methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)amino-carbonyl]aminosulfonyl]-2-thiophenecarboxylatesodium alkylnaphthalenesulfonate 4% sodium ligninsulfonate 4% low viscosity methyl cellulose 3% attapulgite 69%

The ingredients are thoroughly blended. After grinding in a hammer mill to produce particles essentially all below 100 microns, the material is reblended and sifted through a U.S.S. No. 50 sieve (0.3 mm opening) and packaged.

Example 15

Oil Suscension

methyl 3-[(4-methoxy-6-methylpyrimidin-2-yl)- 35% aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate blend of polyalcohol carboxylic 6% esters and oil soluble petroleum sulfonates

35 xylene 59%

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The ingredients are combined and ground together in a sand mill to produce particles essentially all below 3 microns. The product can be used directly, extended with oils, or emulsified in water.

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Example 16

High Strength Concentrate

methyl 3-[[(4,6-dimethylpyrimidin-2-yl)amino-99% carbonyl]aminosulfonyl]-2-thiophenecarboxylate 0.5% silica aerogel 0.5%

10 synthetic amorphous silica

The ingredients are blended and ground in a hammer mill to produce a material essentially all passing a U.S.S. No. 50 screen (0.3 mm opening). The concentrate may be formulated further if necessary.

15 Example 17

Low Strength Granule

methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)amino-1% carbonyl aminosulfonyl]-2-thiophenecarboxylate 9% N, N-dimethylformamide 90% 20 attapulgite granules

(U.S.S. 20-40 mesh).

The active ingredient is dissolved in the solvent and the solution is sprayed upon dedusted granules in a rotating blender. After spraying of the solution has 25 been completed, the blender is allowed to run for a short period and then the granules are packaged.

Example 18

Agueous Suspension

	methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)-	40%
30	aminocarbonyl]aminosulfonyl]-2-thiophenecarboxyl	ate
	polyacrylic acid thickener .	0.3%
	dodecylphenol polyethylene glycol ether	0.5%
	disodium phosphate	1%
	monosodium phosphate	0.5%
35	polyvinyl alcohol	1.0%
	water	56.7%

The ingredients are blended and ground together in a sand mill to produce particles essentially all under

Example 19

5 Solution

5 microns in size.

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methyl 3-[[(4,6-dimethylpyrimidin-2-y1)amino- 5% carbonyl]aminosulfonyl]-2-thiophenecarboxylate water 95%

The salt is added directly to the water with stirring 10 to produce the solution, which may then be packaged for use.

Example 20

Granule

methyl 3-[(4,6-dimethoxypyrimidin-2-yl)amino- 80%

15 carbonyl aminosulfonyl -2-thiophenecarboxylate wetting agent 1%

crude lighinsulfonate salt (containing 10%

5-20% of the natural sugars)

attapulgite clay 9%

The ingredients are blended and milled to pass through a 100 mesh screen. This material is then added to a fluid bed granulator, the air flow is adjusted to gently fluidize the material, and a fine spray of water is sprayed onto the fluidized material. The fluidization and spraying are continued until granules of the desired size range are made. The spraying is stopped, but fluidization is continued, optionally with heat, until the water content is reduced to the desired level, generally less than 1%. The material is then discharged, screened to the desired size range, generally 14-100 mesh (1410-149 microns), and packaged for use.

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Example 21

Low Strength Granule

methyl 3-[{(4-methoxy-6-methylpyrimidin-2-yl)- 0.1% aminocarbonyl]aminosulfonyl]-2-thicphenecarboxylate 5 attapulgite granules 99.9%

(U.S.S. 20-40 mesh)

The active ingredient is dissolved in a solvent and the solution is sprayed upon dedusted granules in a double cone blender. After spraying of the solution 10 has been completed, the material is warmed to evaporate the solvent. The material is allowed to cool and then packaged.

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CTILITY

The compounds of the present invention are powerful herbicides. They have utility for broadspectrum pre- and/or post-emergence weed control in areas where complete control of all vegetation is desired, such as around fuel storage tanks, ammunition depots, industrial storage areas, parking lots, drive-in theaters, around billboards, highway and railroad structures. Alternatively, the subject compounds are useful for the selective pre- or post-emergence weed control in crops, such as wheat and soybeans.

The rates of application for the compounds of the invention are determined by a number of factors, including their use as selective or general herbicides, the crop species involved, the types of weeds to be controlled, weather and climate, formulations selected, mode of application, amount of foliage present, etc.

In general terms, the subject compounds should be applied at levels of around 0.06 to 10 kg/ha, the lower rates being suggested for use on lighter soils and/or those having a low organic matter content, for selective weed control or for situations where only short-term persistence is required.

The compounds of the invention may be used in combination with any other commercial herbicide examples of which are those of the triazine, triazole, uracil, urea, amide, diphenylether, carbamate and bipyridylium types.

For use as a plant growth regulant, the compound will be used in such manner and amount as to be effective but substantially non-phytotoxic to the desirable plant species whose growth is to be regulated.

The herbicidal properties of the subject compounds were discovered in a number of greenhouse tests. The test procedures and results follow.

TEST A

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Seeds of crabgrass (Digitaria spp.), barnyardgrass (Echinochloa crusgalli), wild oats (Avena fatua), Cassia tora, morningglory (Tpomoea spp.), 5 cocklebur (Xanthium spp.), sorghum, corn, soybean, rice, wheat as well as nutsedge tubers were planted in a growth medium and treated preemergence with the chemicals dissolved in a non-phytotoxic solvent. At the same time, cotton having five leaves (including 10 cotyledonary ones), bush beans with the third trifoliate leaf expanding, crabgrass, barnyardgrass and wild oats with two leaves, cassia with three leaves (including cotyledonary ones), morningglory and cocklebur with four leaves (including the cotyledonary ones), sorghum and corn with four leaves, soybean with two cotyledonary leaves, rice with three leaves, wheat with one leaf, and nutsedge with three-five leaves were sprayed. Treated plants and controls were maintained in a greenhouse for sixteen days, whereupon all species were compared to controls and visually rated for response to treatment. The ratings are based on a numerical scale extending from 0 = no injury, to 10 = complete kill. The accompanying descriptive symbols have the following meanings: B = burn; G = growth retardation; C = chlorosis/necrosis; D = defoliation; 25 6Y=abscised buds or flowers; 6F=delayed flowering; U=unusual pigmentation; S=albinism; E=emergence inhibition; and H= formative effects. The ratings for the compounds tested by this procedure are presented in Table A. It will be seen that certain of the compounds tested 30 have utility for selective pre- and post-emergence weed control in soybeans and wheat.

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Table A

5			•	
10		SU ₂ NIICNII - N- CII J	SO ₂ NIIČNII - N- SO ₂ NIIČNII - N- SO ₂ NIIČNII - N- SO ₂ OCII ₃	$\left\langle \begin{array}{c} 0 \\ 1 \\ 1 \\ 1 \\ 1 \end{array} \right\rangle = \left\langle \begin{array}{c} 0 \\ 1 \\ 1 \\ 1 \\ 1 \\ 1 \end{array} \right\rangle = \left\langle \begin{array}{c} 0 \\ 1 \\ 1 \\ 1 \\ 1 \\ 1 \end{array} \right\rangle$
15	kg/ha	2 1		-
		0.1	0.1	0.1
	POST-EMERGENCE			
	BUSHBEAN	6C,9G,6Y	5C,8G,6Y	3D.9G.6Y
	COTTON	3U.9G	7C.9G	7C 9G
	MORNINGCLORY	9C	100	10C
20	COCKLEBUR	5C,9G	9C	3C,9G
_0	CASSIA NUTSEDGE	0 5C.9G	2C	1C.3G
	CRABGRASS	5C.9G	1C.9G 3C.8G	4C,9G
	BARNYARDGRASS		3C.8G	6C.9G
	WILD OATS	6C, 9G 2G	9C	9C
	WHEAT	1C.9G	1C.7G	2C.3G
	CORN	1C.9H	2C.8G	3C.7G
25	SOYBEAN	3G	20.9G 5C.9G	1C,9G
23	RICE	2C.9G	5C.9G	2C.8G 3C.9G
	SORGHUM	1C,9G	5U.9G	3C.9G
	PRE-EMERGENCE		30.30	30.90
	MORNINGGLORY	9G	0.0	
	COCKLEBUR	9G	9G	9G
	- CASSIA	6G	9H 8G	9H
30	NUTSEDGE	10E		8G
50	CRABGRASS	1C.7G	10E 1C.7G	10E
	BARNYARDGRASS	1C,9H	1C.9H	1C.9H
	WILD OATS	1C,6G	1C.8G	1C.8G
	WHEAT	lC,9G	IC,9G	1C,8G 1C,9H
	CORN	1C,9H	9G	9G
	SOYBEAN	0	бH	6H
35	RICE	10E	10E	10E
22	SORGHUM	10H	10E	1C.9H



x

Table A (cont'd)

5		£ 2 £	N − CH ₃ CH ₃	$\begin{cases} & & & \\ $
10		$\left\langle \begin{array}{cccc} & & & & & C^{H_3} \\ & & & & & \\ & & & & \\$	$\begin{cases} \begin{array}{cccccccccccccccccccccccccccccccccccc$	SO ₂ NHCNIH-COS
	kg/ha	0.1	0.4	0.1
15	POST-EMERGENCE			
	BUSHBEAN	9C	6C,9G	2C.8G.6Y
	COTTON	3C,7G	3C,3H	9C
	SORGHUM	10,9G	2C,9H 2C,7H	2C,7H
	CORN	2C,8H	2C,7H	9H
	SOYBEAN	1C,2H	2C,3G	5C,9G
Ser-	WHEAT	. 0	Ö	1H
20	WILD GATS	0	0	1H
	RICE		1C,5G	2C,8G
	BARNYARDGRASS	2C,8H	2C,5H	2C,9H
	CRABGRASS	1C,5G	4G	1C
	MORNINGGLORY	5C,8G	1C,3H	10C
	COCKLEBUR	10C	1C,5G 2C,2H	10C
	CASSIA	0	1C	2C,7H
25	NITSEDGE	<u> </u>		
	PRE-EMERGENCE			
	SORGHUM	1C,9H	3C,9H	1C,7H
	CORN	1C,4G	1C,5H	5G
	SOYBEAN	0	lC,lH	2C,5H
	WHEAT	0	0	0
	WILD OATS	0	10E	0
30	RICE	10E 1C,5G	3C	10E 2C,7G
	BARNYARDGRASS	0	3C	5G
	CRABGRASS	9G	5G	9H
	MUKNINGGLORY COCKLEBUR	8H	9G	9H
•	CASSIA	2C	2G	6G
	NUTSEDGE	0	10E	7G
	L HOT DEDGE	<u> </u>	<u> </u>	

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Table A (cont'd)

				
5		II-(ON N-(ON N-(CH)	CH 3	CH ₃
10 .		SO ₂ NHCNH-{C	SO ₂ NIICONII —	SO2NICONII - N
	kg/ha	0.1	0.4	0.05
15	POST-EMERGENCE		0.4	0.03
	BUSHBEAN	9C	3C,4G,6F	3C
	COTTON	9C	1C,7G	4C,8G
	SORGHUM	9G	3C,4G	1C,5H
	CORN	7C	3U,5G	1C,2G
	SOYBEAN	2C	4G	1H
	WHEAT	0	3C,5G	2C
20	WILD CATS	0	2C,3G	lC
	RICE	5C	3C,4G	1C,2G
	BARNYARDGRASS	10C	100	1C
	CRABGRASS	3C	10C	0
	MORNINGGLORY COCKLEBUR	10C 6C	10C	4C,9H
	CASSIA	1C	10C	2C,9G
	NITSEDGE	1C	5C, 5G	2C 0
25			50,56	0
	PRE-EMERGENCE			
	SORGHUM	1C,9H	7C,8G	0
	CORN	1C,8G		
	SOYBEAN WHEAT	2C,4G	1C,3G	
	WILD DATS	3G 0	10E	
30	RICE	9H	3C,4G	
30	BARNYARDGRASS	2C,8G	102 5C,8G	
Ì	CRABGRASS	2C 2C	4C, /G	0
ł	MURNINGGLORY	9G	5G, 3C	0
Ì	COCKLEBUR	8H	6C,5G	-0
j	CASSIA	8G	4G	0
Ì	NUTSEDGE	3G	10E	0



x

Table A (cont'd)

5		$\begin{cases} SO_2 \text{NUICONH} & A = \begin{cases} OCH_3 \\ A \end{cases} \\ S & A \end{cases}$	$\begin{cases} SO_2 NI I CONH & N = CH_3 \\ S & \infty_2 CH_3 \end{cases}$	$\begin{cases} SO_2 \text{MICOMH} & = \begin{cases} OCH_3 \\ S & CO_2 CH_3 \end{cases}$
	kg/ha	0.05	0.05	0.05
15	POST-EMERGENCE			
	BUSHBEAN	6Y.7C.9G	2B	1B
	COTTON	6C.9G	0	36
	SORGHUM	2C,9H	2C,8H	0 -
	CORN	2C,8H	0	0
	SOYBEAN	2Ç,8G	1H	υ
	WHEAT	2Ç,9G	0	0
20	WILD CATS	2C	0	0
	RICE	2C,9G	5G	0
	BARNYARDGRASS	3C,7H	0	0
	CRABGRASS	2C	0	0
	MORNINGGLORY	10c	iC, iG	0
	COCKLEBUR	6C,9G	I.C I.C	0
	CASSIA	1C,4G	0	0
25	NUTSEDGE	2C,8G	0	<u> </u>
	PRE-EMERGENCE			
	SORGHUM	2C . 8H	6H	0
	CORN	1C 9H	1C.5G	0
	SOYBEAN	1C.3H	0	0
	WHEAT	9 _G	0	0
	WILD DATS	2C.7G		0
30	RICE	4C,9E	2C	0
	BARNYARDGRASS	9H, 3C	1¢	0
	CRABGRASS	lc	0	0
	MURNINGGLORY	9H	0 9H	9H
	COCKLEBUR	9H	9H 2C	90
	CASSIA	5G	0	0
	NUTSEDGE	1Œ	1	

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Table A (cont'd)

5		m		
10		SO ₂ MHCONII N = COH ₃	$\begin{array}{c c} & & & & \\ & &$	SO ₂ NICONH -(N-)
	kg/ha	0.05	0.05	(S)
15 _	POST-EMERGENCE	0.03	0.05	0.05
	BUSHBEAN	G1 35 000		
	COTTON	6Y.1B.2H 4C.9G	0	3D.6Y
	SORGHUM	10,9G		0
	CORN	2C,8H	0	2C.9G
	SOYBEAN	2C, 3G	0	2C.7H
20	WHEAT	0	0	0
20	WILD OATS	0	0	0
	RICE	1C,3G	0	8G
	BARNYARDGRASS	2C,6H	0	2G
	CRABGRASS	1C	0	0
	MORNINGGLORY	3C,9G	00	0
_	COCKLEBUR	3C,9H	0	0
25	CASSIA	2C	0	0
دع	NUTSEDGE	2C,5G	0	2G
	PRE-EMERGENCE			
	SORGHUM	2C	0	6H
	CORN	1C,5C	0	2G
	SOYBEAN	2C,4H	0	3H
•	WHEAT	0	0	0
30	WILD OATS	0	0	0
	RICE	1C,6G	0	5G
•	BARNYARDGRASS	5H 0	0	1H
	CRABGRASS MURNINGGLORY	9G	0	0
1	COCKLEBUR	5G	0	0
	CASSIA	0	0	3C,8H
	NUTSEDGE		0	LH 0
35			<u> </u>	<u> </u>

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191 Table A (cont'd)

5		CONTH-{O}	$\begin{array}{c} \text{COMH} \\ \text{COMH} \\ \text{N} \\ \text{COMH} \\ \text{A} \end{array}$	CONTH-{N-(CH ₃
10	·	CH302C SO2NHOONH-	CH ₃ O ₂ C SO ₂ NICONH-(N	CH O2C SO2NICONH
	kg/ha	0.4	0.4	0.4
15	POST-EMERGENCE			
	BUSHBEAN	9C	9C	90
	COTTON	1 C	9C	9C
	SORGHUM	9C	10C	6C,9G
	CORN	/U,9C	10C	5U,10C
	SOYBEAN	9C	9C	6C,9G
	WHEAT	90	9C	4C,8G
20	WILD OATS	9C	9C	8C
	RICE	8C	8C	5C,9G
	BARNYARDGRASS	Š C	9C	9C
	CRABGRASS	90	9C	9C
	MORNINGGLORY	10 ^C	TOC	10C
	COCKLEBUR	10 ^C	∓0C	9C
	CASSIA	9C	9C	9C
25	NUTSENGE	7C.9G	9C	6C,9G
	PRE-EMERGENCE			
	SORGHUM	10E	10E	6C,9H
	CORN	10E	-:0E	10E
	SOYBEAN	9H	911	9H
	WHEAT	10E	10E	3C,9G 3C,96
	WILD OATS	5C,9H	5C_9H	3C,96
30	RICE	10E	10E	10E
	BARNYARDGRASS	9H	5C.9H	5C,9H
	CRABGRASS	10E	10E	6C,9G
	MORNINGGLORY	9H	9H	9G
	COCKLEBUR	9H	9H	9H
	CASSIA	10 ^C	9H	9G
	NUTSEDGE	10E	10E	9G

192 Table A (cont'd)

5		COH 3	OCH ₃	осн ₃
10		$\alpha_{12}^{2}o_{2}^{2}C_{13}^{2}o_{2}^{2}$ with $\alpha_{13}^{2}o_{2}^{2}o_{3}^{2$	$\left\langle \begin{array}{c} N \\ SO_{2} \text{NHCONH} & \\ S \\ S \\ S \\ \end{array} \right\rangle$	$\begin{cases} \text{SO}_2\text{NHCONIH} \left\langle \bigcirc \right\rangle \\ \text{N} \\ \text{S} \\ \text{CO}_2\text{CII}_2\text{CII} \\ \text{CI} \end{cases}$
15	kg/ha	0.4	0.1	0.05
13	POST-EMERGENCE			
	BUSHBEAN	9C	9C	7C,9G,6Y
	COTTON	9C	9C	6C,9G
	SORGHUM	2Ç,9G	2C	1C,9G
	CORN	8J.9C	3C	5C,9G
	SOYBEAN	5C,9G	9C	6H
20	WHEAT	1C,5G	0	1C,6G
23	WILD GATS	2C,8G	0	2G
	RICE	9C	0 5C 3C	8G
	BARNYARDGRASS	9C	3C	4C,9H
	CRABGRASS	8C	1C 10C	5G
	MORNINGGLORY	10C	10C	10C
	COCKLEBUR CASSIA	9C 9C	10C	5C,9G 1C
25	NITSENGE	9C 9C	2C 1C	9G
23			10	3G
	PRE-EMERGENCE			
	SORGHUM	6C,9H	4C.9G	3C,9G
	CORN	3C,9H	3C.9G	1C,9G
	SOYBEAN	9H	9H	1C,3G
	WHEAT	IC,8H	1C,3G	1C,9G
30	WILD OATS	3C,9G 10E	2C,7G	1C,8G
30	RICE	5C,9H	9H	10E
	BARNYARDGRASS	3C,9H	3C,9H	2C,9H
	CRABGRASS MURNINGGLORY	9H	2G	IC 9G
	COCKI EDITO	9H	9G 9H	9H
	COCKLEBUR CASSIA		6G	
	NUTSEDGE	3C,9G	10E	8G
	ביותו לבהתפב	10E		10F

35

. **x**



x

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Table A (cont'd)

5 T		~ ~		
10		$\frac{\text{OCH}_3}{\sqrt[4]{s}} \frac{\text{OCH}_3}{\sqrt[8]{s}}$ $\frac{\text{OCH}_3}{\sqrt[8]{s}}$ $\frac{\text{OCH}_3}{\sqrt[8]{s}}$	$\alpha_{13}^{02} c_{13}^{02} c_{13}^{03} c_{13}^{04} c_{13}^{04}$	$\left(\sqrt{\frac{\cos_2 \text{MICOMH}}{N}}\right)^{OCH_3} \times \left(\sqrt{\frac{\cos_3}{N}}\right)^2$
15	kg/ha	0.4	0.4	0.1
	POST-EMERGENCE			
-	BUSHBEAN	9C	9C	9C
	COTTON	9C	9C	9C
	SORGHUM	9C	9C	9C 5C 5C 9C 1C
	CORN	6U,9C	5U,9C	5C
	SOYBEAN	9C	9C	9C
20	WHEAT	9C	8C	1C
	WILD GATS	9C	9C	2C
	RICE	6C,9G	6C,9G	9C
	BARNYARDGRASS	9C	9C 9C	9C
	CRABGRASS	9C 10C	10C	1C
	MORNINGGLORY COCKLEBUR	9C	9C	9C 3€
0.5	CASSIA	9C 9C	6C,9G	ic
25	NUTSEDGE	9C	9C	2C
		,,,		- 20
	PRE-EMERGENCE			
	SORGHUM	2C,9G	10E	5C.9H
	CORN	10E	10E	5C.9G
	SOYBEAN	9H 10E	9H	9번
3.0	WHEAT	2C,9H	1C,9G	9G
30	WILD DATS	10E	5C,9H	3C.9G
	RICE	3C,9H	10E	10E
	BARNYARDGRASS	1	5C,9H	5C,9H 2C,7G
	CRABGRASS	10E 9G	5C,9G	2C, /G
	MUKNINGGLORY COCKLEBUR	9G 9H	9G 9H	9H 9H
	CASSIA	6C,9G	9G	9G 9G
	NUTSEDGE	10E	9G	9G
35	MUISEDGE	TUE		

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Table A (cont'd)

5				
10		$\frac{\log_2 c}{\sqrt{\frac{so_2 \text{wiconff} \left(\frac{N}{N} \right)}{s}}}$		
15	kg/ha	1.0		
	POST-EMERGENCE			
	BUSHBEAN	0		
	COTTON	0		
	SORGHUM	Ö	 	
	CORN	ő		
20	SOYBEAN	0		
	WHEAT	0		
	WILD CATS	0		
	RICE	0		
	BARNYARDGRASS	0		
	CRABGRASS	0		
	MORNINGGLORY	0		
25	COCKLEBUR CASSIA	0		
	NITSEDGE	0		
	PRE-EMERGENCE			
	SORGHUM	0		
	CORN	0		
•	SOYBEAN	0		
30	WHEAT WILD DATS	0		
	RICE	0		
	BARNYARDGRASS	0		
	CRABGRASS	0		
	MUKNINGGLORY	0		
	COCKLEBUR	0		
	CASSIA	0	· · · · · · · · ·	
35	NUTSEDGE	0		

Test B

x

Two plastic bulb pans were filled with fertilized and limed Fallsington silt loam soil. One pan was planted with corn, sorghum, Kentucky bluegrass 5 and several grassy weeds. The other pan was planted with cotton, soybeans, purple nutsedge (Cyperus rotundus), and several broadleaf weeds. The following grassy and broadleaf weeds were planted: crabgrass (Digitaria sanguinalis), barnyardgrass (Echinochloa 10 crusgalli), wild oats (Avena fatua), johnsongrass (Sorghum halepense), dallisgrass (Paspalum dilatatum), giant foxtail (Setaria faberii), cheatgrass (Bromus secalinum), mustard (Brassica arvensis), cocklebur (Xanthium pennsylvanicum), pigweed (Amaranthus retro-15 flexus), morningglory (Ipomoea hederacea), cassia (Cassia tora), teaweed (Sida spinosa), velvetleaf (Abutilon theophrasti), and jimsonweed (Datura stramonium). A 12.5 cm diameter plastic pot was also filled with prepared oil and planted with rice and 20 wheat. Another 12.5 pot was planted with sugarbeets. The above four containers were treated preemergence with certain test compounds within the scope of the invention.

Twenty-eight days after treatment, the plants

were evaluated and visually rated for response to the chemical treatments utilizing the rating system described previously for Test A. The data are summarized in Table B. Note that certain compounds are useful as pre-emergence treatments for weed control in crops such as soybeans and wheat.

196 Table B

	PRE-	EMERGENCE ON FALLSI	NGTON SILT LOAM	
10		SO ₂ NHCN CO ₂ CH ₃	n ← och³	
15	Rate kg/ha	0-03	0.12	
•	Craberass	8G. 7C	10E	
	Barnyardgrass	7G 3F	8G,9C	
20	Sorghum	10E	10E	
	Wild Oats	2G	5 G	
	Johnsongrass Dallisgrass	7 <u>G_3H</u>	8G,5H	
	Giant foxtail	3G	4G	
	Ky. bluegrass	8G, 8C	9G,9C	
	Cheatgrass	8G	- 70	
	Sugarbeets	8G. 6C	7G	
	Corn	5G.5H	8G,7C 7G,5H	
	Mustard	8G. 8C	9G,9C	
	Cocklebur	6G. 3H	6G,3H	
25	Pigweed	100	10C	
	Nutsedge	7G	8G	
	Cotton	8G	8G	
	Morningglory	76	8G	
	Cassia	36	3G	
	Teaweed	5G	6G	
	Velvetleaf	6C 3H	7G.5C	
30	Jimsonweed	66,60	6G.6C	
30	Sovbean	0	4G	
j	Rice	10F	10E	
	Wheat	3G, 3C	4G.3C	
35				

BNSDOCID: <EP__0030142A2_I_>

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Table B
(cont'd)

	PRE-EME	MERGENCE ON FALLSINGTON SILT LOAM	
5			_г осн _з
10		SO ₂ NH CO ₂ CII	CNH N CIII3
15	Rate kg/ha	0.03	0.12
		7G,5C	100
	Craberass	7G,6C	10C 8G.9C
	Barnvardgrass	10E	1 0F
	Sorghum Wild Oats	5G	76
-	Johnsongrass	6G,5H	9G,8C
	Dallisgrass	7G	8G
20	Giant foxtail	6G,3C	9G, 3C
	Ky. bluegrass	-	-
	Cheatgrass	8G	10E
	Sugarbeets	8G,7C	8G,7C 4G,3H
	Corn	5G,5H 9G,9C	4G,3H
	Mustard	9 G, 9C	9G,9C
	Cocklebur	7G,4C	6G,5∺
25	Pigweed	9G,9C	10C
	Nutsedge	7 G	9G
	Cotton	8G	8G
	Morningglory	7G	8G
	Cassia	3G	4G
	Teaweed	4 G	5G, 2C
	Velvetleaf	7G,7C	100
30	Jimsonweed	5G	5G, 3C
20	Sovbean	0	6G,4C
	Rice	10E 7G,4C	10E 7G,4C
	Wheat	/G, 4C	76,40
			
			
	[
35	1	· (1

198
Table B
(cont'd)

	PRE-E	MERGENCE ON FALLSI	NGTON SILT LOAM
5			и — _{СН} З
10		SO ₂ NEC	N N N N N N N N N N N N N N N N N N N
15	Rate kg/ha	0.03	0.12
	Crabgrass Barnvardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Sugarbeets Corn Mustard Cocklebur Pigweed Nutsedge Cotton	3G 3G 3G 0 0 3G 0 0 3G 0 2C 5G 0 3G 0	4G 5G, 3H 0 4G, 3H 4G 3G 5G 5G 5G 3G 2C, 2U 7G 0 4G 0
30 -	Morningglorv Cassia Teaweed Velverleaf Jimsonweed Sovbean Rice Wheat	3G 0 0 0 0 0 0 5G,3C	5G 0 0 0 0 0 0 0 7G,8c 3G
35			

199
Table B
(cont'd)

	PRE-ÉM	ERGENCE ON FALL	SINGTON SILT I	MAO
5				
10		СН ₃ 0 ₂ С	SO ₂ NHOONH-(O	cπ ³ >
15	Rate kg/ha	0.03	0.12	0.007
	Crabgrass	8 G	9G,8C	
	Barnvarderass	9G,7C		6G
	Sorghum	10E	9G,7C 10E	9€.9C
	Wild Oats	6G.3H	8G.8C	8G.9C 6G.3H
•	Johnsongrass	8G,3C	9G,9C	8G,5C
20	Dallisgrass	-	- 1	5G
20	Giant foxtail	6G,3H	9G,8C	3G
	Kv. bluegrass	7G,7C	8G,9C	7G
	Cheatgrass	10E	. 10E	105
	Sugarbeets	9G,9C	9G,9C	7G,6C
	Corn	7G,5H	9G,9C	5G,2C
	Mustard	9G,9C	9G,9C	8G,8C
	Cocklebur	6G,3H	7G,5H	6Ģ
25	Pigweed		10E	10C
	Nutsedge	7 G	6G	6G
	Cotton	7 G	8G,3C	2G
	Morningelory	8G,3C	9G.8C	7G
	Cassia	7G .	7G	5G
	Teaweed	6G	7G	2G
	Velvetleaf	8G.3C	100	9G.5H
30	Jimsonweed	7G	8G.4C	4G
	Sovbean	4G	7G.5H	2G
	Rice	10E	10E	9G.9C
	Wheat	6G.3H	8G.8C	6G
35				

200 Table B (cont'd)

	PRE-E	ERGENCE ON FAL	LSINGTON SILT	LOAM
5				
10	-	сн ₃ 0 ₂ с	SO ₂ NHCONH-{	CH ³
15	Rate kg/ha	0.03	0.12	0.007
20	Crabgrass Barnvardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Sugarbeets Corn Mustard Cocklebur Pigweed Nutsedge Cotton Morningglory Cassia	8G 9G,8C 10E 7G,3H 8G,3C - 9G,5H 9G,9C 10E 9G,9C 7G,5H 9G,9C 6G 10E 5G 7G,3H 8G,3C 7G	9G,8C 9G,9C 10E 8G,6C 10C - 10C 10E 9G,9C 9G,9C 10C 8G,5H 10E 7G 9G,8C 9G,6C 7G	7G 9C,8G 9G,9C 4G 8G,3C 5G 5G,2C 8G 10E 7G,8C 7G,3H 7G,8C 4G 9G,9C 5G 4G,2H 7G,3C 4G
30 .	Teaweed Velvetleaf Jimsonweed Sovbean Rice Wheat	7G 8G,6C 7G,5C 7G,5H 10E 6G	7G,5C 10C 9G,9C 8G,5H 10E 8G,8C	4G 8G,5H 4G 3G 9G,9C 5G
35				

201 Table B

	PRE-E	MERGENCE ON FA	ALLSINGTON SIL	r loam
5				AE√ _{OCH} 3
10		32	C SO ₂ NHCONH	CH ³
15	Rate kg/ha	0.03	0.12	0.007
20 25 30	Crabgrass Barnvardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Sugarbeets Corn Mustard Cocklebur Pigweed Nutsedge Cotton Morningglory Cassia Teaweed Velvetleaf Jimsonweed Sovbean Rice Wheat	7G,3C 6G,3C 8G,3C 8G,3C 4G 8G,4C 0 0 0 8G,8C 5G 9G,9C 9G,7C 10C 8G,5H 9G,9C 6G 8G,5H 8G,3H 9G,8C 7G,3C 9G,9C 6G,5C 9G,5C	9G,9C 7G,3C 10C 6G 8G,4C 5G 7G,3H 8G,8C 7G,3H 10C 9G,9C 10C 9G,5H 10C 6G 8G,5H 9G,5H - 7G,3C 10C 7G,7C 9G,5H 10C	2G 4G 8G,3H 2G 4G 0 0 0 0 3G 10C 7G,3H 10C 6G 9G,9C 3G 6G,3H 9C,8C 7G 4C 4C 8G 5C 6G,3H
35				

202 Table B (cont'd)

	PRE-EM	ERGENCE ON FAL	LSINGTON SILT	LOAM
5				
10		CH O2C	SO _Z NECONET(ON	CH ₃
15	Rate kg/ha	0.03	0.12	-0.007
	Crabgrass	3G	6G,3C	0
	Barnvarderass	5G	7G,4C	4 G
	Sorghum	8G.3H	9G,9C	7G, 3H
	Wild Oats	2G	4G	1 0
	Johnsongrass	5G,3H	7G,3H	5G
20	Dallisgrass	0	6G	2G
	Giant foxtail	0	3G	0
	Kv. bluegrass	6G	8G,8C	3G
	Cheatgrass	0	7G	0
	Sugarbeets	10C	9G,9C	9G,9C
	Corn	6G,3H	6G,5H	2G,1U
	Mustard	10C	10C	10E
5	Cocklebur	6G	7G,5H	6G
3	Pigweed	8G,8C	10C	7G.5E
	Nutsedge	2G	7G	6G
	Cotton	6G.5H	9G.5H	6G.3H
	Morningglory	8G.3H	8G.3F	9G.8C
	Cassia	6G		4 G
	Teaweed Velvetleaf	6G.2C	7G.3C	3G
	Jimsonweed	8G 5H	8G 8C	6c
D	Sovbean	- <u>5G</u>	5G.3C	5G
	Rice	5G	9G.5H	4G.3H
	Wheat	7G 2G	10E	5G
	-11500		2G	0
5				

Table B
(cont'd)

	PRE-EMEI	RGENCE ON FALLSINGTO	N SILT LOAM
10		SO.NHOON	
15	Rate kg/ha	0.03	0.12
20	Crabgrass Barnvardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Coeatgrass Corn Mustard Cocklebur Pigweed Nutsedge Cotton Morningglorv Cassia Teaweed Velvetleaf	0 3G 0 0 0 0 0 0 4G 0 3G 0 8G.5C 0 4G 0 0 3G	0 3G 6G, 3H 0 5G, 3H 3G 2H 6G 10E 3G 0 10C 0 8G, 8C 7G 3G 4G 0
30	Jimsonweed Sovbean Rice Wheat	3G O 4G O	5G 2G 5G.3H
35			

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Table B
(cont'd)

	PRE-EME	RGENCE ON FALLSING	TON SILT LOAM
		SO ² NH	CONH-(O)
	·	√s cm₂cm₂	$ \begin{array}{c} \text{CONH} & \xrightarrow{\text{OCH}_3} \\ \text{CH=CH}_2 & \xrightarrow{\text{CH}_3} \end{array} $
	Rate kg/ha	0.03	0.12
	Crabgrass	0	
	Barnvardgrass	6G	0 6G,5H
	Sorghum	7G,3H	10C
	Wild Oats	3G	3G
	Johnsongrass	6G, 3H	8G,5E
	Dallisgrass	0	4G
	Giant foxtail	0 .	3G
	Kv. bluegrass	7G,4C	7G,4C
	Cheatgrass	7G,5C	9G,9C
	Sugarbeets	6G,3H	10C
	Corn	4G,2U	6G,5H
	Mustard	10C	10C
	Cocklebur	2G	3G
	Pigweed	8G,8C	10C
	Nutsedge	7G	7G
	Cotton	5G	5G, 2H
	Morningglory	5G	4 G
	Cassia	5G	5G
	Teaweed	2G	4 G
	Velvetleaf	8G,5H	9G,5H
	Jimsonweed Soybean	8G	8G
	4	4G	4G
	Wheat	7G 4G	8G
	Wileat	4.6	6G
•			
			1

205 Table B (cont'd)

	PRE-EM	ERGENCE ON FALLSINGTO	ON SILT LOAM
5			
10		CH ₃ O ₂ C SO ₂ N	HCONH-\OCH3
15	Rate kg/ha	0.03	0.12
20 ~ 25	Craberass Barnvarderass Sorghum Wild Oats Johnsonerass Dallisgrass Giant foxtail Kv. bluegrass Cheaterass Sugarbeets Corn Mustard Cocklebur Pigweed Nutsedge	8G.5C 9G.7C 10E 7G.3C 9G.7C 7G 7G.3H 10C 10C 10C 9G.9C 7G.5E 10C 6G 10E	9G,7C 9G,7C 10E 8G,5E 9G,9C 8G 9G,5H 9G,9C 10E 9G,9C 10E 7G 10E 7G 10E
30	Cotton Morningglory Cassia Teaweed Velyetleaf Jimsonweed Sovbean Rice Wheat	3G 6G 5G 6G 8G 7C 6G 3G 10F 7G 3C	7G,5H 8G,3H 7G 8G,4C 10C 7G,7C 6G,3H 10E 7G.5C
35			

206 Table B (cont'd)

	PRE-I	MERGENCE ON FA	ALLSINGTON SILT	LOAM
5				
10		сн ₃ о ₂ с	SO ₂ NHCONH-(C	CH ³
15	Rate kg/ha	0.03	0.12	0.007
20	Crabgrass Barnvardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Sugarbeets Corn Mustard Cocklebur Pigweed Nutsedge Cotton Morningglory Cassia	7G,3H 6G,3H 9G,9C 2G 8G,5T 6G 5G,2H 7G,7C 7G,3C 9G,9C 10C 10C 10C 7G,5H 10C 6G 7G 8G,3H	8G,5C 8G,4C 10E 7G,3H 8G,5C 0 7G,5H 7G,5C 10C 9G,9C 10E 10C 8G,5H 10C 7G 8G,5H	0 0 5G,3E 0 1 0 1 0 1 0 1 0 1 0 1 0 1 0 1 3G 1 3G 1 7G,3C 2 2G 1 5G 1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0
30	Teaweed Velvetleaf Jimsonweed Sovbean Rice Wheat	6G 8G.8C 6G.4C 2H 10E 5G	7G.4C 8G.8C 63.5C 7G.5H 10E 6G	0 3G 0 0 7G.3H
35				

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Table B (cont'd)

	PRE-EMEF	RGENCE ON FALLSINGTO	N SILT LOAM
10		SO ₂ NHCON S CO ₂ CH (C	CH ₃
15	Rate kg/ha Crabgrass	0.03	0.12
20	Barnyardgrass Sorghum Wild Oats Johnsongrass Dallisgrass Giant foxtail Kv. bluegrass Cheatgrass Sugarbeets	5G,3H 8G,5H 0 7G,3H 0 0 7G 1 7G 1 13E 6G,3H	4G,2H 10C 3C 8G,5H 4G 4H 8G,8C 10E
25	Corn Mustard Cocklebur Pigweed Nutsedge Cotton Morningglory	5G,3H 8G,5C 0 5G 0 3G 4G	6G,5H 10C 5G 10E 5G 6G,3H
30	Cassia Teaweed Velvetleaf Jimsonweed Sovbean Rice Wheat	3G 3G 3H 3G 0 6G 3G	3G 4G,2C 6G,3H 7G,3C 4G,3H 7G,5H 3G
35			

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Table B
(cont'd)

5		SO ₂ NIICNII	$\stackrel{CII_3}{\overset{CII_3}{\longrightarrow}}$
10			
15	Rate kg/ha	0.12	0.5
		0	
	Crabgrass	0	1 0
	Barnvardgrass	, <u> </u>	0
	Sorghum Wild Oats	0	7G,5H
•	Johnsongrass	0	4G 5G
	Dallisgrass	0	1 3G
20	Giant foxtail	0	1 2G
	Kv. bluegrass		
	Cheatgrass	0	5G
	Sugarbeets	2G	6G
	Corn	0	4G
	Mustard	8G,5C	10C
	Cocklebur	6G,3C	6G,2C
25	Pigweed	4G,4C	7G,7C
	Nutsedge	0	5G
	Cotton	3G	7 G
	Morningglory	0	6G
	Cassia	0	3G
	Teaweed	0	00
	Velvetleaf	4G,3C	5G
30	Jimsonweed	0	0
	Sovbean	0	4G,2H
	Rice	0	2G
	Wheat	0	3G
35			

209 Table B (cont'd)

	PRE-EM	ERGENCE ON FALL	SINGTON SILT LOA	7W
5				
10		SO ₂ NHCNH ON CH ₃ CH ₃ CH ₃		
15	Rate kg/ha	0.06	0.12	0.5
			4G	7 G
	Craberass	6G.7C	- 6G	8G,4C
	Barnvardgrass	4G 1	9 6,80	10C
	Sorghum	9G,5C	0	0
	Wild Oats	1 0 1	4G	7G,3H
	Johnsongrass	4G,3H		3G
20	<u>Dallisgrass</u>	3G	3G	6G,3C
	Giant foxtail	3G	3G	5G
	Kv. bluegrass Cheatgrass	3G 1	-	
	Sugarbeets	9G,9C	10C	10C
	Corn	5G L	6G,3H	9G,5H
	Mustard	10C	8G	10C
	Cocklebur	6G, 3H	7G,3H	8G,5H
25	Pigweed	8G,8C	10C	10E
-	Nutsedge	3G	7G	8G
	Cotton	8G,3H	9G,5C	9G,5C
	Morningglory	8G.3H	8G,3H	9G,9C
30	Cassia	6G	5G	7G
	Teaweed	5G.3H	4G	8G,5C
	Velvetleaf	8G.7C	10C	10C
	Jimsonweed	9G.9C	7G	10C 5G,3H
	Sovbean	1 0 1	3G	10E
	Rice	10E	8G,6C	0
	Wheat			
		1		
		i <u>1</u>		
			i	
35				

TEST PROCEDURE C

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Wheat and Barley Herbicide Screen

Two ten-inch in diameter plastic pans lined with polyethylene liners were filled with prepared 5 Fallsington silt loam soil. One pan was planted with seeds of wheat (Triticum aestivum), barley (Hordeum vulgare), wild oats (Avena fatua), downy brome (Bromus tectorum), cheatgrass (Bromus secalinus), blackgrass (Alopecurus myosuroides), annual bluegrass 10 (Poa annua), green foxtail (Setaria viridis), quackgrass (Agropyron repens), Italian ryegrass (Lolium multiflorum) and ripgut brome (Bromus rigidus). other pan was planted with seeds of Russian thistle (Salsola kali), tansy mustard (Descuraina pinnata), 15 smartweed (Polygonum pennsylvanicum), tumble mustard (Sisymbrium altissium), kochia (Kochia scoparia), shepherd's purse (Capsella bursa-pastoris), Matricaria indora, black nightshade (Solanum nigrum), yellow rocket (Barbarea vulgaris), wild mustard 20 (Brassica kaber) and wild buckwheat (Polygonum convolvulus). The above two pans were treated preemergence. At the same time two pans in which the above plant species were growing were treated postemergence. Plant height at the time of treatment 25 ranged from 1-15 cm depending on plant species. The compounds applied were diluted with a

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The compounds applied were diluted with a non-pytotoxic solvent and sprayed over-the-top of the pans. An untreated control and a solvent alone control were included for comparison. All treatments were maintained in the greenhouse for 20 days at which time the treatments were compared to the controls and the effects visually rated. The recorded data are presented in Table C. It will be seen that the compounds have utility for selective weed control in cereal crops.



5	·	CH 302C SO2NE	CH³ ICOMH-{O™ VOCH³
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.008	0.008
		lC,lG	0
	wheat	1G,1G	1G
	<u>barley</u>	ÎC,1G	0
	wild oats downy brome	2G	2G
	cheatgrass	1C,4G	7G
	blackgrass	3C - 3G	7G 5G
20	annual bluegrass	3C,3G 8C,8G	3C,6G
	green foxtail	1C,3G	1C,2G
	quackgrass	2C,3G	3G
	Italian ryegrass	6C,7G	1C,5G
	ripgut brome	6C,7G 1C,2G	0
	Russian thistle	10C	3G
	tansy mustard	10 <u>c</u>	10C
25	smartweed	-	-
	jimhill mustard	10 <u>C</u>	10C
	Kochia	10¢	10C
	shepherd's purse	10C	100
	false chamomile	10C	6C.7G
	black nightshade	10C	7G
	yellow rocket	10C	10C
30	wild mustard	10C	10C
	wild buckwheat	10C	7C,6G
35			
	1		
	1	1	<u> </u>

BNSDOCID: <EP___0030142A2_I_>

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Table C
(cont'd)

	,		
5		CH 02C SO2N	ICONIECO N V—CocH ³
10		CH ₃ O ₂ C _{//S} SO ₂ NE	- CH ³
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.03	0.03
		0.03	0.03
	wheat	6C,5G	0
	barley	6C,5G 2C,3G	2G
	wild oats	7C,5G	0
	downy brome	7C,7G	5C,7G
	cheatgrass	10C	100
20	blackgrass	9C,8G	7C,7G
20	annual bluegrass	10C	7C,8G
	green foxtail	10C	5C,6G
	quackgrass	10C	2C,5G
	Italian ryegrass	10C	5C,7G
	ripgut brome	3C,4G	1C,3G
	Russian thistle	10C	7C,6G
	tansy mustard	100	10C
25	smartweed	_	100
	jimhill mustard	100	10C
	Kochia	100	100
	shepherd's purse	100	10C
	false chamomile	100	10C
30	black nightshade	100	7C.8G
	yellow rocket	100	10C
	. wild mustard	10C	10C
30	wild buckwheat	10C	7C,7G
35			

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Table C
(cont'd)

5		SO NHOONE NO OCH 3 SO CH (CH 3) 2 OCH 3	
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.015	0.015
	wheat	0	0
	barlev	0	0
	wild oats	0	2C.2G
	downy brome	0	lc.3G
	cheatgrass	0	1C,4G
20	blackgrass	0	3G
20	annual bluegrass	0	2G
	green foxtail	0	0
	quackgrass	0	0
	Italian ryegrass	0	0
	ripgut brome	00	00
	Russian thistle	10C	0
	tansy mustard	10C	10C
25	smartweed	-	
	jimhill mustard	10C	10C
	Kochia	10C	2C,5G
	shepherd's purse	10C	10C
	false chamomile	100	4C,6G
30	black nightshade	0	2C.6G
	yellow rocket	100	3C.8G
	wild mustard	100	9C.9G
	wild buckwheat	3C.2G	4 G
			
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Table C (cont'd)

		(cont'd)	
5	·	SO ₂ NHCONI CO ₂ CH (CH ₃	
.0	·	Post-emergence	Pre-emergence
.5	Rate kg/ha	0.06	0.06
	wheat	2G	0
	barley	0	0
	wild oats	0	3C,4G
	downy brome	0	4C,6G
	cheatgrass	7C,5G	10C
0	blackgrass	7C,7G	10C
	annual bluegrass	2G	3C,5G
	green foxtail	1¢,3G	2C,4G
	quackgrass	0	2C,3G
	Italian ryegrass	0	0
	ripgut brome	0	0
	Russian thistle	10C	7C,8G
	tansy mustard	10C	10C
5	smartweed		-
	jimhill mustard	10C	10C
	Kochia	10C	7C,7G
	shepherd's purse	10C	10C
	false chamomile	10C	7C,9G 2C,7G
	black nightshade	0	2C,7G
	yellow rocket	10C	9C,9G
)	wild mustard wild buckwheat	10C	10C
	wild buckwheat	7C, 6G	2C,7G

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Table C (cont'd)

5	·	SO ₂ NHCNH CO ₂ CH ₃	OCH ³ ⊢\O`N N -\ _{OCH} 3
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.015	0.015
		0	0
•	wheat	0	
	<u>barley</u>	0	0
	wild oats downy brome	0	2G
-	cheatgrass	0 .	IG
	blackgrass	0	3G
20	annual bluegrass	0	2G
	green foxtail	0	U
	quackgrass	0	U
	Italian ryegrass	0	U
	ripgut brome	0	U
	Russian thistle	10C	2C, 2G
	tansy mustard	10C	TOC
25	smartweed	-	-
	jimhill mustard	10C	10C
	Kochia	2C,4G	3C,5G
	shephera's purse	10C	10C
	false chamomile	10C 1C,3G	7C,8G 1C,8G
30	black nightshade	1C,3G	1C,8G
	yellow rocket	2C,3G	5C,6G
	wild mustard	10C	9C,9G
	wild buckwheat	9C,8G	4C,7G
	<u> </u>		
25		 	
35		1	

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Table C (cont'd)

		(cont d)	
5		S CO ₂ CH ₃	$\begin{array}{c} \text{och}^3 \\ \text{i} \longrightarrow \begin{array}{c} \text{och}^3 \\ \text{och}^3 \end{array}$
15	Rate kg/ha	Post-emergence 0.06	Pre-emergence
	wheat	00	0
	barley	0	0
	wild oats	0	0
	downy brome	2C,3G	2C,3G 1C,5G
	cheatgrass	2C,5G	1C,5G
20	blackgrass	1C,3G	2C,7G
	annual bluegrass	lC,4G	5G
	green foxtail	2G	2C,3G 1C,2G
	quackgrass	0	1C,2G
	Italian ryegrass	3G	3G
	ripgut brome	0	0
	Russian thistle	10C	7C,8G
25	tansy mustard	10C	10C
25	smartweed		
	jimhill mustard	10C	10C
	Kochia shepherd's purse	7C,7G	7C,7G
	false chamomile	10C	10C 9C,9G
	black nightshade	10C	9C,9G
	yellow rocket	3C,6G	2C,8G
	wild mustard	10C 10C	6C,8G
30 -	wild buckwheat	100	9C,9G 7C,7G
			70,76
j			
i			
j			
35			
-			

217
Table C (cont'd)

		(cont.d)	
5		SO ₂ NHCNI SO ₂ CH ₃	n ← OCH 3 H ← ON N ← CH3
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.008	0.008
	wheat	0	0
		0	0
	<u>barley</u>	0 -	0
	wild oats		1c.2g
	downy brome	O	
	cheatgrass blackgrass	1G	3G 1C.3G
20	annual bluegrass	1G	5C.6G
	green foxtail		0
	quackgrass	2G 0	2 G
	Italian ryegrass	0	4G
	ripgut brome	0	
			0 4C - 5G
	Russian thistle	10C	
	tansy mustard	10C	10C
25	smartweed jimhill mustard	10C	10C
	Kochia	8C.8G	7C.8G
	shepherd's purse	8C.8G 9C.8G	10C
	false chamomile	9C.8G	7C.8G
	black nightshade	IG	2C.5G
	yellow rocket	100	100
	wild mustard	100	100
30	wild buckwheat	8C . 7G	4C.7G
35			

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Table C
(cont'd)

		(cone d)	
5		0	OCH3
10		SO ₂ NHCN	rH-≺°OM
		Post-emergence	Pre-emergence
15	Rate kg/ha	0.03	0.03
	wheat	0	0
	barley	<u> </u>	0
	wild oats downy brome	0	0
	cheatgrass	1 <u>G</u>	2C,5G 2C,5G
	blackgrass	2G 1C.3G	2C,5G
20	annual bluegrass		3C,7G
	green foxtail	3c 2c, 3c	5C,7G 3G
	quackgrass	2C. 3G	2C,7G
	Italian ryegrass	26	IG
	ripgut brome	0	
	Russian thistle	100	10C
	tansy mustard	100	10C
25	smartweed		
	jimhill mustard	loc	10C
	Kochia	100	8C,9G
	shepherd's purse	100	10C
	false chamomile	100	9C,9G
	black nightshade	20,30	3C,8G
30	yellow rocket	100	10C
	wild mustard wild buckwheat	100	10C
•	Wild Buckwheat	100	8C,8G
	 		
35			
			ł
•			

x Claims:-

1) A compound selected from:

$$\frac{1}{a}$$

wherein

w' is 0 or 5:

A' is H. Cl. Br, C₂-C₄ alkyl, OCH₃, NO₂ or CF₃;

O T

A is -C-Q-R^I or -C-R^{II} where

Q is O, S or -N-:

R₆

T is C or =N where R^{III} is H, C_1-C_4 alkyl or C_3-C_4 alkenyl:

when Q is O or S then R^{I} is C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6

alkynyl; C₂-C₆ alkyl substituted with 1-3Cl, F or Br,or one of CN or OCH₃; C₃-C₆ alkenyl substituted with

1-3 Cl; C_3 - C_6 alkynyl substituted with Cl; C_5 - C_6 cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH₃; C_4 - C_7 cycloalkylalkyl or CH(CH₂)_n- R_7

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X

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where Ry and Ry are independently H,
                  C1, CH<sub>3</sub> r OCE<sub>3</sub>;
                n is 0 or 1; and
              Rg, is H or CHq;
  5 .
              when Q is 0 then R can be
                                                    CH2CH2OR15;
              CH2CH2CH2OR15; CH-CH2OR15; where R15 is
              C_2H_5, CH(CH_3)_2, phenyl, CH_2CH_2Cl, CH_2CCl_3;
              {CH2CH2O}n,R16, {CH-CH2O}n,R16; where R16 is
10
              CH_3, C_2H_5, CE(CH_3)_2, phenyl, CH_2CH_2Cl,
              CH2CCl3, and m' is 2 or 3;
15
                               ; CH_2OR_6'; where R' is C_1-C_4
              alkyl;
             I provided R has a total of <13 carbon atoms;
20
             when Q is -N- then
              R^{I} is H; C_1-C_6 alkyl; -CH_2CH_2OR_{10}; -C\overline{H}_2CH_2CH_2OR_{10};
                 where R_{10} is CH_3, CH_3CH_2, CH(CH_3)_2, or phenyl;
25
                 C3-C6 alkenyl; C3-C6 cycloalkyl; C5-C6 cyclo-
                 alkenyl; C6 cycloalkyl substituted with any
                 one of 1-2 OCH<sub>3</sub>, 1-3 CH_3, -CH<sub>2</sub>CH<sub>3</sub> or CF<sub>3</sub>;
                 C<sub>4</sub>-C<sub>7</sub> cycloalkylalkyl; -CH<sub>2</sub>CN; -CH<sub>2</sub>CH<sub>2</sub>CN;
30
                 -с-см; осн<sub>3</sub>; осн<sub>2</sub>сн<sub>3</sub>;
```

```
x
                R' is H, C_1-C_A alkyl, OCH_3, F, Cl, Br, CN,
                NO, or CF3;
                R" is H, CH<sub>3</sub>, Cl, F or Br;
                R<sub>7</sub>, R<sub>8</sub> and R<sub>9</sub> are as previously defined;
 5
                    R<sub>6</sub> 1s H, C<sub>1</sub>-C<sub>3</sub> alkyl; CH<sub>2</sub>CH; CH<sub>2</sub>CH<sub>2</sub>-CN or
                         -CH2-CH-CH2 and R6 and RI may be taken
                         together to form -(CH<sub>2</sub>)<sub>4</sub>-, -(CH<sub>2</sub>)<sub>5</sub>-
                         or -CH2CF2O-CH2CH2-;
                with the proviso that when R<sub>6</sub> is CH<sub>2</sub>CH<sub>2</sub>CN
10
                     or CH<sub>2</sub>CN, then R<sup>I</sup> is CH<sub>2</sub>CH<sub>2</sub>CN or CH<sub>2</sub>CN;
                     and R and R have a total carbon atom
                     count of \leq 13; and when R^{I} is OCH<sub>3</sub> or OCH<sub>2</sub>CH<sub>3</sub>
                     then R<sub>6</sub> is CH<sub>3</sub> or H;
15
                when A is \frac{1}{11}R^{II} then
                 RII is H, C1-C6 alkyl; C3-C6 alkenyl; phenyl;
                       benzyl; benzyl or phenyl substituted with
                       1-2 C1, 1-2 OCH3, 1-2 CH3; C5-C6 cyclo-
                       alkyl; C4-C7 cycloalkylalkyl
 20 .
                       with the proviso that when T is =N-OR III,
                        then R^{II} must be C_1-C_6 alkyl or C_3-C_6
                        alkenyl;
                 B is -SO<sub>2</sub>N-C-N-R<sub>1</sub> or -SO<sub>2</sub>-N-NH-R<sub>1</sub>
 25
                 where R<sub>4</sub> is H or CH<sub>3</sub>; W is O or 5;
                 R<sub>5</sub> is H, CH<sub>3</sub> or CH<sub>3</sub>O; with the further proviso
                that either R_4 or R_5 must be H;
                 RIV is C1-C6 alkyl or C3-C4 alkenyl;
 30
                                          , \qquad -\bigvee_{N}^{N-1}, \qquad -\bigvee_{N}^{N-N} \times_{1},
```

BNSDOCID: <EP___0030142A2_I_>

x

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$$\xrightarrow{N}_{X_{II}}^{Y_1} \text{ or } \xrightarrow{N}_{N}^{Y_1}$$

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where Z is N, CH or C-F;

X = H, C1, $-CH_3$, $-OCH_3$ or $-OCH_2CH_3$;

Y = H; C1; $C_1 - C_4$ alkyl; $C_1 - C_4$ alkyl substituted with $-OCH_3$, $-OC_2H_5$, -CN, $-CO_2CH_3$, $-CO_2C_2H_5$,

C-L or 1-3 atoms of F, Cl, Br; C₃-C₄ alkenyl; .
-O-(CH₂)_n.O-(C₁-C₃ alkyl) where

n' is 2 or 3;

 CH_3 L is OH, $-NH_2$, $-NCH_3$, $-NH(C_1-C_4$ alky1), OCH₃

-N(C₁-C₄ alkyl)₂ or C₁-C₆ alkoxy; SCN;
-N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃ and
R₁₂ is H, -OCH₃, C₁-C₄ alkyl, C₃-C₆
cycloalkyl, C₃-C₄ alkenyl, C₂-C₃ alkyl
substituted with OCH₃ or OC₂H₅, C₁-C₂
alkyl substituted with -CN, CO₂H, CO₂CH₃ or

 ${\rm CO_2C_2H_5}$, and ${\rm R_{11}}$ and ${\rm R_{12}}$ can be taken together to form ${\rm -CH_2CH_2CH_2-}$ or ${\rm CH_2CH_2OCH_2CH_2-}$: ${\rm -O-R_9}$ where ${\rm R_9}$ is ${\rm C_1-C_4}$ alkyl, ${\rm C_2-C_4}$ alkyl substituted with 1-3 atoms of F, Cl or Br, ${\rm C_1-C_2}$ alkyl substituted with cyano, ${\rm C_3-C_4}$

30 alkenyl, -CH₂C≅CR₁₃,

R₁₃ is H, CH₃ or CH₂Cl; SR₁₄;

X

5

10

where R is C -C alkyl, allyl, propargyl for C -C alkyl substituted with CN; with the proviso that when X and Y are both H, then \mathbb{R}^{I} and \mathbb{R}^{II} are less than 5 carbons;

 $X_1 = H$, C1, OCH₃, OCH₂CH₃ or CH₃; $Y_1 = H$, OCH₃ or CH₃; and

 $X_{II} = 0$ or CH_2

and further provided that when A contains greater than 5 carbon atoms, then Y must contain <4 carbon atoms,

and their agriculturally suitable salts.

- 2) A compound of Claim 1 in which B is
 O
 SO₂-NH-C-NHR₁.
- 3) A compound of Claim 2 in which T is oxygen.
- 15 4) A compound of Claim 3 in which R_1 is

$$-\bigvee_{N=X}^{Y} , \quad \bigvee_{N=X}^{N-X_1} \text{ or } \qquad -\bigvee_{N=X_1}^{Y_1}$$

20

- 5) A compound of Claim 4 where Q is 0 or S and R^{I} is $C_1^{-C}C_4$ alkyl; $C_3^{-C}C_4$ alkenyl; $C_3^{-C}C_4$ alkynyl; $C_2^{-C}C_3$ alkyl substituted with CN, OCH₃ or 1-3F, Cl or Br; $C_3^{-C}C_4$ alkenyl substituted with 1-3 Cl or $C_3^{-C}C_4$ alkynyl substituted with Cl.
- 6) A compound of Claim 4 in which Q is oxygen and R^{I} is $CH_{2}CH_{2}OR_{15}$; $CH_{2}CH_{2}CH_{2}OR_{15}$; $CHOR_{15}$ where R_{15} is CH_{3}

 CH_2CH_3 ; 30 CH_2CN ; CH_2OR_6' where R_6' is CH_3 or CH_3CH_2 ; and CH_2 7) A compound of claim 4 in which Q is -N- and R_6

R^I is H, C₁-C₄ alkyl, CH₂CH₂OR₁₀, CH₂CH₂CH₂OR₁₀

where R₁₀ is CH₃ or CH₃CH₂; C₃-C₄ alkenyl; CH₂CN; CH₂CH₂CN; OCH₃ or OCH₂CH₃;

R⁶ is H, C₁-C₂ alkyl, CH₂CN or CH₂CH₂CN and R₆ and R^I can be taken together to form {CH₂+₄.

- 8) A compound of claim 4 in which \mathbb{R}^{II} is H or 10 C_1 - C_3 alkyl.
 - 9) A compound of any of claims 5-8 in which Z is CH or N;

X is CH₃ or CH₃O; and

Y is C₁-C₂ alkyl; C₁-C₂ alkyl substituted with OCH₃;

· 15 OCH2CH3, CN or 1-3 atoms of F, Cl or Br;

OCH₂-C-L or OCH-C-L where L is NH₂, OH, N(CH₃),
CH₃
OCH₃

- N(CH₃)₂, NHCH₃, C₁-C₂ alkoxy; SCN; N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃; R₁₂ is H, CH₃, CH₃CH₂, OCH₃; OR₉ where R₉ is CH₃, CH₃CH₂; CH₂CH=CH₂ CH₂=CH; or C₂ alkyl substituted with 1-3 F, Cl or Br; CH₃S.
- 25 10) A compound of claim 4 in which A' is H, Cl or Br.
 - 11) A compound of claim 10 in which Q is O or S and R^{I} is $C_1^{-}C_4$ alkyl, $CH_2CH=CH_2$ or CH_2CH_2Cl .
- 12) A compound of claim 10 in which Q is O and R^I
 30 is CH₂CH₂OCH₃, CH-OCH₃, CH₂OCH₃ or CH₂OCH₂CH₃.
 - 13) A compound of claim 10 in which Q is -N- and R

is H; $C_1^{-C_3}$ alkyl, OCH₃ or OCH₂CH₃ and R₆ is H or $C_1^{-C_2}$ alkyl.

x

- 14) A compound of claim 10 in which R^{II} is H or CH_3 .
- 15) A compound of any of claims 11-14 in which A' is H; Y is CH₃, OCH₂CH₃, OCH₂CF₃, OCH₂CH=CH₂or OCH₂CECH.
 - and Q is oxygen or sulfur and R^I is CH₃ or CH₂CH₃; Q is -N- and R^I is H, CH₃ or OCH₃ and R₆ is CH₃;

10 R_1 is X_2 and Y is CH_3 or OCH_3 .

- 15 17) A compound of claim 16 in which Formula I is utilized.
 - 18) A compound of claim 16 in which Formula II is utilized.
 - $^{19)}$ A compound of claim 16 in which Formula III 20 is utilized.
 - 20) A compound of any of claims 1 to 19 in which W' is sulfur.
 - 21) A compound of any of claims 1 to 19 in which W' is oxygen.
 - 22) A compound of claim 1 or an agriculturally suitable salt thereof selected from

methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

methyl 3-[[4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-aminosulfonyl]-2-thiophenecarboxylate

methyl 3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-aminocarbonyl]aminosulfonyl]-2-thiophenecarboxylate

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х
   methyl 3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]
    aminosulfonyl]-2-furancarboxylate
  methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-furancarboxylate
  5methyl 3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-furancarboxylate
  methyl 3-[[(4,6-dimethoxy-1,3,5-triazin-2-y1)aminocarbonvl]-
    aminosulfonyl]-2-furancarboxylate
  methyl 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
 10 aminosulfonyl]-2-furancarboxylate
  methyl 3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
   aminocarbonyl]aminosulfonyl]-2-furancarboxylate
  methyl 2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
15methyl 2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
  methyl 2-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
  aminosulfonyl]-3-thiophenecarboxylate
  methyl 2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-thiophenecarboxylate
  methyl 2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
  methyl 2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
   aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
25methyl 2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
  aminosulfonyl]-3-furancarboxylate
 methyl 2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
  aminosulfonyl]-3-furancarboxylate
  methyl 2-[[4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
30 aminosulfonyl]-3-furancarboxylate
  methyl 2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
 methyl 2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonvl]-
   aminosulfonyl]-3-furancarboxylate
35methyl 2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
   aminocarbonyl]aminosulfonyl]-3-furancarboxylate
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  methyl 4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
 methyl 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
5 methyl 4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl ]-3-thiophenecarboxylate
  methyl 4-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylate
  methyl 4-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
10 aminosulfonyl]-3-thiophenecarboxylate
  methyl 4-[[4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
   aminocarbonyl]aminosulfonyl]-3-thiophenecarboxylate
  methyl 4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
nethyl 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
  methyl 4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
  methyl 4-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
20 aminosulfonyl]-3-furancarboxylate
  methyl 4-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylate
  methyl 4-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-
    aminocarbonyl]aminosulfonyl]-3-furancarboxylate
N-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-2-
    (1-pyrrolidinylcarbonyl)-3-thiophenesulfonamide
   1-methylethyl 3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-thiophenecarboxylate
   methyl 3-[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-thiophenecarboxylate or
   methyl 3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-2-thiophenecarboxylate,
```

- 23) A compound of claim 1 wherein at least one of the following applies:
 - (a) A' is not OCH3, NO2 or CF3
 - (b) R^{I} is not OCH_2CH_3 or C_2-C_6 alkyl substituted with F or Br

$$CH_2$$
-; CH_2 - CH_2 - or

CH2OR'6

- (d) R' is not CN, NO₂ or CF₃
 (e) when R^I is OCH₃, R₆ is not H
 (f) R^{II} is not H

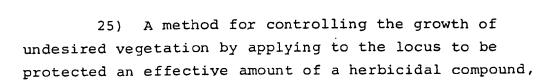
(g)
$$R_1$$
 is not N

(h) R_9 is not CH_2

- (i) Z is not C-F.
- 24) A composition suitable for controlling the growth of undesired vegetation comprising an effective amount of a herbicidal compound and at least one of the following: surfactant, solid or liquid diluent, characterised in

that said herbicidal compound comprises a compound of any of claims 1 to 23.

characterised in

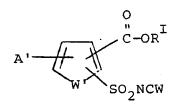


that said herbicidal compound comprises a compound of any of claims 1 to 23.

26) A method for regulating the growth of plants by applying to the locus of said plants an effective but substantially non-phytotoxic amount of a plant growth regulant, characterised in

that said plant growth regulant comprises a compound of any of claims 1 to 23.

27) A process for preparing a compound of claim l which comprises (a) reacting an appropriate isocyanate or isotniocyanate of formula

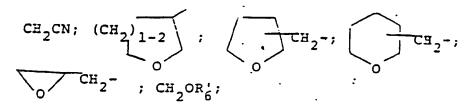


with an amine of formula HNR₁R₅,
wherein W, W', R₁ and R₅ are as defined in claim 1,
A' is H, Cl, Br, C₁-C₄ alkyl, OCH₃, NO₂ or CF₃;

R^I is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆
alkynyl; C₂-C₆ alkyl substituted with Cl,
CN or OCH₃; C₃-C₆ alkenyl substituted with
1-3 Cl; C₃-C₆ alkynyl substituted with Cl;
C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl substituted with 1-3 CH₃; C₄-C₇ cycloalkyl-alkyl or CH(CH₂)n-R₇
R₈

where R_7 and R_8 are independently H, Cl, CH_3 or OCH_3 ;

 C_2H_5 , $CH(CH_3)_2$, phenyl, CH_2CH_2C1 , CH_2CC1_3 ; $\{CH_2CH_2O\}_n, R_{16}$, $\{CH-CH_2O\}_n, R_{16}$ where R_{16} is CH_3 CH_3 , C_2H_5 , $CE(CH_3)_2$, phenyl, CH_2CH_2C1 , CH_2CC1_3 , and n' is 2 or 3;



where R_6^i is C_1-C_4 alkyl; provided R^I has a total of ≤ 13 carbon atoms;

(b) reacting an appropriate sulfonamide of formula

with an isocyanate or isothiocyanate of formula $R_1 \ NCW$

wherein A, A', W, W', R_1 and R_4 are as defined in claim 1; to prepare a compound of claim 1 wherein R_5 is H;

- (c) methylating a compound of claim 1 wherein R_4 is H and W is O to obtain a compound of claim 1 wherein R_4 is methyl;
 - (d) reacting a carbamyl chloride of formula

wherein A', W, W', Q and $R^{\rm I}$ are as defined in claim 1, with an amine of formula

wherein R₁ and R₅ are as defined in claim 1; (e) esterifying a corresponding starting compound wherein A is COOH to obtain a compound of claim 1 wherein A is COOR^I;

(f) reacting a compound of claim 1 wherein A is ${\rm CO_2R}^{\rm I}$, where ${\rm R}^{\rm I}$ has 1-4 carbon atoms, with a compound of formula

$$(alkyl)_2AlN-R^I$$

to obtain a compound of claim 1 wherein A is

wherein R^{I} and R_{6} are as defined in claim 1; (g) reacting a compound of claim 1 wherein QR^{I} is $O(C_1-C_4$ alkyl) with a compound of formula

to obtain a corresponding compound of claim 1 wherein QR^{I} is SR^{I} , R^{I} being as defined in claim 1; (h) reacting an ester of claim 1 wherein R^{I} is a lower primary alkyl group with a compound of formula

where R^{I} is a secondary alkyl group within the definition of R^{I} in claim 1, to replace said lower primary alkyl group by R^{I} ;

(i) reacting a compound of claim 1 wherein A is CO_2H with R^{II} Li to obtain a compound of claim 1 wherein A is COR^{II} , R^{II} being as defined in claim 1; whereafter if desired said ketone is reacted with a hydroxylamine of formula

(j) reacting an imide halide of formula

with a metal alkoxide to obtain a compound of formula

wherein A, A', W, R_1 and R^{IV} are as defined in claim 1;

(k) reacting a compound of formula

with R_1 NHLi to obtain a compound of claim 1 wherein B is

$$oR^{IV}$$
 $-so_2 N = \dot{c} - NHR_1$

wherein A, A', W', R_1 and R^{IV} are as defined in claim 1;

(1) reacting a compound of formula

with an alkylating agent to obtain a compound of claim 1 wherein B is

wherein A, A', W', R_1 and R^{IV} are as defined in claim 1 and M is an alkali or alkaline earth metal;

(m) reacting a compound of formula

with $M'HNR_1$, to obtain a compound of claim 1 wherein B is

where A, A', W', R_1 and R^{IV} are as defined in claim 1 and M' is alkali metal;

(n) hydrolyzing a compound of claim l wherein Y is

where Q' is C_1-C_4 alkylene, $-OCH_2-$, $-OCH_2CH_2-$, -OCH- , -N- or $-NCH_2 CH_3$ R_{11} R_{11}

where R_{11} is as defined in claim 1 and L is other than OH, to obtain a compound of claim 1 wherein L is OH, or an agriculturally suitable salt thereof; or

(o) reacting a compound of claim 1 wherein A is CO_2 Me and A' is other than NO_2 with sodium bis-(2-methoxyethoxy)aluminum hydride or with diisobutylaluminum hydride to obtain a compound of claim 1 wherein A is -CHO.

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28. A compound selected from

10 wherein R is H or M;

M is a cation of an alkali metal or of a tertiary amine of up to 12 carbon atoms; w' is 0 or 5:

A' is H. C1. Br. C₂-C₄ alkyl.
$$OCH_3$$
. NO_2 or CF_3 :

B is $-SO_2$ N-C-N-R₁ or $-SO_2$ -N=

NH-R₁

NH-R₁

where R₄ is H or CH₃: W is O or S: Rs is H, CH3 or CH3O; with the proviso that either R_A or R_S must be H; gIV is C1-C6 alkyl or C3-C4 alkenyl:

$$R_1 \stackrel{\text{is}}{=} \begin{array}{c} X \xrightarrow{Y} \\ C \xrightarrow{Z} \\ X \xrightarrow{X} \end{array} \qquad \begin{array}{c} X \xrightarrow{X_1} \\ O \xrightarrow{X} \\ Y_1 \end{array} \qquad \begin{array}{c} X \xrightarrow{X_1} \\ O \xrightarrow{X_1} \\ Y_1 \end{array}$$

x
where Z is N, CH or C-F;

x = H, C1, $-CH_3$, $-OCH_3$ or $-OCH_2CH_3$:

Y = H; C1; C_1-C_4 alky1; C_1-C_4 alky1 substituted with $-OCH_3$, $-OC_2H_5$, -CN, $-CO_2CH_3$, $-CO_2C_2H_5$,

C-L or 1-3 atoms of F, Cl, Br; C₃-C₄ alkenyl;

 $-O-(CH_2)_n$, $O-(C_1-C_3$ alkyl) where n' is 2 or 3;

L is OH, $-NH_2$, $-NCH_3$, $-NH(C_1-C_4$ alkyl), OCH,

-N(C₁-C₄ alkyl)₂ or C₁-C₆ alkoxy; SCN;
-N₃; NR₁₁R₁₂ where R₁₁ is H or CH₃ and
R₁₂ is H, -OCH₃, C₁-C₄ alkyl, C₃-C₆
cycloalkyl, C₃-C₄ alkenyl, C₂-C₃ alkyl
substituted with OCH₃ or OC₂H₅, C₁-C₂

alkyl substituted with -CN, CO_2H , CO_2CH_3 or $CO_2C_2H_5$, and R_{11} and R_{12} can be taken together to form - $CH_2CH_2CH_2$ - or $CH_2CH_2OCH_2CH_2$ -: - $O-R_9$ where R_9 is C_1-C_4 alkyl, C_2-C_4 alkyl substituted with 1-3 atoms of F, Cl or Br,

25 C₁-C₂ alkyl substituted with cyano, C₃-C₄ alkenyl, -CH₂CECR₁₃,

R₁₃ is H, CH₃ or CH₂C1; SR₁₄;

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          where R_{14} is C_1-C_4 alkyl, allyl, propargyl
          or C<sub>1</sub>-C<sub>2</sub> alkyl substituted with CN:
        x_1 = H, C1, OCH<sub>3</sub>, OCH<sub>2</sub>CH<sub>3</sub> or CH<sub>3</sub>:
        Y, = H, OCH, or CH; and
5
       x_{TT} = 0 or CH_2.
                A compound of Claim 28 selected from
  3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-thiophenecarboxylic acid
  3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
10 sulfonyl]-2-thiophenecarboxylic acid
   3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-2-thiophenecarboxylic acid
  3-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
   sulfonyl]-2-thiophenecarboxylic acid
15 3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-2-thiophenecarboxylic acid
  3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-2-thiophenecarboxylic acid
  3-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
20 sulfonyl]-2-furancarboxylic acid
  3-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-
    2-furancarboxylic acid
   3-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]amino-
    sulfonyl]-2-furancarboxylic acid
 253-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-2-furancarboxylic acid
   3-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-2-furancarboxylic acid
   3-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
 3( carbonyl]aminosulfonyl]-2-furancarboxylic acid
   2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
     sulfonyi]-3-thiophenecarboxylic acid
    2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
     sulfonyl]-3-thiophenecarboxylic acid
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2-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
    aminosulfonyl]-3-thiophenecarboxylic acid
   2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-3-thiophenecarboxylic acid
 5 2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]amino-
    sulfonyl]-3-thiophenecarboxylic acid
   2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
    carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
   2-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-furancarboxylic acid
  2-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-furancarboxylic acid
  2-[[(4-methoxy-6-methylpyrimidin-2-y1)aminocarbony1]-
   aminosulfonyl]-3-furancarboxylic acid
2-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
  2-[[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylic acid
  2-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-3-furancarboxylic acid
204-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]amino-
   sulfonyl]-3-thiophenecarboxylic acid
 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
  aminosulfonyl]-3-thiophenecarboxylic acid
  4-[[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid (B5854)
  4-[[(4,6-dimethoxy-1,3,5-triazin-2-y1)aminocarpony1;-
   aminosulfonyl]-3-thiophenecarboxylic acid
  4-1[(4,6-dimethyl-1,3,5-triazin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-thiophenecarboxylic acid
30 4-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino-
   carbonyl]aminosulfonyl]-3-thiophenecarboxylic acid
  4-[[(4,6-dimethoxypyrimidin-2-yl)aminocarbonyl]-
   aminosulfonyl]-3-furancarboxylip acid
 4-[[(4,6-dimethylpyrimidin-2-yl)aminocarbonyl]-
35 aminosulfonyl]-3-furancarboxylic acid
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4-[[(4-methoxy-6-m thylpyrimidin-2-yl)aminocarbonyl]aminosulfonyl]-3-furancarboxylic acid or
4-[[(4,6-dimethoxy-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]-3-furancarboxylic acid; and salts thereof.

30. A process for the preparation of a compound of claim 28 or 29 which comprises hydrolyzing a corresponding starting compound wherein $R^{\rm I}$ is other than H, under basic conditions, and if desired acidifying to obtain a product wherein $R^{\rm I}$ is H.

31. A compound selected from

CO₂R^I

A'

CO₂R^I

SO₂NCW

R^IO₂C

A'

CO₂R^I

W'

I" II" III'

wherein

W is oxygen or sulfur;
W' is oxygen or sulfur;

A' is H, Cl, Br, C₁-C₄ alkyl, OCH₂, NO₂ or CF₃;

R¹ is C₁-C₆ alkyl; C₃-C₆ alkenyl; C₃-C₆

alkynyl; C₂-C₆ alkyl substituted with Cl,

CN or OCH₃; C₃-C₆ alkenyl substituted with

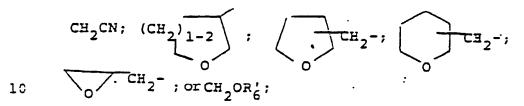
1-3 Cl; C₃-C₆ alkynyl substituted with Cl;

C₅-C₆ cycloalkyl; cyclohexenyl; cyclohexyl

substituted with 1-3 CH₃; C₄-C₇ cycloalkyl
alkyl cm CH(CH₂)_n-C₈

R₇

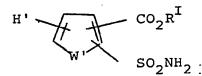
where R_7 and R_8 are independently H, C1, CH₃ or OCH₃; ...



where R_6^I is C_1-C_4 alkyl; provided R^I has a total of ≤ 13 carbon atoms.

32. A compound of Claim 31 selected from methyl 3-(isocyanatosulfonyl)-2-thiophenecarboxylate methyl 2-(isocyanatosulfonyl)-3-thiophenecarboxylate methyl 4-(isocyanatosulfonyl)-3-thiophenecarboxylate methyl 3-(isocyanatosulfonyl)-2-furancarboxylate methyl 2-(isocyanatosulfonyl)-3-furancarboxylate or methyl 4-(isocyanatosulfonyl)-3-furancarboxylate.

33. A process for the preparation of a compound of claim 31 or 32 which comprises reacting the corresponding sulfonamide of formula



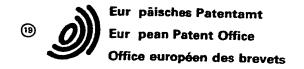
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(a) with phosgene, to obtain an isocyanate; or

(b) with carbon disulfide under alkaline conditions and subsequently with phospene or a chloroformic ester, to obtain an isothiocyanate.

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(1) Publication number:

0 030 142 **A3**

(12)

EUROPEAN PATENT APPLICATION

21) Application number: 80304287.8

(22) Date of filing: 28.11.80

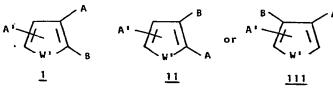
(5) Int. Cl.3: A 01 N 47/36

C 07 D 409/12, C 07 D 407/12 C 07 D 307/08, C 07 D 491/04 //C07D333/38, (C07D491/04, 307/00, 239/00)

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- (84) Designated Contracting States: AT BE CH DE FR IT LI LU NL SE

- (1) Applicant: E.I. DU PONT DE NEMOURS AND COMPANY Legal Department 1007 Market Street Wilmington, Delaware 19898(US)
- 1 Inventor: Levitt, George 3218 Romilly Road Wilmington Delaware 19810(US)
- (74) Representative: Hildyard, Edward Martin et al, Frank B. Dehn & Co. Imperial House 15-19 Kingsway London WC2B 6UZ(GB)

- (54) Herbicidal ureas and isoureas, preparation, compositions and use thereof, intermediates therefor and preparation of said
- (57) Ureas and isoureas of the formula



wherein W' is O or S

A' is H, Cl, Br, alkyl, OCH3, NO2 or CF3; A is a wide variety of ester or thioester groups or derivatives thereof;

Rs is H, CH, or OCH,

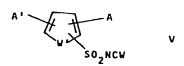
W is O or S $\mathbf{R}^{\mathbf{N}}$ is alkyl or alkenyl; and

R, is a pyrimidyl or triazinyl moiety which is ptionally substituted;

exhibit potent herbicidal activity and may be of interest

for regulating plant growth.

The compounds can be formulated for use in conventional manner. They may be prepared by a variety of processes, e.g. by reacting a heterocyclic sulfonyl isocyanate or isothiocyanate of formula





EUROPEAN SEARCH REPORT

Application number

EP 80 30 4287

DOCUMENTS CONSIDERED TO BE RELEVANT				CLASSIFICATION OF THE APPLICATION (Int. Cl. ²)
alegory	Citation of document with indici passages	ation, where appropriate, of relevant	Relevant to claim	
D	US - A - 4 127 40 DE NEMOURS AND CY * Completely *	•)	1,2, 24,27	A 01 N 47/36 C 07 D 409/12 407/12 307/68
	US - A - 4 169 71 DE NEMOURS AND CY * Completely *	9 (E.I. DU PONT	1,2, 24,27	491/04// C 07 D 333/38 C 07 D 491/04 307/00 239/00)
	EP - A - 0 001 48 NEMOURS AND CY.) * Completely *	 (E.I. DU PONT DE	1,2, 24,27	TECHNICAL FIELDS SEARCHED (int.Cl.²) C 07 D 407/12
	EP - A - 0 001 51 DE NEMOURS AND COmpletely		1,2, 24,27	409/12 407/14 409/14 . 491/04
P	EP - A - 0 007 68 DE NEMOURS AND CO	G7 (E.I. DU PONT	1,2, 24,27	
P	EP - A - 0 015 60 DE NEMOURS AND C * Claims *	 83 (E.I. DU PONT Y.)	1,2, 24,27	CATEGORY OF CITED DOCUMENTS X: particularly relevant A: technological background O: non-written disclosure P: intermediate document T: theory or principle underlying the invention
-	ADVIRCENTARSEBUZESTON X80	BEN DESENDATION OF THE SECOND		E: conflicting application D: document cited in the application L: citation for other reasons &: member of the same patent family, Corresponding document
Place of	search	Date of completion of the search	Examiner	
	The Hague	17-02-1981	ν	AN BIJLEN



	CLAIMS INCURRING FEES	
Theory	esent European patent application comprised at the time of filing more than ten claims.	
mepre		
Е	All claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for all claims.	
	Only part of the claims fees have been paid within the prescribed time limit. The present European search	
	report has been drawn up for the first ten claims and for those claims for which claims fees have been paid.	
	namety Claims:	
	No claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims.	
х	LACK OF UNITY OF INVENTION	
The Se	arch Division considers that the present European patent application does not comply with the requirement of unity of	
	on and relates to several inventions or groups of inventions,	
namely		
1)	Claims 1-30	
2)	Claims 31-33: Intermediates and their preparation.	
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	All further search fees have been paid within the fixed time limit. The present European search report has been drawn up for all claims.	
_	Only part of the further search fees have been paid within the fixed time limit. The present European search	
L	report has been drawn up for those parts of the European patent application which relate to the inventions in	
	respect of which search fees have been paid,	
	namely claims:	* ·
[~	None of the further search fees has been paid within the fixed time limit. The present European search report	
, 74	has been drawn up for those parts of the European patent application which relate to the invention first	Ī
	mentioned in the claims, $1-30$	
	namely claims:	İ

OLUSIO WANTE BLANN (USPTO)